

10573054

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 AUG 10 Time limit for inactive STN sessions doubles to 40
minutes
NEWS 3 AUG 18 COMPENDEX indexing changed for the Corporate Source
(CS) field
NEWS 4 AUG 24 ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS 5 AUG 24 CA/CAPLUS enhanced with legal status information for
U.S. patents
NEWS 6 SEP 09 50 Millionth Unique Chemical Substance Recorded in
CAS REGISTRY
NEWS 7 SEP 11 WPIDS, WPINDEX, and WPIX now include Japanese FTERM
thesaurus
NEWS 8 OCT 21 Derwent World Patents Index Coverage of Indian and
Taiwanese Content Expanded
NEWS 9 OCT 21 Derwent World Patents Index enhanced with human
translated claims for Chinese Applications and
Utility Models
NEWS 10 OCT 27 Free display of legal status information in CA/CAPLUS,
USPATFULL, and USPAT2 in the month of November.

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items

Enter NEWS followed by the item number or name to see news on that
specific topic.

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products is prohibited and may result in loss of user privileges
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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 11:54:06 ON 12 NOV 2009

=>

10573054

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 11:54:30 ON 12 NOV 2009

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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 11 NOV 2009 HIGHEST RN 1192206-33-9

DICTIONARY FILE UPDATES: 11 NOV 2009 HIGHEST RN 1192206-33-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

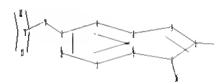
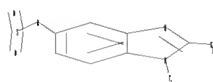
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10573054.str

10573054



```
chain nodes :
10 11 12 13 16 17
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
3-10 5-17 6-16 10-11 11-12 11-13
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
3-10 5-6 5-9 5-17 6-7 6-16 8-9 10-11 11-12 11-13
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8
isolated ring systems :
containing 1 :
```

G1:Cb,Cy,Hy,Ak,Ph

```
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 16:CLASS 17:CLASS
```

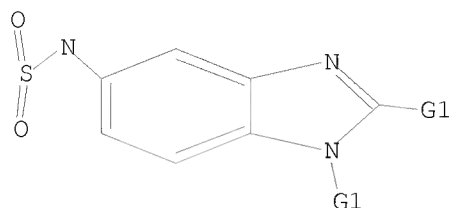
L1 STRUCTURE UPLOADED

=> D L1

L1 HAS NO ANSWERS

L1 STR

10573054



G1 Cb,Cy,Hy,Ak,Ph

Structure attributes must be viewed using STN Express query preparation.

=> S L1

SAMPLE SEARCH INITIATED 11:54:49 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 262 TO ITERATE

100.0% PROCESSED 262 ITERATIONS

50 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 4269 TO 6211

PROJECTED ANSWERS: 1623 TO 2897

L2 50 SEA SSS SAM L1

=> S L1 SSS FULL

FULL SEARCH INITIATED 11:54:56 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 4902 TO ITERATE

100.0% PROCESSED 4902 ITERATIONS

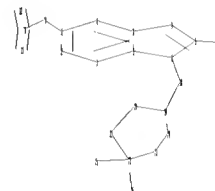
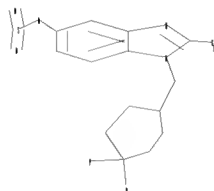
1878 ANSWERS

SEARCH TIME: 00.00.01

L3 1878 SEA SSS FUL L1

=>

Uploading C:\Program Files\Stnexp\Queries\10573054a.str



```

chain nodes :
10 11 12 13 16 18 25 26
ring nodes :
1 2 3 4 5 6 7 8 9 19 20 21 22 23 24
chain bonds :
3-10 5-16 6-18 10-11 11-12 11-13 18-19 22-25 22-26
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 19-20 19-24 20-21 21-22 22-23
23-24
exact/norm bonds :
3-10 5-6 5-9 5-16 6-7 6-18 8-9 10-11 11-12 11-13
exact bonds :
18-19 19-20 19-24 20-21 21-22 22-23 22-25 22-26 23-24
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8
isolated ring systems :
containing 1 : 19 :

```

G1:Cb,Cy,Hy,Ak,Ph

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 16:CLASS 18:CLASS 19:Atom 20:Atom 21:Atom
22:Atom 23:Atom 24:Atom 25:CLASS 26:CLASS

```

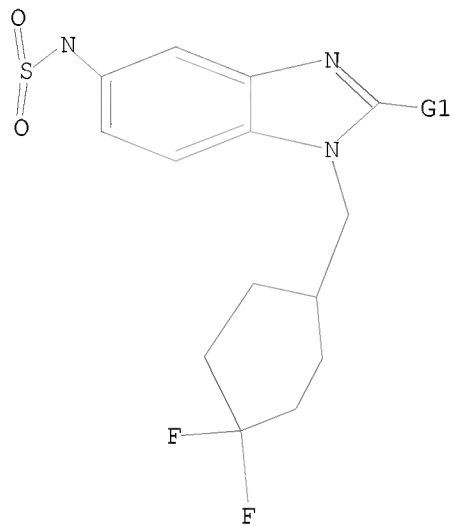
10573054

L4 STRUCTURE UPLOADED

=> d 14

L4 HAS NO ANSWERS

L4 STR



G1 Cb,Cy,Hy,Ak,Ph

Structure attributes must be viewed using STN Express query preparation.

=> s 14

SAMPLE SEARCH INITIATED 11:58:33 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 3 TO ITERATE

100.0% PROCESSED 3 ITERATIONS

3 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 3 TO 163

PROJECTED ANSWERS: 3 TO 163

L5 3 SEA SSS SAM L4

=> s 14 sss full

FULL SEARCH INITIATED 11:58:40 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 95 TO ITERATE

100.0% PROCESSED 95 ITERATIONS

90 ANSWERS

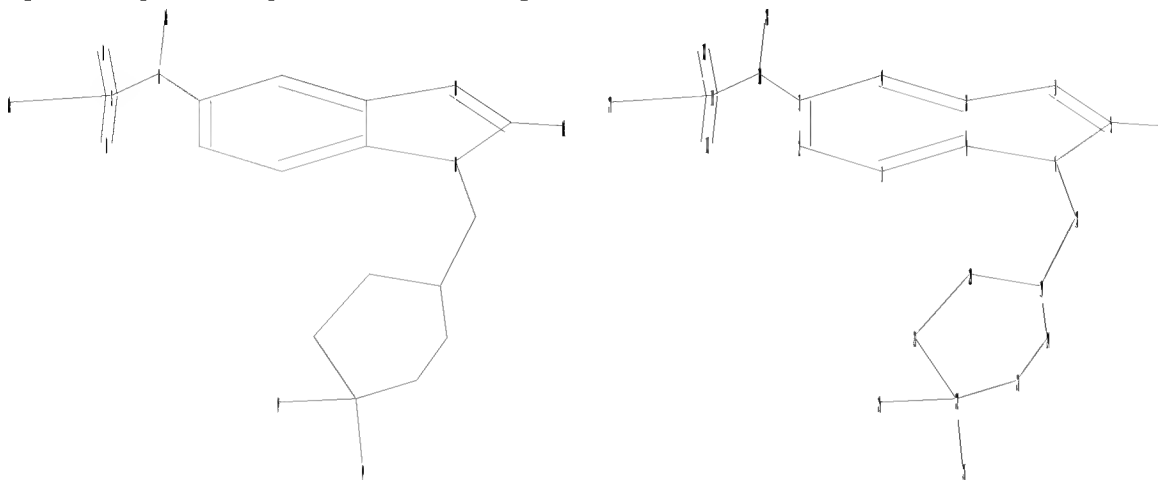
SEARCH TIME: 00.00.01

10573054

L6 90 SEA SSS FUL L4

=>

Uploading C:\Program Files\Stnexp\Queries\10573054b.str



chain nodes :

10 11 12 13 16 18 25 26 27 28

ring nodes :

1 2 3 4 5 6 7 8 9 19 20 21 22 23 24

chain bonds :

3-10 5-16 6-18 10-11 10-28 11-12 11-13 11-27 18-19 22-25 22-26

ring bonds :

1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 19-20 19-24 20-21 21-22 22-23 23-24

exact/norm bonds :

3-10 5-6 5-9 5-16 6-7 6-18 8-9 10-11 10-28 11-12 11-13 11-27

exact bonds :

18-19 19-20 19-24 20-21 21-22 22-23 22-25 22-26 23-24

normalized bonds :

1-2 1-7 2-3 3-4 4-8 7-8

isolated ring systems :

containing 1 : 19 :

G1:Cb,Cy,Hy,Ak,Ph

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS

11:CLASS 12:CLASS 13:CLASS 16:CLASS 18:CLASS 19:Atom 20:Atom 21:Atom

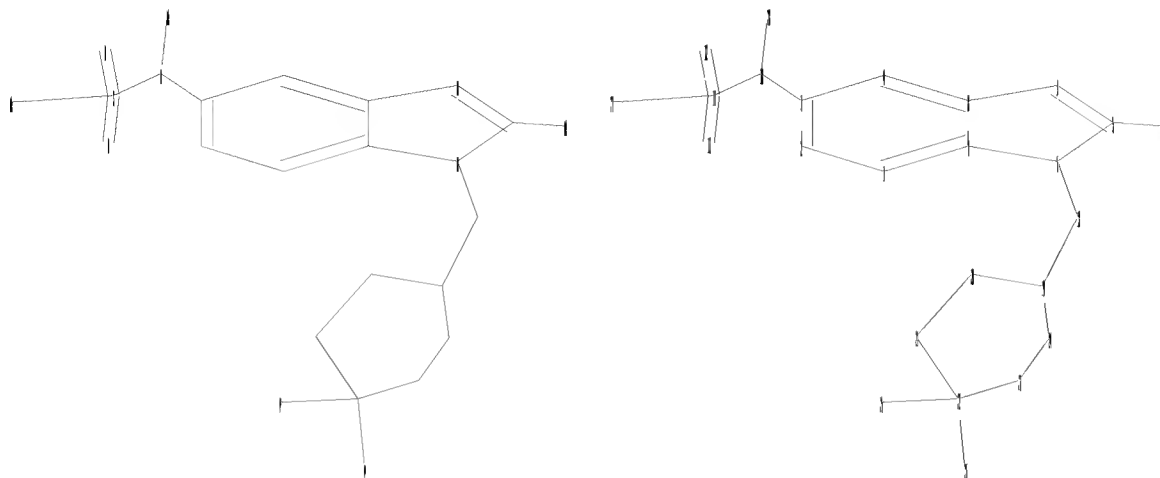
22:Atom 23:Atom 24:Atom 25:CLASS 26:CLASS 27:CLASS 28:CLASS

L7 STRUCTURE UPLOADED

=>

Uploading C:\Program Files\Stnexp\Queries\10573054b.str

10573054



```
chain nodes :
10 11 12 13 16 18 25 26 27 28
ring nodes :
1 2 3 4 5 6 7 8 9 19 20 21 22 23 24
chain bonds :
3-10 5-16 6-18 10-11 10-28 11-12 11-13 11-27 18-19 22-25 22-26
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 19-20 19-24 20-21 21-22 22-23
23-24
exact/norm bonds :
3-10 5-6 5-9 5-16 6-7 6-18 8-9 10-11 10-28 11-12 11-13 11-27
exact bonds :
18-19 19-20 19-24 20-21 21-22 22-23 22-25 22-26 23-24
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8
isolated ring systems :
containing 1 : 19 :
```

G1:Cb,Cy,Hy,Ak,Ph

Match level :

```
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 16:CLASS 18:CLASS 19:Atom 20:Atom 21:Atom
22:Atom 23:Atom 24:Atom 25:CLASS 26:CLASS 27:CLASS 28:CLASS
```

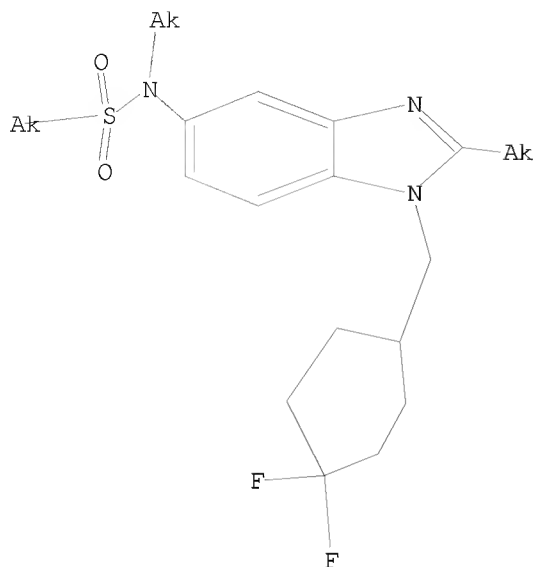
L8 STRUCTURE UPLOADED

=> d l8

L8 HAS NO ANSWERS

L8 STR

10573054



G1 Cb,Cy,Hy,Ak,Ph

Structure attributes must be viewed using STN Express query preparation.

=> s l8

SAMPLE SEARCH INITIATED 12:02:30 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 3 TO ITERATE

100.0% PROCESSED 3 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 3 TO 163

PROJECTED ANSWERS: 0 TO 0

L9 0 SEA SSS SAM L8

=> s l8 sss full

FULL SEARCH INITIATED 12:02:36 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 95 TO ITERATE

100.0% PROCESSED 95 ITERATIONS

8 ANSWERS

SEARCH TIME: 00.00.01

L10 8 SEA SSS FUL L8

=> FIL HCAPLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

562.92

563.14

FILE 'HCAPLUS' ENTERED AT 12:02:41 ON 12 NOV 2009

10573054

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FILE COVERS 1907 - 12 Nov 2009 VOL 151 ISS 20
FILE LAST UPDATED: 11 Nov 2009 (20091111/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

During November, try the new LSUS format of legal status information in the CA/CAplus family databases for free! Complete details on the number of free displays and other databases participating in this offer appear in NEWS 10.

=> d his

(FILE 'HOME' ENTERED AT 11:54:06 ON 12 NOV 2009)

FILE 'REGISTRY' ENTERED AT 11:54:30 ON 12 NOV 2009

L1	STRUCTURE UPLOADED
L2	50 S L1
L3	1878 S L1 SSS FULL
L4	STRUCTURE UPLOADED
L5	3 S L4
L6	90 S L4 SSS FULL
L7	STRUCTURE UPLOADED
L8	STRUCTURE UPLOADED
L9	0 S L8
L10	8 S L8 SSS FULL

FILE 'HCAPLUS' ENTERED AT 12:02:41 ON 12 NOV 2009

=> s 13

L11	68 L3
-----	-------

=> s 16

10573054

L12 9 L6

=> s l10

L13 2 L10

=> s l11 and py<=2003

24042561 PY<=2003

L14 37 L11 AND PY<=2003

=> s l12 and py<=2003

24042561 PY<=2003

L15 0 L12 AND PY<=2003

=> s l13 and py<=2003

24042561 PY<=2003

L16 0 L13 AND PY<=2003

=> s l14 and p/dt

6926721 P/DT

L17 34 L14 AND P/DT

=> s l17 and us/pc

2000316 US/PC

L18 20 L17 AND US/PC

=> s l18 and disease

1193383 DISEASE

333086 DISEASES

1341660 DISEASE

(DISEASE OR DISEASES)

L19 3 L18 AND DISEASE

=> s l18 and pharmaceutical compositions

368057 PHARMACEUTICAL

94665 PHARMACEUTICALS

424653 PHARMACEUTICAL

(PHARMACEUTICAL OR PHARMACEUTICALS)

354433 COMPOSITIONS

2 COMPOSITIONSES

354434 COMPOSITIONS

(COMPOSITIONS OR COMPOSITIONSES)

664993 COMPNS

1 COMPNSES

664994 COMPNS

(COMPNS OR COMPNSES)

763355 COMPOSITIONS

(COMPOSITIONS OR COMPNS)

30141 PHARMACEUTICAL COMPOSITIONS

(PHARMACEUTICAL(W)COMPOSITIONS)

L20 0 L18 AND PHARMACEUTICAL COMPOSITIONS

=> s l18 and compositions

354433 COMPOSITIONS

2 COMPOSITIONSES

354434 COMPOSITIONS

(COMPOSITIONS OR COMPOSITIONSES)

664993 COMPNS

10573054

```

      1 COMPNSES
664994 COMPNS
      (COMPNS OR COMPNSES)
763355 COMPOSITIONS
      (COMPOSITIONS OR COMPNS)
L21      0 L18 AND COMPOSITIONS

=> s l18 and therapeutic uses
      299443 THERAPEUTIC
      29593 THERAPEUTICS
      320946 THERAPEUTIC
      (THERAPEUTIC OR THERAPEUTICS)
      3927309 USES
      1 USESES
      3927309 USES
      (USES OR USESES)
      7891 THERAPEUTIC USES
      (THERAPEUTIC(W)USES)
L22      0 L18 AND THERAPEUTIC USES

=> s l18 and method of uses
      4223794 METHOD
      1654024 METHODS
      5410098 METHOD
      (METHOD OR METHODS)
      3927309 USES
      1 USESES
      3927309 USES
      (USES OR USESES)
      20117 METHOD OF USES
      (METHOD(1W)USES)
L23      0 L18 AND METHOD OF USES

=> s l18 and thu
      188 THU
      2658226 THUS
      2658391 THU
      (THU OR THUS)
L24      10 L18 AND THU

=> d his
```

(FILE 'HOME' ENTERED AT 11:54:06 ON 12 NOV 2009)

FILE 'REGISTRY' ENTERED AT 11:54:30 ON 12 NOV 2009

```

L1      STRUCTURE UPLOADED
L2      50 S L1
L3      1878 S L1 SSS FULL
L4      STRUCTURE UPLOADED
L5      3 S L4
L6      90 S L4 SSS FULL
L7      STRUCTURE UPLOADED
L8      STRUCTURE UPLOADED
L9      0 S L8
L10     8 S L8 SSS FULL
```

FILE 'HCAPLUS' ENTERED AT 12:02:41 ON 12 NOV 2009

L11 68 S L3
 L12 9 S L6
 L13 2 S L10
 L14 37 S L11 AND PY<=2003
 L15 0 S L12 AND PY<=2003
 L16 0 S L13 AND PY<=2003
 L17 34 S L14 AND P/DT
 L18 20 S L17 AND US/PC
 L19 3 S L18 AND DISEASE
 L20 0 S L18 AND PHARMACEUTICAL COMPOSITIONS
 L21 0 S L18 AND COMPOSITIONS
 L22 0 S L18 AND THERAPEUTIC USES
 L23 0 S L18 AND METHOD OF USES
 L24 10 S L18 AND THU

=> d l12 ibib abs hitstr tot

L12 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1086482 HCAPLUS

DOCUMENT NUMBER: 147:385989

TITLE: Preparation and crystalline forms of
 N-{2-tert-butyl-1-[(4,4-difluorocyclohexyl)methyl]-1H-
 benzimidazol-5-yl}ethanesulfonamide salts

INVENTOR(S): Bohlin, Martin

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 36pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007108754	A1	20070927	WO 2007-SE281	20070322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007227811	A1	20070927	AU 2007-227811	20070322
CA 2648829	A1	20070927	CA 2007-2648829	20070322
US 20070225346	A1	20070927	US 2007-689864	20070322
US 7566788	B2	20090728		
EP 2001856	A1	20081217	EP 2007-716083	20070322
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 2009530373	T	20090827	JP 2009-501381	20070322
IN 2008DN07456	A	20080926	IN 2008-DN7456	20080902

MX 2008012015	A	20081001	MX 2008-12015	20080919
KR 2008105098	A	20081203	KR 2008-723039	20080922
NO 2008004353	A	20081016	NO 2008-4353	20081016
CN 101454293	A	20090610	CN 2007-80018953	20081124
PRIORITY APPLN. INFO.:			US 2006-785326P	P 20060323
			WO 2007-SE281	W 20070322

OTHER SOURCE(S): CASREACT 147:385989

AB Salts of the compound N-{2-tert-butyl-1-[(4,4-difluorocyclohexyl)methyl]-1H-benzimidazol-5-yl}ethanesulfonamide (I), which are an ethanesulfonic acid salt, a sulfuric acid salt, an ethanedisulfonic acid salt, a hydrochloric acid salt, a hydrobromic acid salt, a phosphoric acid salt, an acetic acid salt, a fumaric acid salt, a maleic acid salt, a tartaric acid salt, a citric acid salt, a methanesulfonic acid salt, or a p-toluenesulfonic acid salt are prepared E.g., I was prepd starting from N-(4-fluoro-3-nitrophenyl)acetamide and [(4,4-difluorocyclohexyl)methyl]amine-HCl, then hydrogenation, reaction with Me₃CCOCl, deacetylation, and reaction with ethanesulfonyl chloride. The ethanesulfonate, sulfate, ethanedisulfonate, and maleate salts of I were prepared

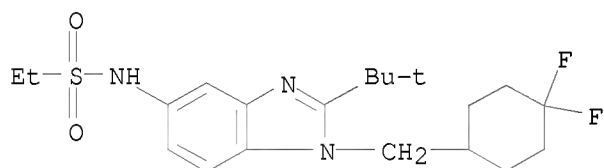
IT 881413-29-2P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation and crystalline forms of N-{2-tert-butyl-1-[(4,4-difluorocyclohexyl)methyl]-1H-benzimidazol-5-yl}ethanesulfonamide salts)

RN 881413-29-2 HCAPLUS

CN Ethanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]- (CA INDEX NAME)



IT	950762-21-7P	950762-22-8P	950762-23-9P
	950762-24-0P	950762-25-1P	950762-26-2P
	950762-27-3P	950762-29-5P	950762-30-8P
	950762-31-9P	950762-32-0P	950762-33-1P
	950762-34-2P		

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and crystalline forms of N-{2-tert-butyl-1-[(4,4-difluorocyclohexyl)methyl]-1H-benzimidazol-5-yl}ethanesulfonamide salts)

RN 950762-21-7 HCAPLUS

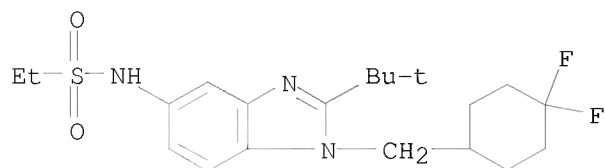
CN Ethanesulfonic acid, compd. with N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]ethanesulfonamide (1:1) (CA INDEX NAME)

CM 1

CRN 881413-29-2

10573054

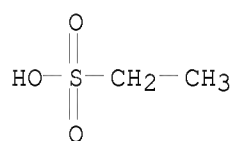
CMF C20 H29 F2 N3 O2 S



CM 2

CRN 594-45-6

CMF C2 H6 O3 S



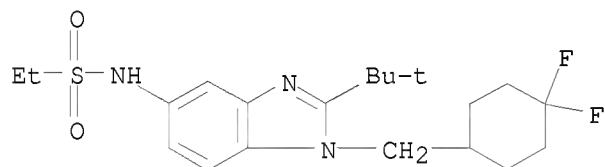
RN 950762-22-8 HCAPLUS

CN Ethanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-, sulfate (1:?) (CA INDEX NAME)

CM 1

CRN 881413-29-2

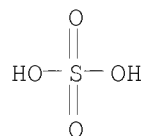
CMF C20 H29 F2 N3 O2 S



CM 2

CRN 7664-93-9

CMF H2 O4 S

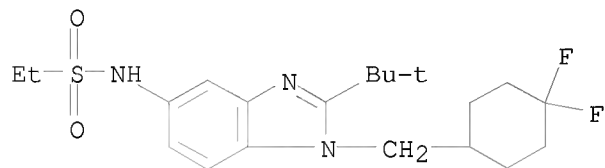


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RN 950762-23-9 HCAPLUS
CN 1,2-Ethanedisulfonic acid, compd. with
N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-
benzimidazol-5-yl]ethanesulfonamide (1:?) (CA INDEX NAME)

CM 1

CRN 881413-29-2
CMF C20 H29 F2 N3 O2 S



CM 2

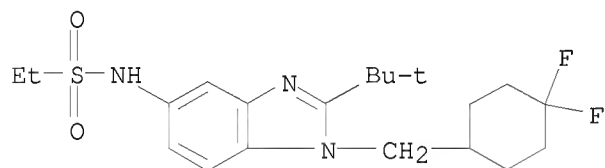
CRN 110-04-3
CMF C2 H6 O6 S2

HO₃S-CH₂-CH₂-SO₃H

RN 950762-24-0 HCAPLUS
CN Ethanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-
dimethylethyl)-1H-benzimidazol-5-yl]-, (2Z)-2-butenedioate (1:?) (CA
INDEX NAME)

CM 1

CRN 881413-29-2
CMF C20 H29 F2 N3 O2 S

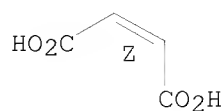


CM 2

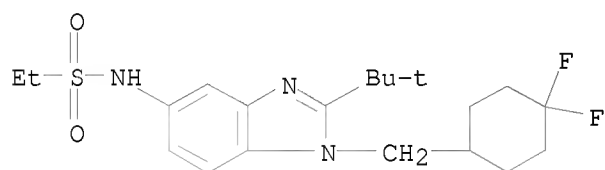
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

10573054

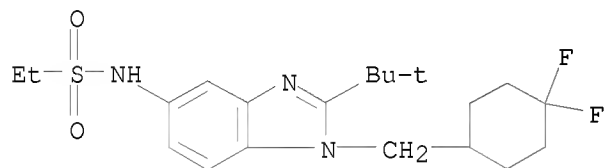


RN 950762-25-1 HCAPLUS
CN Ethanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 950762-26-2 HCAPLUS
CN Ethanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-, hydrobromide (1:1) (CA INDEX NAME)



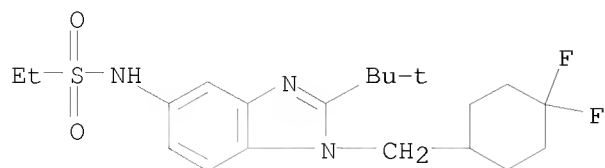
● HBr

RN 950762-27-3 HCAPLUS
CN Ethanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-, phosphate (1:?) (CA INDEX NAME)

CM 1

CRN 881413-29-2
CMF C20 H29 F2 N3 O2 S

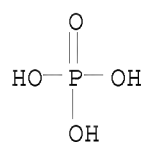
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CM 2

CRN 7664-38-2

CMF H3 O4 P



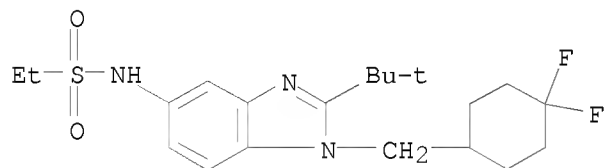
RN 950762-29-5 HCAPLUS

CN Ethanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-, acetate (1:1) (CA INDEX NAME)

CM 1

CRN 881413-29-2

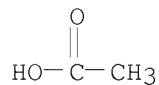
CMF C20 H29 F2 N3 O2 S



CM 2

CRN 64-19-7

CMF C2 H4 O2



RN 950762-30-8 HCAPLUS

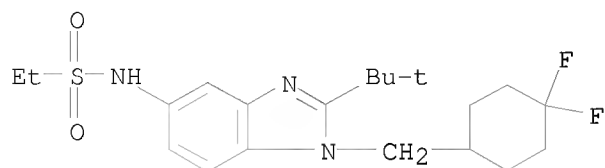
CN Ethanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-, (2E)-2-butenedioate (1:?) (CA INDEX NAME)

10573054

CM 1

CRN 881413-29-2

CMF C20 H29 F2 N3 O2 S

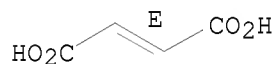


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



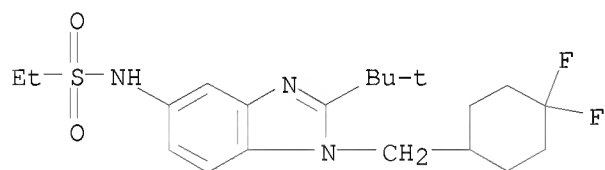
RN 950762-31-9 HCAPLUS

CN Ethanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:?) (CA INDEX NAME)

CM 1

CRN 881413-29-2

CMF C20 H29 F2 N3 O2 S



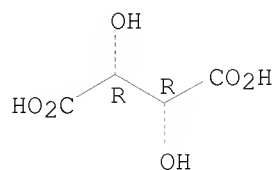
CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.

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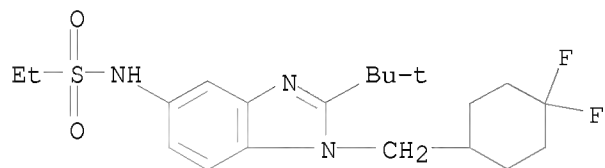
RN 950762-32-0 HCAPLUS

CN Ethanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-, 2-hydroxy-1,2,3-propanetricarboxylate (1:?) (CA INDEX NAME)

CM 1

CRN 881413-29-2

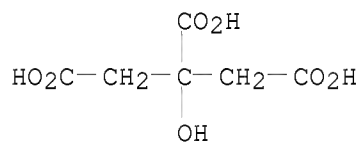
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CM 2

CRN 77-92-9

CMF C6 H8 O7



RN 950762-33-1 HCAPLUS

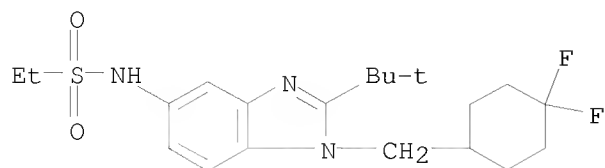
CN Ethanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 881413-29-2

CMF C20 H29 F2 N3 O2 S

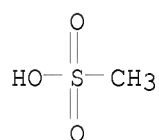
10573054



CM 2

CRN 75-75-2

CMF C H4 O3 S



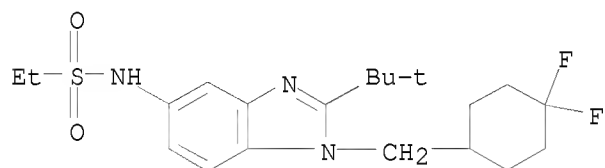
RN 950762-34-2 HCAPLUS

CN Ethanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-, 4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 881413-29-2

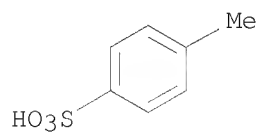
CMF C20 H29 F2 N3 O2 S



CM 2

CRN 104-15-4

CMF C7 H8 O3 S



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:295543 HCAPLUS

DOCUMENT NUMBER: 144:350680

TITLE: Benzimidazole derivatives, and their pharmaceutical compositions, preparation and their cannabinoid receptor binding affinity and use in therapy, such as pain management

INVENTOR(S): Wei, John; Milburn, Claire; Desfosses, Helene; Page, Daniel; Srivastava, Sanjay; Walpole, Christopher; Yang, Hua

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.

SOURCE: PCT Int. Appl., 157 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

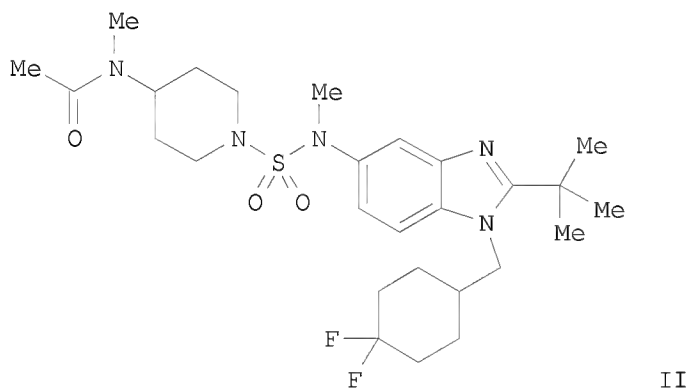
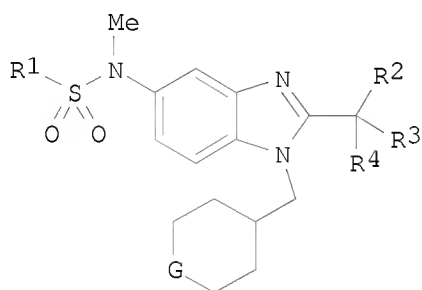
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006033633	A1	20060330	WO 2005-SE1405	20050922
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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WO 2005030761	A1	20050407	WO 2004-GB4112	20040924
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 SN, TD, TG

AU 2005287429	A1	20060330	AU 2005-287429	20050922
CA 2582512	A1	20060330	CA 2005-2582512	20050922
EP 1797070	A1	20070620	EP 2005-784958	20050922
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CN 101065375	A	20071031	CN 2005-80040240	20050922
JP 2008514595	T	20080508	JP 2007-533435	20050922
BR 2005015876	A	20080812	BR 2005-15876	20050922
IN 2007DN01719	A	20070817	IN 2007-DN1719	20070305
MX 2007003121	A	20070718	MX 2007-3121	20070315
KR 2007057856	A	20070607	KR 2007-706690	20070323
NO 2007002090	A	20070625	NO 2007-2090	20070423
PRIORITY APPLN. INFO.:				
			WO 2004-GB4112	A 20040924
			WO 2004-GB4124	A 20040924
			SE 2005-183	A 20050124
			SE 2003-2570	A 20030926
			SE 2003-2573	A 20030926
			WO 2005-SE1405	W 20050922

OTHER SOURCE(S): MARPAT 144:350680
 GI



AB Compds. of formula I, or pharmaceutically acceptable salts and compns., the preparation of these compds., and their cannabinoid (CB) receptor binding affinity are disclosed in this invention. These compds. are useful in therapy, in particular in the management of pain. Compds. of formula I

where in G is O, CHF, and CF₂; R₁ is (un)substituted C2-6heterocyclyl where at least one nitrogen on the said heterocycle is directly attached to the sulfonyl group; R₂, R₃, and R₄ are independently F or Me; and their pharmaceutically acceptable salts, diastereoisomers, enantiomers, or mixts. thereof are claimed in this invention. Example compound II was prepared by amidation of 4-fluoro-3-nitroaniline with acetic anhydride to give the N-(4-fluoro-3-nitrophenyl)acetamide, which was methylated to give N-(4-fluoro-3-nitrophenyl)-N-methyl-acetamide which underwent amination with (4,4-difluorocyclohexylmethyl)amine to give N-[4-(4,4-difluorocyclohexylmethylamino)-3-nitrophenyl]-N-methyl-acetamide, which was reduced; the resulting diamine underwent cyclization with trimethylacetyl chloride to give N-[2-tert-butyl-1-(4,4-difluorocyclohexylmethyl)benzimidazol-5-yl]-N-methyl-acetamide, which was deacetylated to give 5-methylaminobenzimidazole derivative, which was sulfonylated with 3-(imidazole-1-sulfonyl)-1-methyl-3H-imidazol-1-ium triflate to give 1-[(2-tert-butyl-1-[(4,4-difluorocyclohexyl)methyl]-1H-benzimidazol-5-yl)(methyl)amino]sulfonyl-3-methyl-1H-imidazol-3-ium triflate, which reacted with tert-Bu piperidin-4-ylcarbamate followed by hydrolysis to give the 4-aminopiperidinylsulfonamide derivative, which was acetylated to give compound II. All the invention compound were evaluated for their human CB₁ and CB₂ receptor binding affinity. From the hCB₁ and hCB₂ receptor binding assay, the K_i towards human CB₁ receptors for certain invention compds. are in the range of between 1 nM and 2897 nM. EC₅₀ for these compds. was found to be in the range of between 0.58 nM and 7647 nM. Emax for these compound were determined to be in the range of between 72% and 161%.

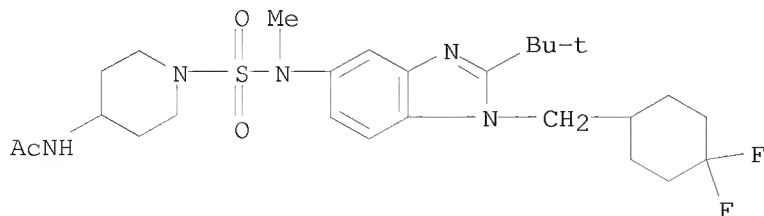
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	881672-18-0P		

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzimidazole derivs. and their cannabinoid receptor binding affinity and use in therapy, such as pain management)

RN 881669-96-1 HCAPLUS

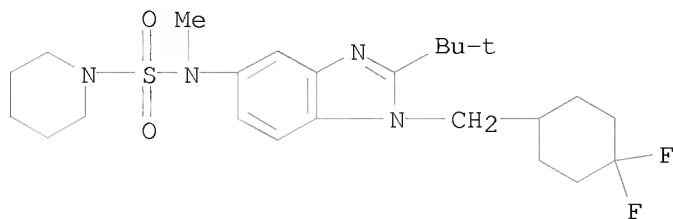
CN Acetamide, N-[1-[[[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]methylamino]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)



10573054

RN 881670-07-1 HCAPLUS

CN 1-Piperidinesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)



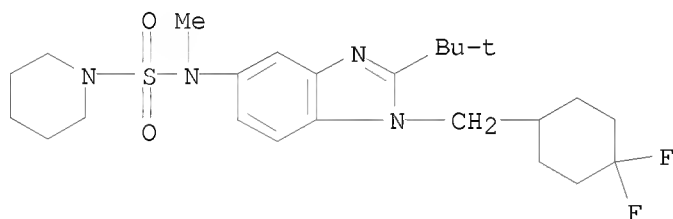
RN 881670-08-2 HCAPLUS

CN 1-Piperidinesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl-, 2,2,2-trifluoroacetate (5:9) (CA INDEX NAME)

CM 1

CRN 881670-07-1

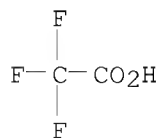
CMF C24 H36 F2 N4 O2 S



CM 2

CRN 76-05-1

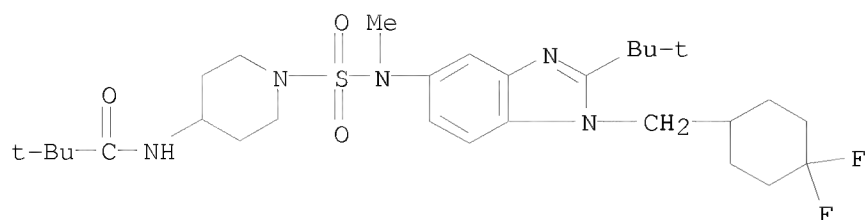
CMF C2 H F3 O2



RN 881670-99-1 HCAPLUS

CN Propanamide, N-[1-[[[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]methylamino]sulfonyl]-4-piperidinyl]-2,2-dimethyl- (CA INDEX NAME)

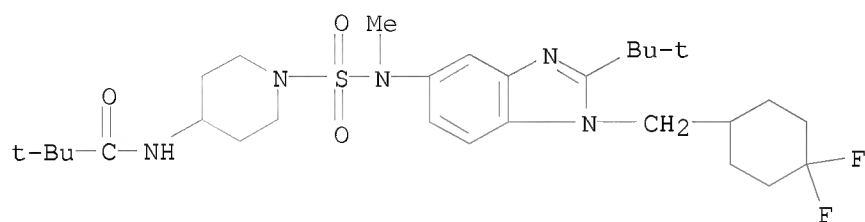
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RN 881671-00-7 HCAPLUS
CN Propanamide, N-[1-[[[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]methylamino]sulfonyl]-4-piperidinyl]-2,2-dimethyl-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

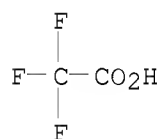
CM 1

CRN 881670-99-1
CMF C29 H45 F2 N5 O3 S



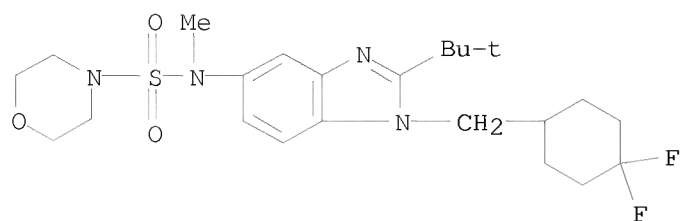
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 881671-01-8 HCAPLUS
CN 4-Morpholinesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)

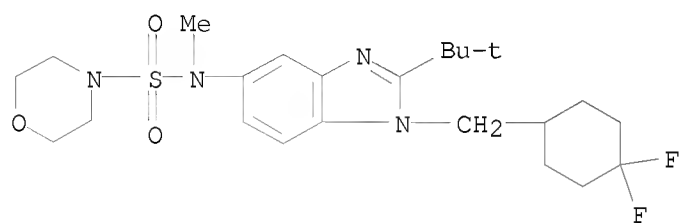
10573054



RN 881671-02-9 HCAPLUS
CN 4-Morpholinesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

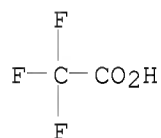
CM 1

CRN 881671-01-8
CMF C23 H34 F2 N4 O3 S

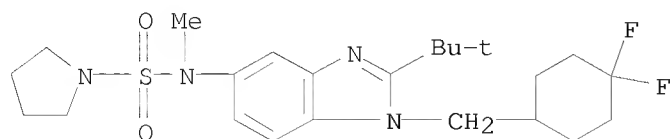


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 881671-03-0 HCAPLUS
CN 1-Pyrrolidinesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)

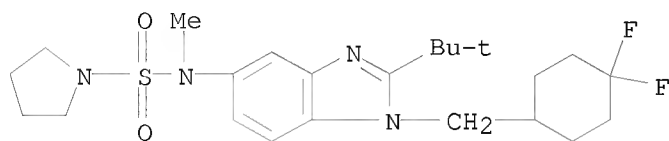


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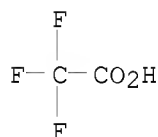
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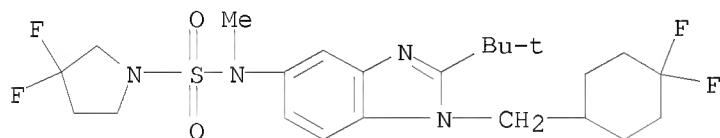


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 881671-05-2 HCAPLUS
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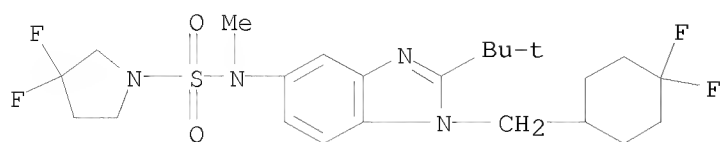


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CN 1-Pyrrolidinesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-3,3-difluoro-N-methyl-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 881671-05-2
CMF C23 H32 F4 N4 O2 S

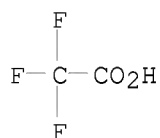
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CM 2

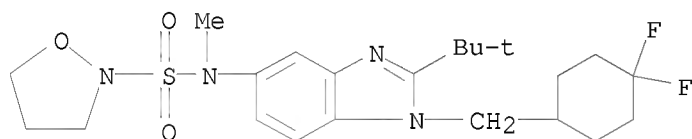
CRN 76-05-1

CMF C2 H F3 O2



RN 881671-07-4 HCAPLUS

CN 2-Isoxazolidinesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)



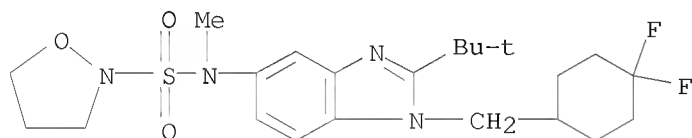
RN 881671-08-5 HCAPLUS

CN 2-Isoxazolidinesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 881671-07-4

CMF C22 H32 F2 N4 O3 S

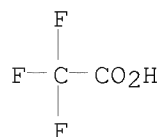


CM 2

CRN 76-05-1

CMF C2 H F3 O2

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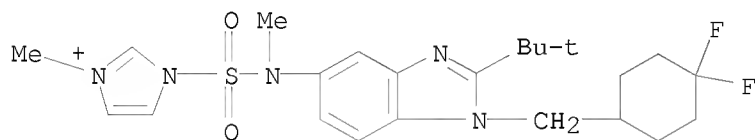
RN 881671-10-9 HCAPLUS

CN 1H-Imidazolium, 3-[[[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]methylamino]sulfonyl]-1-methyl-, 4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

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CRN 881671-09-6

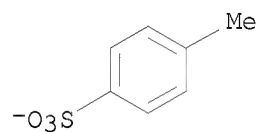
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CM 2

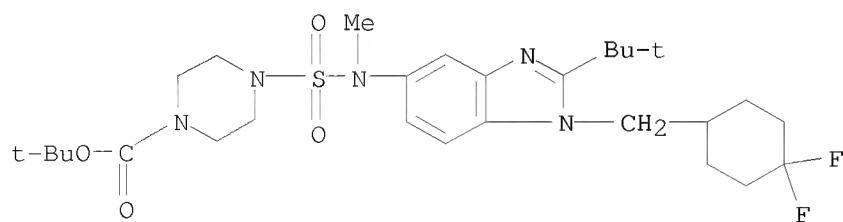
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CMF C7 H7 O3 S



RN 881671-11-0 HCAPLUS

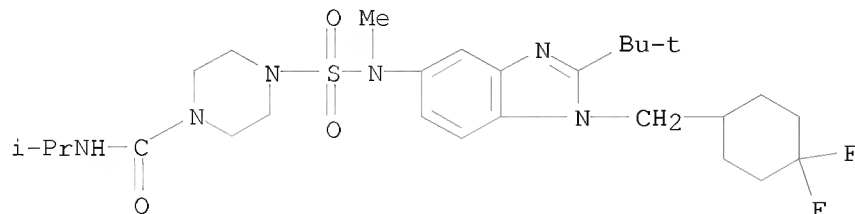
CN 1-Piperazinecarboxylic acid, 4-[[[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]methylamino]sulfonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 881671-12-1 HCAPLUS

10573054

CN 1-Piperazinecarboxamide, 4-[[[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]methylamino]sulfonyl]-N-(1-methylethyl)- (CA INDEX NAME)



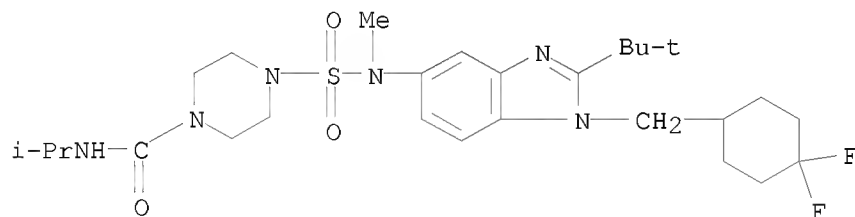
RN 881671-13-2 HCAPLUS

CN 1-Piperazinecarboxamide, 4-[[[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]methylamino]sulfonyl]-N-(1-methylethyl)-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 881671-12-1

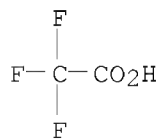
CMF C27 H42 F2 N6 O3 S



CM 2

CRN 76-05-1

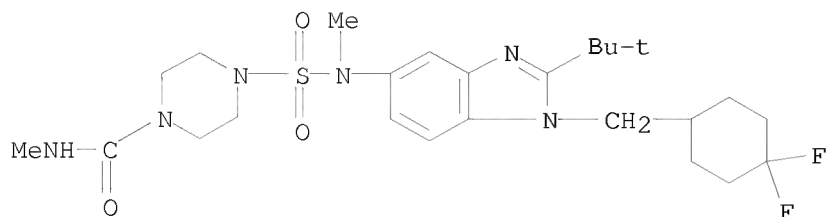
CMF C2 H F3 O2



RN 881671-14-3 HCAPLUS

CN 1-Piperazinecarboxamide, 4-[[[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]methylamino]sulfonyl]-N-methyl- (CA INDEX NAME)

10573054



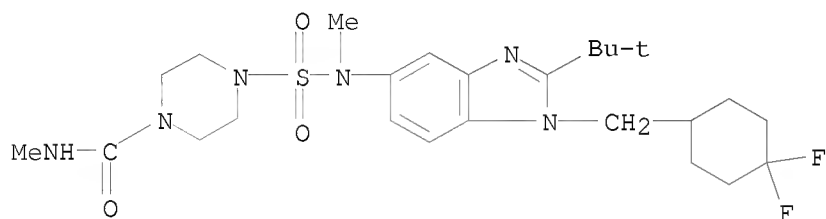
RN 881671-15-4 HCAPLUS

CN 1-Piperazinecarboxamide, 4-[[[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]methylamino]sulfonyl]-N-methyl-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 881671-14-3

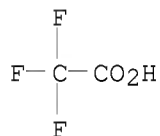
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CM 2

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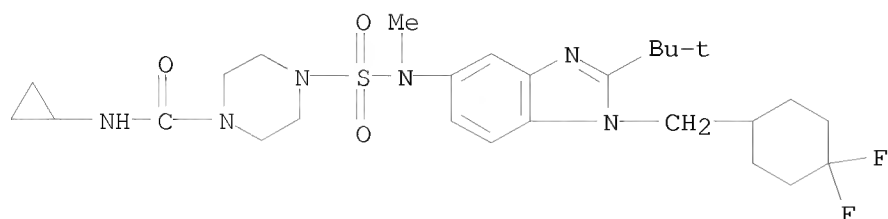
CMF C2 H F3 O2



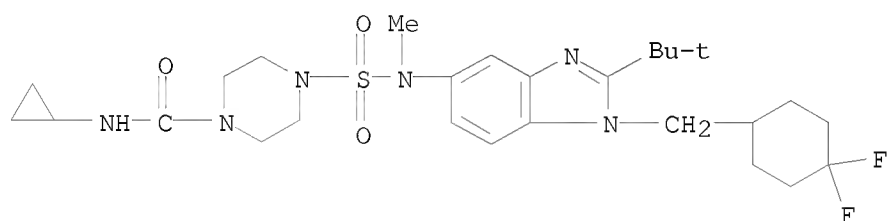
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CN 1-Piperazinecarboxamide, N-cyclopropyl-4-[[[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]methylamino]sulfonyl]- (CA INDEX NAME)

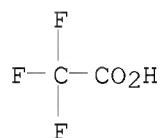
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RN 881671-17-6 HCAPLUS
CN 1-Piperazinecarboxamide, N-cyclopropyl-4-[[[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]methylamino]sulfonyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)
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CRN 881671-16-5
CMF C27 H40 F2 N6 O3 S

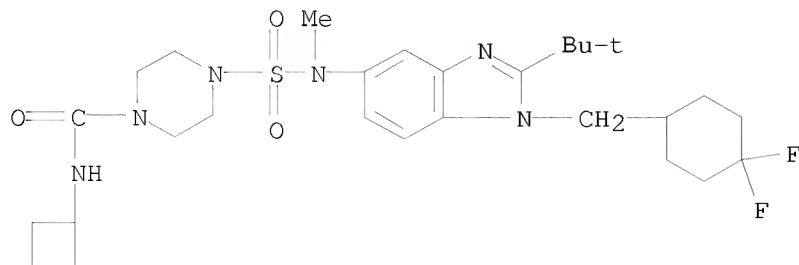


CM 2
CRN 76-05-1
CMF C2 H F3 O2



RN 881671-18-7 HCAPLUS
CN 1-Piperazinecarboxamide, N-cyclobutyl-4-[[[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]methylamino]sulfonyl]- (CA INDEX NAME)

10573054



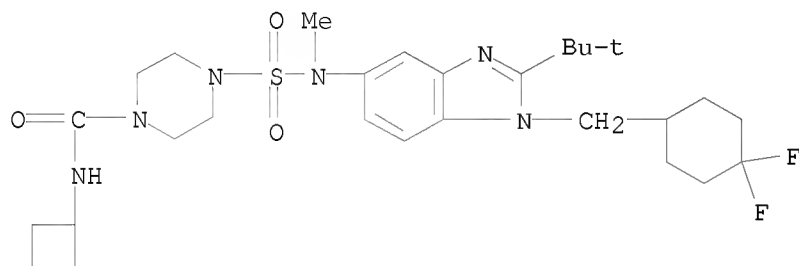
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CN 1-Piperazinecarboxamide, N-cyclobutyl-4-[[[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]methylamino]sulfonyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 881671-18-7

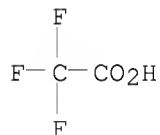
CMF C28 H42 F2 N6 O3 S



CM 2

CRN 76-05-1

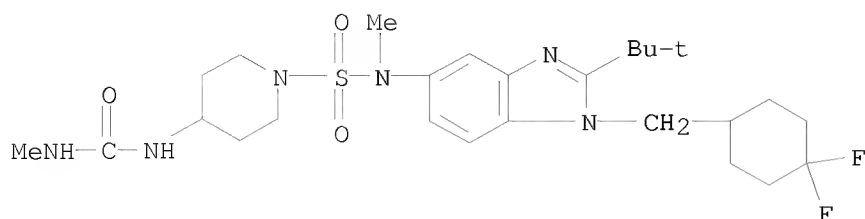
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RN 881671-20-1 HCAPLUS

CN 1-Piperidinesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl-4-[[[(methylamino)carbonyl]amino]- (CA INDEX NAME)

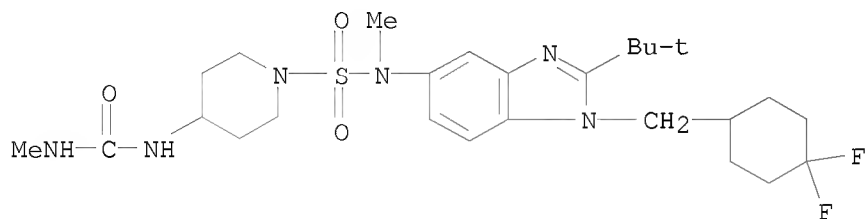
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RN 881671-21-2 HCAPLUS
CN 1-Piperidinesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl-4-[[(methylamino)carbonyl]amino]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

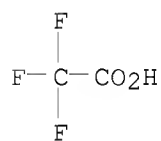
CM 1

CRN 881671-20-1
CMF C26 H40 F2 N6 O3 S



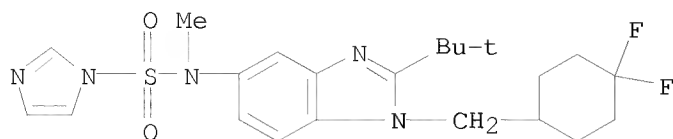
CM 2

CRN 76-05-1
CMF C2 H F3 O2



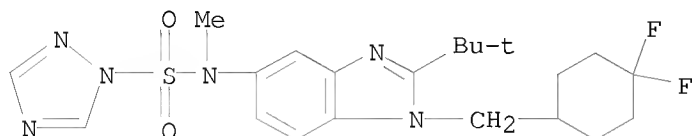
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CN 1H-Imidazole-1-sulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)

10573054



RN 881671-76-7 HCAPLUS

CN 1H-1,2,4-Triazole-1-sulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)



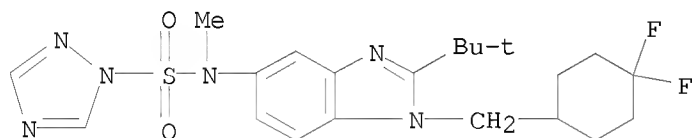
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CN 1H-1,2,4-Triazole-1-sulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 881671-76-7

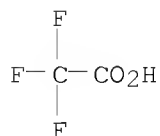
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CM 2

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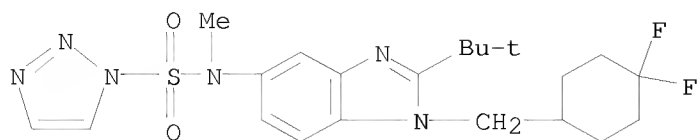
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RN 881671-78-9 HCAPLUS

CN 1H-1,2,3-Triazole-1-sulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)

10573054



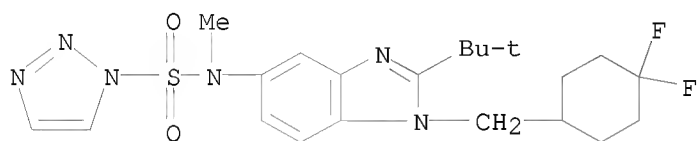
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CM 1

CRN 881671-78-9

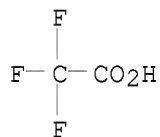
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CM 2

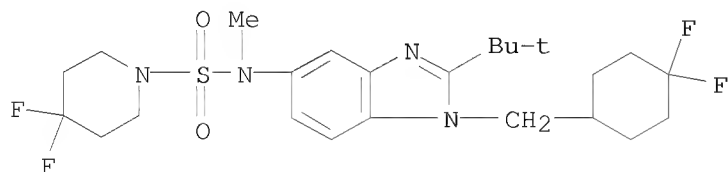
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CMF C2 H F3 O2



RN 881672-18-0 HCAPLUS

CN 1-Piperidinesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-4,4-difluoro-N-methyl- (CA INDEX NAME)

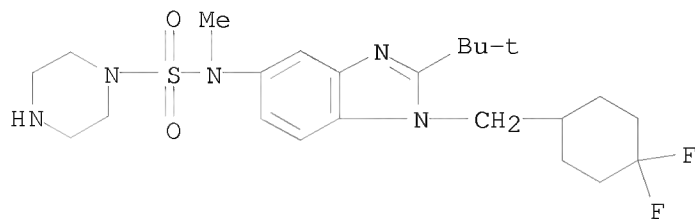


IT 881672-31-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of benzimidazole derivs. and their cannabinoid

receptor binding affinity and use in therapy, such as pain management)
 RN 881672-31-7 HCAPLUS
 CN 1-Piperazinesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
 REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:295542 HCAPLUS

DOCUMENT NUMBER: 144:350679

TITLE: Benzimidazole derivatives, and their pharmaceutical compositions, preparation and their cannabinoid receptor binding affinity and use in therapy, such as pain management

INVENTOR(S): Page, Daniel; Liu, Ziping; Tremblay, Maxime; Walpole, Christopher; Yang, Hua

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.

SOURCE: PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006033631	A1	20060330	WO 2005-SE1403	20050922
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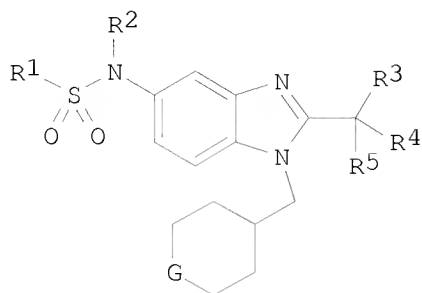
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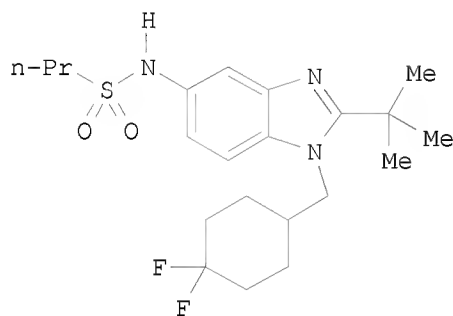
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US 2004-640306P	P	20041230
SE 2003-2573	A	20030926
WO 2005-SE1403	W	20050922
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OTHER SOURCE(S): MARPAT 144:350679

GI



I



II

AB Compds. of formula I, or pharmaceutically acceptable salts and compns., the preparation of these compds., and their cannabinoid (CB) receptor binding affinity are disclosed in this invention. These compds. are useful in therapy, in particular in the management of pain. Compds. of formula I where in G is O and CF₂; R₁ is C1-6 alkyl and C3-6 cycloalkyl; R₂ is H or Me; R₃, R₄, and R₅ are independently F or Me; and their pharmaceutically acceptable salts, diastereoisomers, enantiomers, or mixts. thereof are claimed in this invention. Example compound II was prepared by amination of N-(4-fluoro-3-nitrophenyl)acetamide with [(4,4-difluorocyclohexyl)methyl]amine hydrochloride to give N-(-4-[(4,4-difluorocyclohexyl)methyl]amino)-3-nitrophenyl)acetamide, which underwent reduction; the resulting N-(-4-[(4,4-difluorocyclohexyl)methyl]amino)-3-aminophenyl)acetamide was cyclized with trimethylacetyl chloride to give the corresponding 5-acetylaminobenzimidazole derivative, which was deacetylated to give the 5-aminobenzimidazole derive, which underwent sulfonylation with n-propanesulfonyl chloride to give example compound II. All the invention compound were evaluated for their human CB₁ and CB₂ receptor binding affinity. From the hCB₁ and hCB₂ receptor binding assay, the K_i towards human CB₁ receptors for certain invention compds. are in the range of between 3 nM and 195 nM. EC₅₀ for these compds. was found to be in the range of between 2.3 nM and 300 nM. Emax for these compound were determined to be in the range of between 109% and 144%.

IT	849434-51-1P	881413-21-4P	881413-22-5P
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	881413-33-8P	881413-34-9P	881413-36-1P
	881413-38-3P	881413-40-7P	881413-42-9P

10573054

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzimidazole derivs. and their cannabinoid receptor binding affinity and use in therapy, such as pain management)

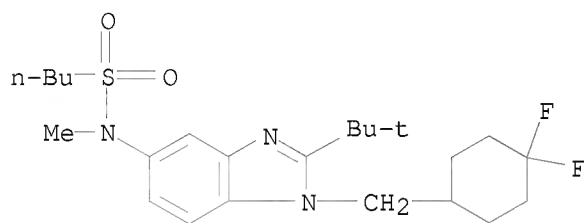
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CM 1

CRN 849434-50-0

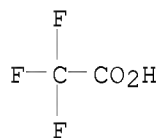
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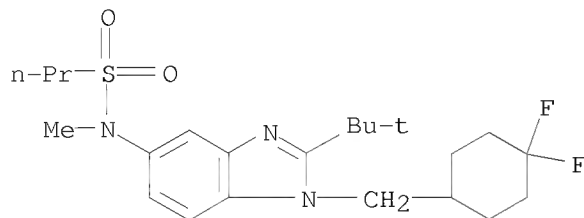
CRN 76-05-1

CMF C2 H F3 O2



RN 881413-21-4 HCAPLUS

CN 1-Propanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)



RN 881413-22-5 HCAPLUS

CN 1-Propanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-

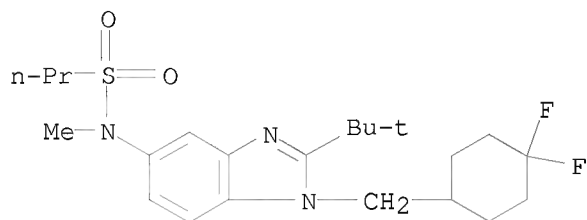
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dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl-, 2,2,2-trifluoroacetate
(1:1) (CA INDEX NAME)

CM 1

CRN 881413-21-4

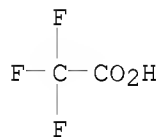
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CM 2

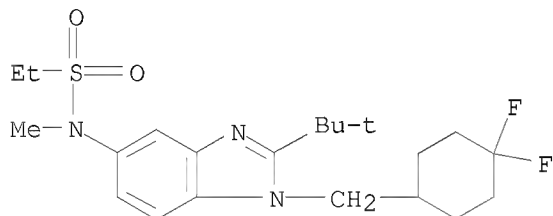
CRN 76-05-1

CMF C2 H F3 O2



RN 881413-23-6 HCAPLUS

CN Ethanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)



RN 881413-24-7 HCAPLUS

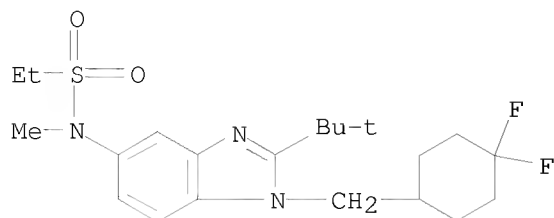
CN Ethanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl-, 2,2,2-trifluoroacetate
(1:1) (CA INDEX NAME)

CM 1

CRN 881413-23-6

CMF C21 H31 F2 N3 O2 S

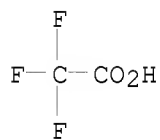
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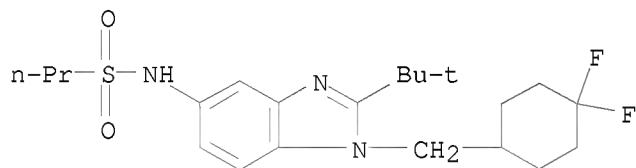
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CMF C2 H F3 O2



RN 881413-25-8 HCAPLUS

CN 1-Propanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]- (CA INDEX NAME)



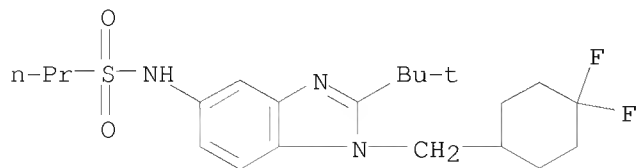
RN 881413-26-9 HCAPLUS

CN 1-Propanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 881413-25-8

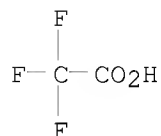
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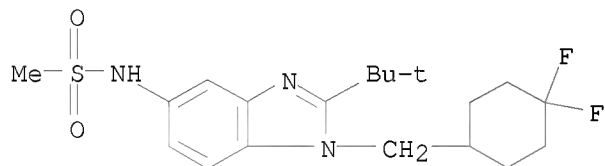
CRN 76-05-1
CMF C2 H F3 O2



RN 881413-28-1 HCAPLUS
CN Methanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-, 2,2,2-trifluoroacetate (10:19) (CA INDEX NAME)

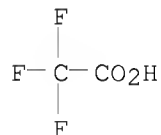
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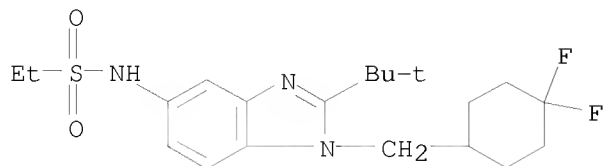
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 881413-29-2 HCAPLUS
CN Ethanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]- (CA INDEX NAME)

10573054



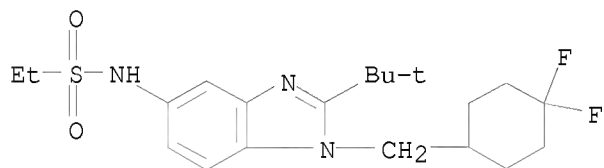
RN 881413-30-5 HCAPLUS

CN Ethanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 881413-29-2

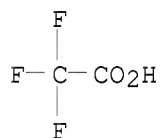
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CM 2

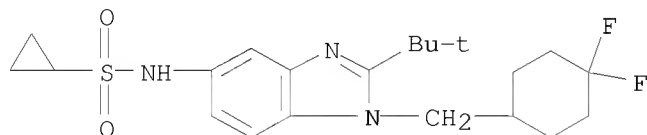
CRN 76-05-1

CMF C2 H F3 O2



RN 881413-31-6 HCAPLUS

CN Cyclopropanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 881413-32-7 HCAPLUS

CN Cyclopropanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-, 2,2,2-trifluoroacetate (1:1) (CA

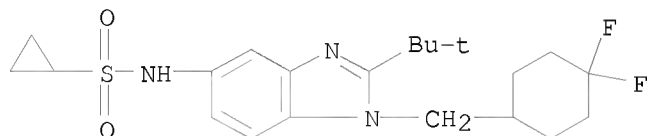
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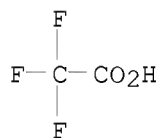
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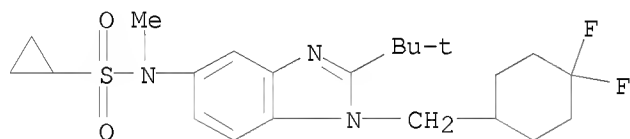
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RN 881413-33-8 HCAPLUS

CN Cyclopropanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)



RN 881413-34-9 HCAPLUS

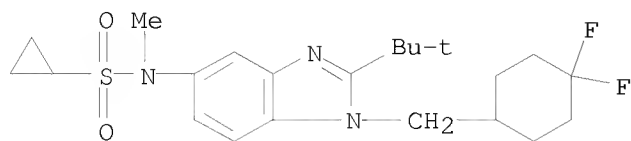
CN Cyclopropanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 881413-33-8

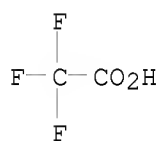
CMF C22 H31 F2 N3 O2 S

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CM 2

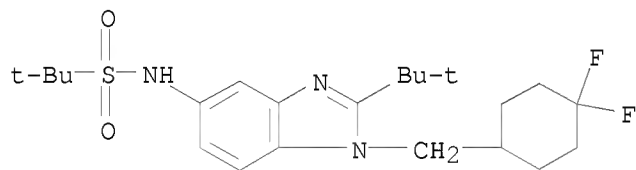
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CMF C2 H F3 O2



RN 881413-36-1 HCAPLUS
CN 2-Propanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-2-methyl-, 2,2,2-trifluoroacetate (5:6) (CA INDEX NAME)

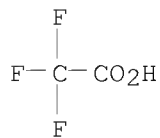
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CMF C22 H33 F2 N3 O2 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



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CN	Cyclopropanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-	

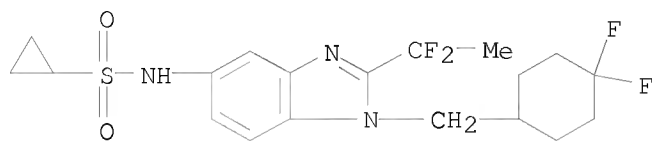
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difluoroethyl)-1H-benzimidazol-5-yl]-, 2,2,2-trifluoroacetate (10:7) (CA INDEX NAME)

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CRN 881413-37-2

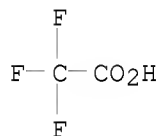
CMF C19 H23 F4 N3 O2 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



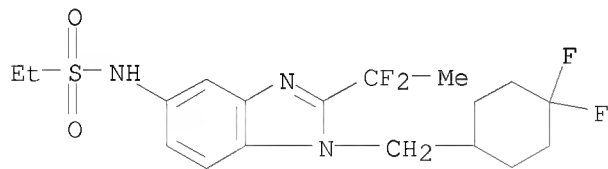
RN 881413-40-7 HCAPLUS

CN Ethanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-difluoroethyl)-1H-benzimidazol-5-yl]-, 2,2,2-trifluoroacetate (5:4) (CA INDEX NAME)

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CRN 881413-39-4

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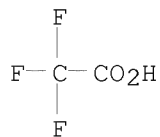


CM 2

CRN 76-05-1

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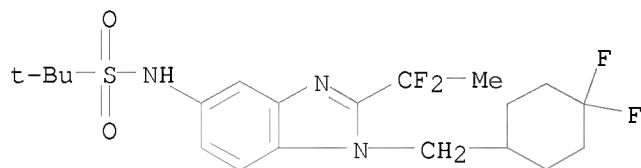
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RN 881413-42-9 HCAPLUS
CN 2-Propanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-difluoroethyl)-1H-benzimidazol-5-yl]-2-methyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

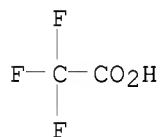
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CM 2

CRN 76-05-1
CMF C2 H F3 O2



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

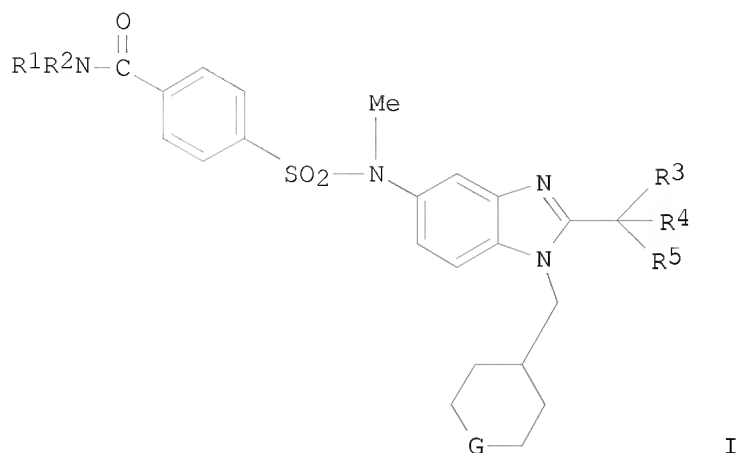
L12 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:295541 HCAPLUS
DOCUMENT NUMBER: 144:350678
TITLE: Preparation of benzimidazole derivatives for treatment of pain
INVENTOR(S): Page, Daniel; Liu, Ziping; Tremblay, Maxime; Walpole, Christopher; Yang, Hua
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

10573054

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 12
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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IN 2007DN01629	A	20070803	IN 2007-DN1629	20070228
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			US 2004-640498P	P 20041230
			SE 2003-2570	A 20030926
			SE 2003-2572	A 20030926
			WO 2005-SE1400	W 20050922

OTHER SOURCE(S): MARPAT 144:350678
 GI



AB Benzimidazoles I (G = O, Cf₂; R₁, R₂ = H, OH, alkyl, alkoxy, hydroxyalkyl; R₃, R₄, R₅ = F, Me) and their pharmaceutically acceptable salts are prepared. They are useful in therapy, in particular in the management of pain. Thus, reaction of 4-{[[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-yl](methyl)amino]sulfonyl}benzoic acid with ethanolamine in DMF in the presence of diisopropylethylamine at room temperature for 3 h gave 62% 4-{[[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-yl](methyl)amino]sulfonyl}-N-(2-hydroxyethyl)benzamide as trifluoroacetate salt.

IT 881017-24-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole derivs. for treatment of pain)

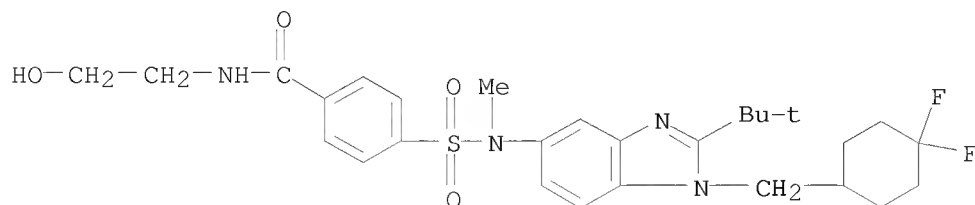
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CN Benzamide, 4-[[[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]methylamino]sulfonyl]-N-(2-hydroxyethyl)-, 2,2,2-trifluoroacetate (2:3) (CA INDEX NAME)

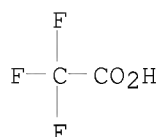
CM 1

CRN 881017-23-8

CMF C28 H36 F2 N4 O4 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:295539 HCAPLUS

DOCUMENT NUMBER: 144:350676

TITLE: Benzimidazole derivatives, and their pharmaceutical
compositions, preparation and their cannabinoid
receptor binding affinity and use in therapy, such as
pain managementINVENTOR(S): Wei, Zhong-Yong; Milburn, Claire; Desfosses, Helene;
Page, Daniel; Walpole, Christopher; Yang, Hua

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

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 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
 SN, TD, TG

AU 2005287426 A1 20060330 AU 2005-287426 20050922
 CA 2582507 A1 20060330 CA 2005-2582507 20050922
 EP 1797078 A1 20070620 EP 2005-786563 20050922

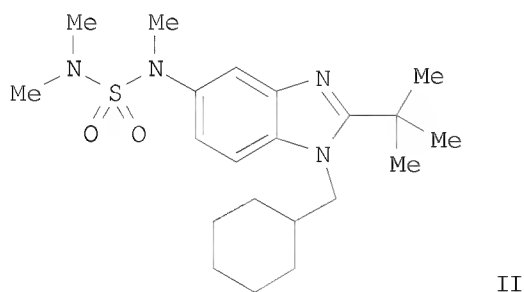
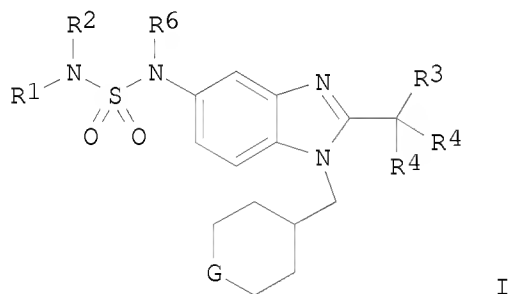
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CN 101031563 A 20070905 CN 2005-80032328 20050922
 JP 2008514592 T 20080508 JP 2007-533432 20050922
 BR 2005015890 A 20080812 BR 2005-15890 20050922
 IN 2007DN01715 A 20070803 IN 2007-DN1715 20070305
 ZA 2007002047 A 20080925 ZA 2007-2047 20070308
 MX 2007003106 A 20070607 MX 2007-3106 20070315
 KR 2007054217 A 20070528 KR 2007-706693 20070323
 NO 2007002091 A 20070601 NO 2007-2091 20070423

PRIORITY APPLN. INFO.:

WO 2004-GB4124 A 20040924
 US 2004-640804P P 20041230
 SE 2003-2573 A 20030926
 WO 2005-SE1402 W 20050922

OTHER SOURCE(S): MARPAT 144:350676
 GI



AB Compds. of formula I, or pharmaceutically acceptable salts and compns.,

the preparation of these compds., and their cannabinoid (CB) receptor binding affinity are disclosed in this invention. These compds. are useful in therapy, in particular in the management of pain. Compds. of formula I where in G is O, CH₂, CHF and CF₂; R₁ and R₂ are independently H, (un)substituted C₁-6 alkyl or (un)substituted C₃-6 cycloalkyl; R₃, R₄, and R₅ are independently F or Me; R₆ is H or Me; and their pharmaceutically acceptable salts, diastereoisomers, enantiomers, or mixts. thereof are claimed in this invention. Example compound II was prepared by amidation 4-fluoro-3-nitroaniline with Me chloroformate to give Me (4-fluoro-3-nitrophenyl)carbamate, which was reacted with (cyclohexylmethyl)amine to give Me {4-[(cyclohexylmethyl)amino]-3-nitrophenyl}carbamate, which underwent reduction to give the corresponding diamine, which was cyclized with trimethylacetyl chloride; the resulting Me [2-tert-butyl-1-(cyclohexylmethyl)-1H-benzimidazol-5-yl]carbamate was reduced with LiAlH₂ to give 2-tert-butyl-1-(cyclohexylmethyl)-N-methyl-1H-benzimidazol-5-ylamine, which was reacted with dimethylsulfamoyl chloride to give compound II. All the invention compound were evaluated for their human CB₁ and CB₂ receptor binding affinity. From the hCB₁ and hCB₂ receptor binding assay, the K_i towards human CB₁ receptors for certain invention compds. are in the range of between 2.98 nM and 495 nM. EC₅₀ for these compds. was found to be in the range of between 4.5 nM and 350 nM. Emax for these compound were determined to be in the range of between 73% and 142%.

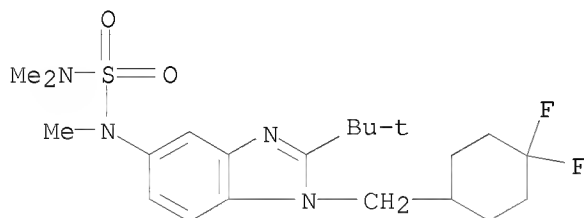
IT 881387-15-1P 881387-16-2P 881387-19-5P
 881387-20-8P 881387-21-9P 881387-22-0P
 881387-23-1P 881387-24-2P 881387-25-3P
 881387-30-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzimidazole derivs. and their cannabinoid receptor binding affinity and use in therapy, such as pain management)

RN 881387-15-1 HCAPLUS

CN Sulfamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N,N',N'-trimethyl- (CA INDEX NAME)



RN 881387-16-2 HCAPLUS

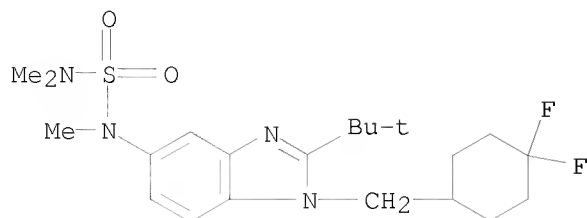
CN Sulfamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N,N',N'-trimethyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 881387-15-1

CMF C21 H32 F2 N4 O2 S

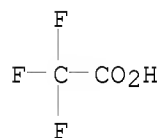
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CM 2

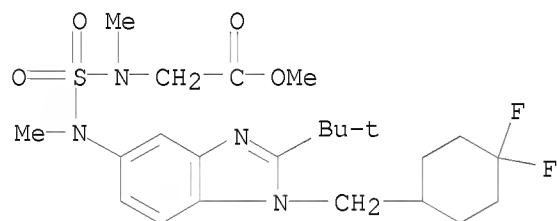
CRN 76-05-1

CMF C2 H F3 O2



RN 881387-19-5 HCAPLUS

CN Glycine, N-[[[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]methylamino]sulfonyl]-N-methyl-, methyl ester (CA INDEX NAME)



RN 881387-20-8 HCAPLUS

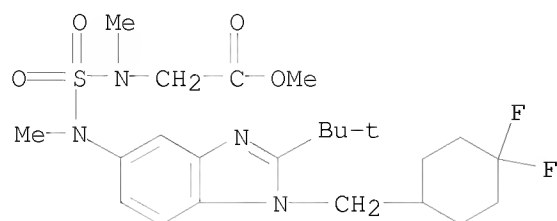
CN Glycine, N-[[[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]methylamino]sulfonyl]-N-methyl-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 881387-19-5

CMF C23 H34 F2 N4 O4 S

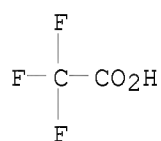
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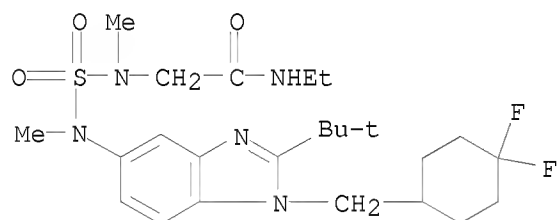
CRN 76-05-1

CMF C2 H F3 O2



RN 881387-21-9 HCAPLUS

CN Acetamide, 2-[[[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]methylamino]sulfonyl]methylamino]-N-ethyl- (CA INDEX NAME)



RN 881387-22-0 HCAPLUS

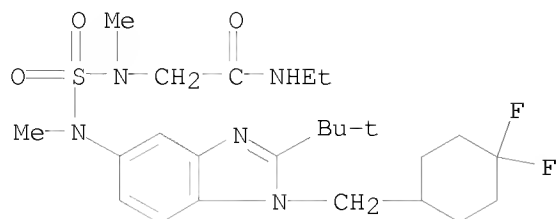
CN Acetamide, 2-[[[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]methylamino]sulfonyl]methylamino]-N-ethyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 881387-21-9

CMF C24 H37 F2 N5 O3 S

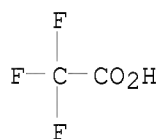
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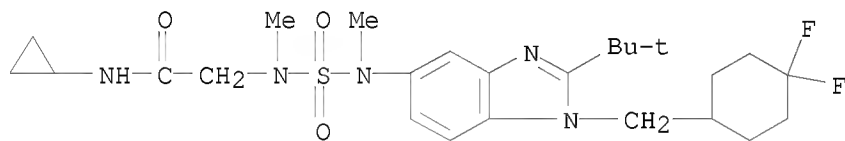
CRN 76-05-1

CMF C2 H F3 O2



RN 881387-23-1 HCAPLUS

CN Acetamide, N-cyclopropyl-2-[[[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]methylamino]sulfonyl]methylamino]-
(CA INDEX NAME)



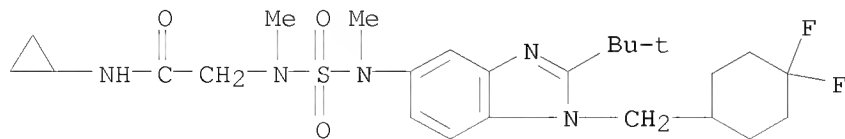
RN 881387-24-2 HCAPLUS

CN Acetamide, N-cyclopropyl-2-[[[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]methylamino]sulfonyl]methylamino]-,
2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 881387-23-1

CMF C25 H37 F2 N5 O3 S

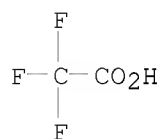


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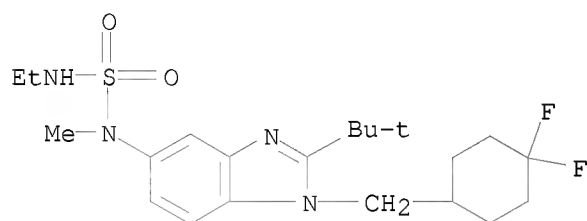
CRN 76-05-1

CMF C2 H F3 O2



RN 881387-25-3 HCAPLUS

CN Sulfamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N'-ethyl-N-methyl- (CA INDEX NAME)



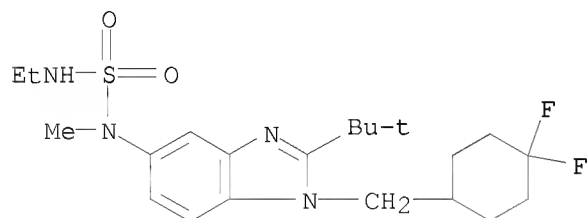
RN 881387-30-0 HCAPLUS

CN Sulfamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N'-ethyl-N-methyl-, acetate (1:1) (CA INDEX NAME)

CM 1

CRN 881387-25-3

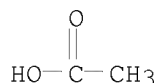
CMF C21 H32 F2 N4 O2 S



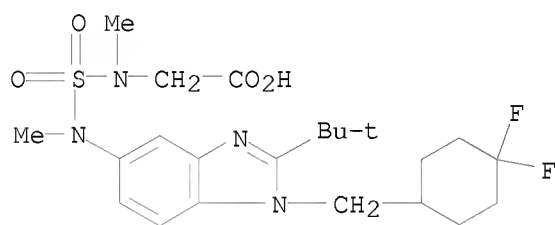
CM 2

CRN 64-19-7

CMF C2 H4 O2



IT 881387-28-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (intermediate; preparation of benzimidazole derivs. and their cannabinoid
 receptor binding affinity and use in therapy, such as pain management)
 RN 881387-28-6 HCAPLUS
 CN Glycine, N-[[[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-
 benzimidazol-5-yl]methylamino]sulfonyl]-N-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
 (2 CITINGS)
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2006:295538 HCAPLUS
 DOCUMENT NUMBER: 144:350675
 TITLE: Benzimidazole derivatives, and their pharmaceutical
 compositions, preparation and their cannabinoid
 receptor binding affinity and use in therapy, such as
 pain management
 INVENTOR(S): Page, Daniel; Liu, Ziping; Tremblay, Maxime; Milburn,
 Claire; Walpole, Christopher; Yang, Hua
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.
 SOURCE: PCT Int. Appl., 52 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 12
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006033627	A1	20060330	WO 2005-SE1399	20050922
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 WO 2005030761 A1 20050407 WO 2004-GB4112 20040924
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 CN 101027292 A 20070829 CN 2005-80032338 20050922
 JP 2008514589 T 20080508 JP 2007-533429 20050922
 IN 2007DN01720 A 20070824 IN 2007-DN1720 20070305
 PRIORITY APPLN. INFO.: WO 2004-GB4112 A 20040924
 SE 2005-267 A 20050203
 SE 2003-2570 A 20030926
 WO 2005-SE1399 W 20050922
 OTHER SOURCE(S): MARPAT 144:350675
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I, or pharmaceutically acceptable salts and compns., the preparation of these compds., and their cannabinoid (CB) receptor binding affinity are disclosed in this invention. These compds. are useful in therapy, in particular in the management of pain. Compds. of formula I where in G is O and CF₂; R₁ and R₂ are independently H, C₁₋₄ alkyl, HO-C₁₋₄ alkyl, C₁₋₄ alkoxy-C₁₋₄ alkyl, or C₁₋₄ alkoxy; R₁R₂ together with the N to which they are bound may form a C₃₋₆ heterocycle; R₃, R₄, and R₅ are independently F or Me; and their pharmaceutically acceptable salts, diastereoisomers, enantiomers, or mixts. thereof, and methods for preparation are claimed in this invention. Example compound II was prepared by amidation of 4-fluoro-3-nitroaniline with acetic anhydride followed by to give N-(4-fluoro-3-nitrophenyl)-N-methyl-acetamide, which underwent amination with (4,4-difluorocyclohexylmethyl)amine TFA salt to give N-(4-[(4,4-difluorocyclohexyl)methyl]amino)-3-nitrophenyl)-N-methyl-acetamide, which was reduced at the nitro group to give the corresponding amine, which cyclized with trimethylacetyl chloride; the resulting N-{2-tert-butyl-1-[(4,4-difluorocyclohexyl)methyl]-1H-benzimidazol-5-yl}-N-methyl-acetamide was deacetylated to give N-{2-tert-butyl-1-[(4,4-difluorocyclohexyl)methyl]}-N-methyl-1H-benzimidazol-5-amine, which underwent sulfonylation with 4-formylbenzenesulfonyl chloride to give the corresponding

4-formylphenylsulfonamide, which underwent reductive amination with 2-aminoethanol to give compound II. All the invention compound were evaluated for their human CB1 and CB2 receptor binding affinity. From the hCB1 and hCB2 receptor binding assay, the K_i towards human CB1 receptors for certain invention compds. are in the range of between 9 nM and 1175 nM. EC_{50} for these compds. was found to be in the range of between 12 nM and 49 nM. Emax for these compound were determined to be in the range of between 109% and 143%.

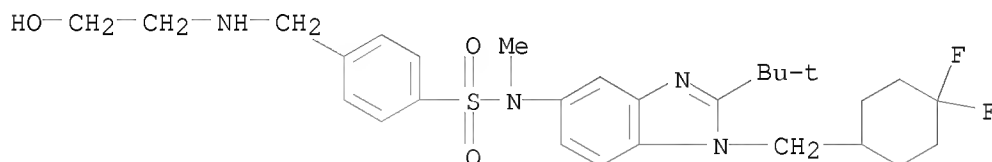
IT 881377-00-0P 881377-01-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzimidazole derivs. and their cannabinoid receptor binding affinity and use in therapy, such as pain management)

RN 881377-00-0 HCAPLUS

CN Benzenesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-4-[[(2-hydroxyethyl) amino]methyl]-N-methyl- (CA INDEX NAME)



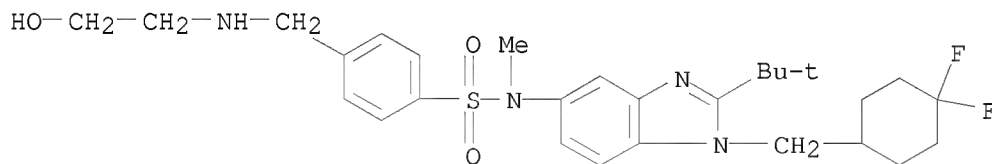
RN 881377-01-1 HCAPLUS

CN Benzenesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-4-[[(2-hydroxyethyl) amino]methyl]-N-methyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 881377-00-0

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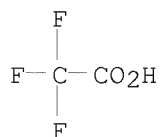


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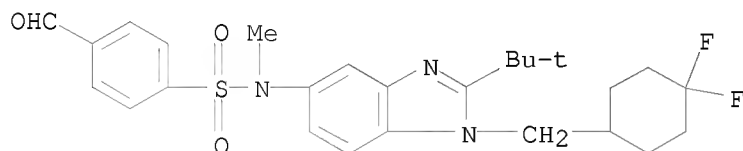
CRN 76-05-1

CMF C2 H F3 O2

10573054



IT 881377-22-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(intermediate; preparation of benzimidazole derivs. and their cannabinoid
receptor binding affinity and use in therapy, such as pain management)
RN 881377-22-6 HCAPLUS
CN Benzenesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-
dimethylethyl)-1H-benzimidazol-5-yl]-4-formyl-N-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:300439 HCAPLUS
DOCUMENT NUMBER: 142:373834
TITLE: Preparation of benzimidazoles as cannabinoid receptor
modulators for use in the management of pain
INVENTOR(S): Liu, Ziping; Milburn, Claire; Page, Daniel; Walpole,
Christopher; Yang, Hua
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Ltd.
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

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WO 2005030762	A1	20050407	WO 2004-GB4132	20040924
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EP 1670790 A1 20060621 EP 2004-768675 20040924
 EP 1670790 B1 20080820

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JP 2007506724 T 20070322 JP 2006-527493 20040924
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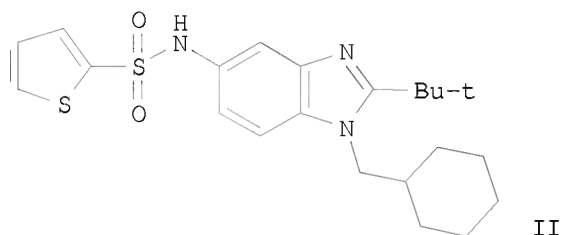
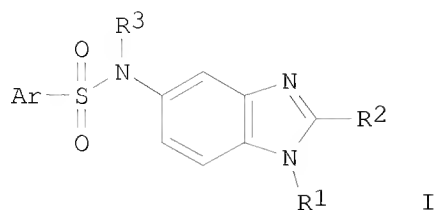
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PRIORITY APPLN. INFO.: SE 2003-2572 A 20030926
 WO 2004-GB4112 A 20040924
 WO 2004-GB4132 W 20040924
 US 2004-640498P P 20041230
 WO 2005-SE1400 W 20050922

OTHER SOURCE(S): CASREACT 142:373834; MARPAT 142:373834
 GI



AB The title compds. I [R1 = alkyl, alkenyl, cycloalkyl, etc.; R2 = alkyl, alkenyl, cycloalkyl, etc.; R3 = H, alkyl, cycloalkyl, etc.; Ar = (un)substituted aryl, heteroaryl], useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of II, starting from 4-fluoro-3-nitroaniline, was given. The Ki towards human CB1 receptors for most compds. I is measured to be in the range of 1.7-5000 nM. The Ki towards human CB2 receptors for most compds. I is measured to be in the range of about 0.5-22.2 nM. The pharmaceutical composition comprising the compound I is disclosed.

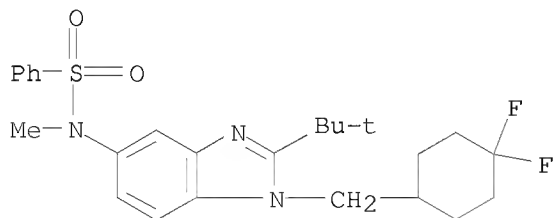
IT 849348-78-3P 849348-79-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazoles as cannabinoid receptor modulators for use in the management of pain)

RN 849348-78-3 HCAPLUS

CN Benzenesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)



RN 849348-79-4 HCAPLUS

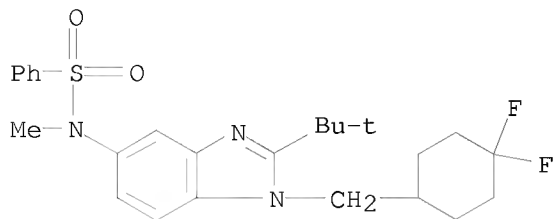
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10573054

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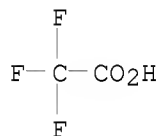
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CM 2

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OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD
(6 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
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L12 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:300438 HCAPLUS

DOCUMENT NUMBER: 142:373833

TITLE: Preparation of benzimidazoles as cannabinoid receptor
modulators for use in the management of pain

INVENTOR(S): Liu, Ziping; Milburn, Claire; Page, Daniel; Tremblay,
Maxime; Walpole, Christopher; Yang, Hua

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Ltd.

SOURCE: PCT Int. Appl., 254 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

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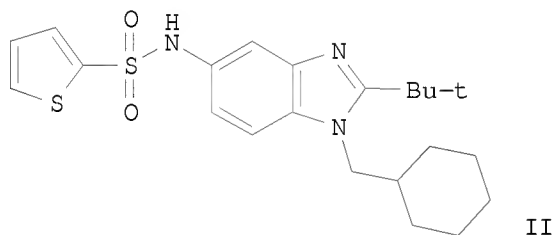
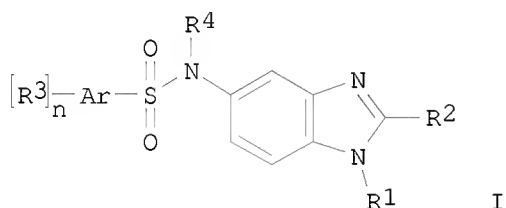
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WO 2005-SE1404	W	20050922
WO 2005-SE1405	W	20050922

OTHER SOURCE(S): CASREACT 142:373833; MARPAT 142:373833
GI



AB The title compds. I [R1 = alkyl, alkenyl, aryl, etc.; R2 = alkyl, alkenyl, cycloalkyl, etc.; Ar = aryl, heteroaryl; n = 0-3; R3 = H, NO2, halo, etc.; R4 = H, alkyl, cycloalkyl, etc.], useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of II, starting from 4-fluoro-3-nitroaniline, was given. The Ki towards human CB1 receptors for most compds. I is measured to be in the range of 0.7-7170 nM. The Ki towards human CB2 receptors for most compds. I is measured to be in the range of about 0.3-5800 nM. The pharmaceutical composition comprising the compound I is disclosed.

IT 849348-78-3P 849348-79-4P

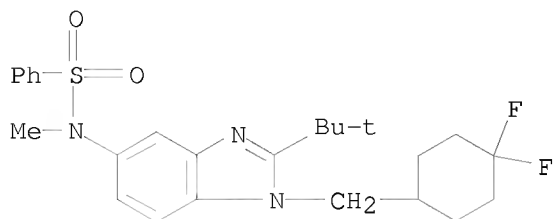
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazoles as cannabinoid receptor modulators for use in the management of pain)

RN 849348-78-3 HCAPLUS

10573054

CN Benzenesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)



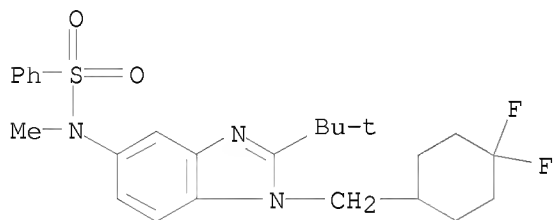
RN 849348-79-4 HCAPLUS

CN Benzenesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl-, 2,2,2-trifluoroacetate (5:8) (CA INDEX NAME)

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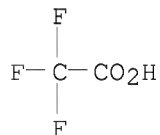
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CM 2

CRN 76-05-1

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OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:300415 HCAPLUS

DOCUMENT NUMBER: 142:373831

TITLE: Preparation of benzimidazoles as cannabinoid receptor modulators for use in the management of pain
 INVENTOR(S): Liu, Ziping; Page, Daniel; Walpole, Christopher; Yang, Hua
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Ltd.
 SOURCE: PCT Int. Appl., 55 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
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 PATENT INFORMATION:

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WO 2006033633 A1 20060330 WO 2005-SE1405 20050922

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EP 1797070 A1 20070620 EP 2005-784958 20050922

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EP 1797077 A1 20070620 EP 2005-786553 20050922

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EP 1797078 A1 20070620 EP 2005-786563 20050922

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CN 101065375 A 20071031 CN 2005-80040240 20050922

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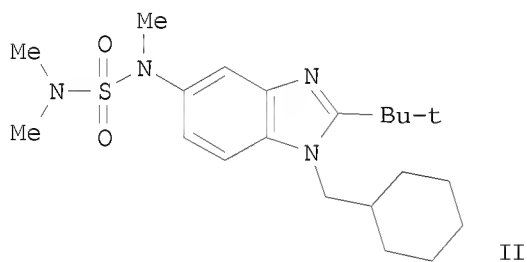
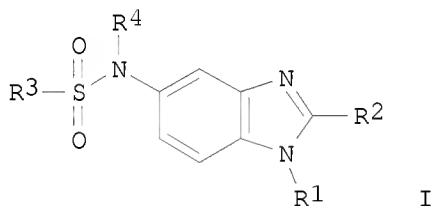
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			WO 2004-GB4124	W 20040924
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			WO 2005-SE1402	W 20050922
			WO 2005-SE1403	W 20050922
			WO 2005-SE1405	W 20050922
			US 2006-419603	A3 20060522

OTHER SOURCE(S): CASREACT 142:373831; MARPAT 142:373831
GI



AB The title compds. I [R1 = alkyl, alkenyl, aryl, etc.; R2 = alkyl, alkenyl, cycloalkyl, etc.; R3 = H, alkyl, (hetero)cycloalkyl, etc.; R4 = H, alkyl, cycloalkyl, etc.], useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of II, starting from 4-fluoro-3-nitroaniline, was given. The Ki towards human CB1 receptors for most compds. I is measured to be in the range of 5-25 nM. The Ki towards human CB2 receptors for most compds. I is measured to be in the

range of about 0.7-3.5 nM. The pharmaceutical composition comprising the compound I is disclosed.

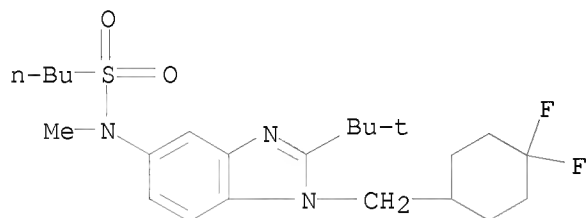
IT 849434-50-0P 849434-51-1P 849434-52-2P
849434-53-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazoles as cannabinoid receptor modulators for use in the management of pain)

RN 849434-50-0 HCAPLUS

CN 1-Butanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)



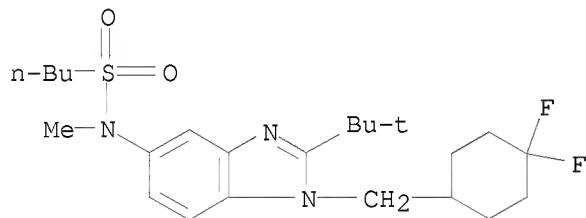
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CRN 849434-50-0

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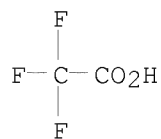


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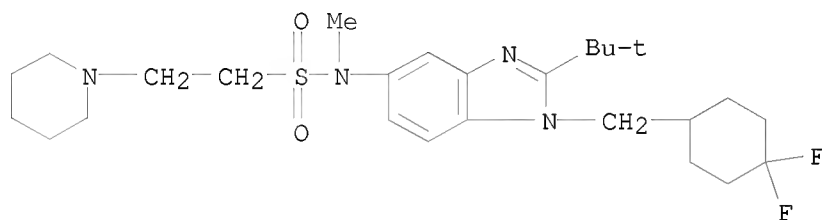
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10573054



RN 849434-52-2 HCAPLUS

CN 1-Piperidineethanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)



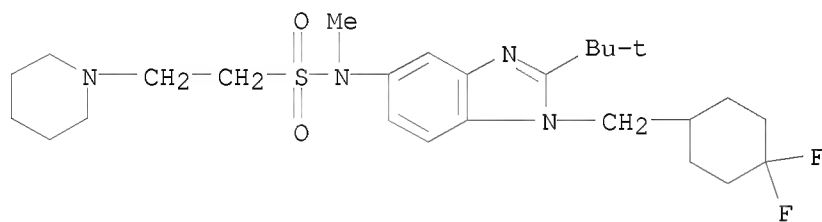
RN 849434-53-3 HCAPLUS

CN 1-Piperidineethanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl-, 2,2,2-trifluoroacetate (10:27) (CA INDEX NAME)

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CRN 849434-52-2

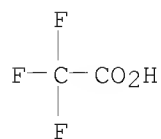
CMF C26 H40 F2 N4 O2 S



CM 2

CRN 76-05-1

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OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD
(9 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L13 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:295542 HCAPLUS

DOCUMENT NUMBER: 144:350679

TITLE: Benzimidazole derivatives, and their pharmaceutical compositions, preparation and their cannabinoid receptor binding affinity and use in therapy, such as pain management

INVENTOR(S): Page, Daniel; Liu, Ziping; Tremblay, Maxime; Walpole, Christopher; Yang, Hua

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.

SOURCE: PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

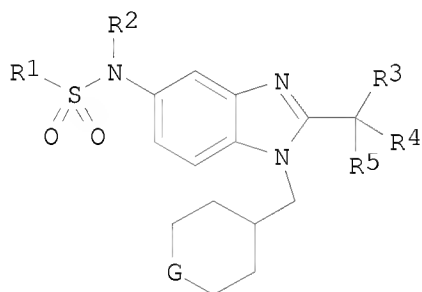
PATENT INFORMATION:

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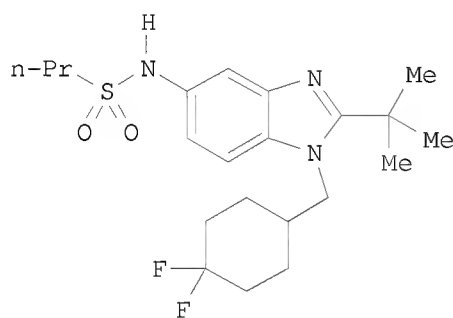
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CN 101052639	A	20071010	CN 2005-80032339	20050922
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US 7550495	B2	20090623		
IN 2007DN01632	A	20070803	IN 2007-DN1632	20070228
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			US 2004-640306P	P 20041230
			SE 2003-2573	A 20030926
			WO 2005-SE1403	W 20050922
			US 2006-419603	A3 20060522

OTHER SOURCE(S): MARPAT 144:350679
GI



I



II

AB Compds. of formula I, or pharmaceutically acceptable salts and compns., the preparation of these compds., and their cannabinoid (CB) receptor binding affinity are disclosed in this invention. These compds. are useful in therapy, in particular in the management of pain. Compds. of formula I where in G is O and CF₂; R₁ is C₁-6 alkyl and C₃-6 cycloalkyl; R₂ is H or Me; R₃, R₄, and R₅ are independently F or Me; and their pharmaceutically

acceptable salts, diastereoisomers, enantiomers, or mixts. thereof are claimed in this invention. Example compound II was prepared by amination of N-(4-fluoro-3-nitrophenyl)acetamide with [(4,4-difluorocyclohexyl)methyl]amine hydrochloride to give N-(-4-[(4,4-difluorocyclohexyl)methyl]amino)-3-nitrophenyl)acetamide, which underwent reduction; the resulting N-(-4-[(4,4-difluorocyclohexyl)methyl]amino)-3-aminophenyl)acetamide was cyclized with trimethylacetyl chloride to give the corresponding 5-acetylamino benzimidazole derivative, which was deacetylated to give the 5-aminobenzimidazole derive, which underwent sulfonylation with n-propanesulfonyl chloride to give example compound II. All the invention compound were evaluated for their human CB1 and CB2 receptor binding affinity. From the hCB1 and hCB2 receptor binding assay, the K_i towards human CB1 receptors for certain invention compds. are in the range of between 3 nM and 195 nM. EC_{50} for these compds. was found to be in the range of between 2.3 nM and 300 nM. E_{max} for these compound were determined to be in the range of between 109% and 144%.

IT 849434-51-1P 881413-21-4P 881413-22-5P
881413-23-6P 881413-24-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzimidazole derivs. and their cannabinoid receptor binding affinity and use in therapy, such as pain management)

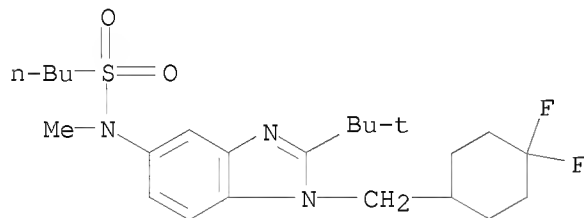
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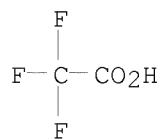


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CRN 76-05-1

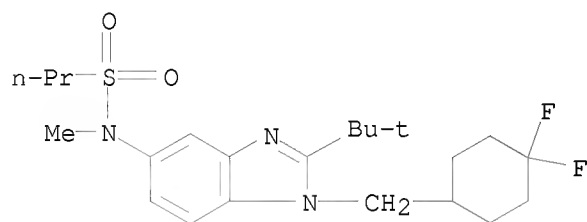
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10573054



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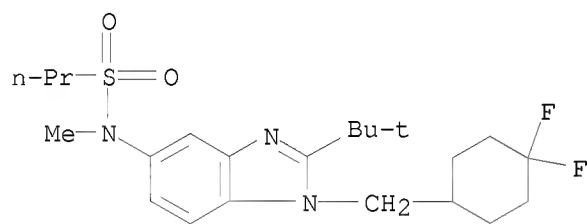
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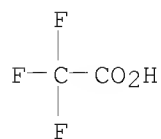
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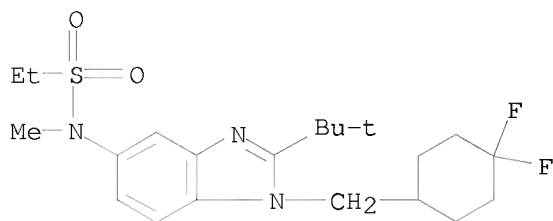
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10573054

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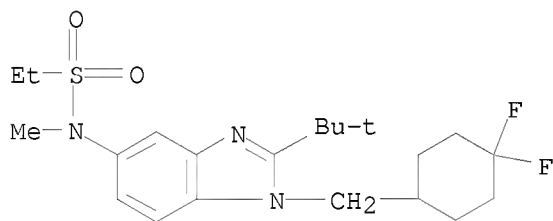
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CN Ethanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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CRN 881413-23-6

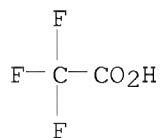
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CRN 76-05-1

CMF C2 H F3 O2



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:300415 HCAPLUS
 DOCUMENT NUMBER: 142:373831
 TITLE: Preparation of benzimidazoles as cannabinoid receptor modulators for use in the management of pain
 INVENTOR(S): Liu, Ziping; Page, Daniel; Walpole, Christopher; Yang, Hua
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Ltd.
 SOURCE: PCT Int. Appl., 55 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 12
 PATENT INFORMATION:

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CN 1886379	A	20061227	CN 2004-80035008	20040924
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RU 2346938	C2	20090220	RU 2006-113371	20040924
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ES 2324669	T3	20090812	ES 2004-768667	20040924
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WO 2006033630	A1	20060330	WO 2005-SE1402	20050922
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EP 1797070 A1 20070620 EP 2005-784958 20050922
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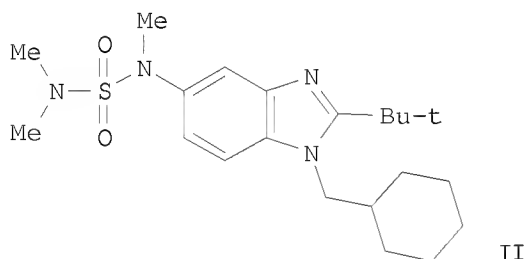
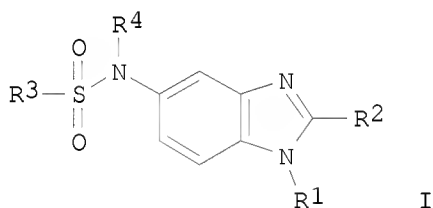
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CN 101031563 A 20070905 CN 2005-80032328 20050922
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 BR 2005015890 A 20080812 BR 2005-15890 20050922
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 HK 1089436 A1 20090731 HK 2006-109758 20060901

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IN 2007DN01715	A	20070803	IN 2007-DN1715	20070305
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ZA 2007002047	A	20080925	ZA 2007-2047	20070308
ZA 2007002131	A	20080827	ZA 2007-2131	20070313
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KR 2007054217	A	20070528	KR 2007-706693	20070323
KR 2007057856	A	20070607	KR 2007-706690	20070323
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US 20080207612	A1	20080828	US 2008-573054	20080311
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			WO 2004-GB4112	A 20040924
			WO 2004-GB4124	W 20040924
			US 2004-640306P	P 20041230
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			SE 2005-183	A 20050124
			WO 2005-SE1402	W 20050922
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			WO 2005-SE1405	W 20050922
			US 2006-419603	A3 20060522

OTHER SOURCE(S): CASREACT 142:373831; MARPAT 142:373831
GI



AB The title compds. I [R1 = alkyl, alkenyl, aryl, etc.; R2 = alkyl, alkenyl, cycloalkyl, etc.; R3 = H, alkyl, (hetero)cycloalkyl, etc.; R4 = H, alkyl, cycloalkyl, etc.], useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of II, starting from 4-fluoro-3-nitroaniline, was given. The Ki towards human CB1 receptors

for most compds. I is measured to be in the range of 5-25 nM. The Ki towards human CB2 receptors for most compds. I is measured to be in the range of about 0.7-3.5 nM. The pharmaceutical composition comprising the compound I is disclosed.

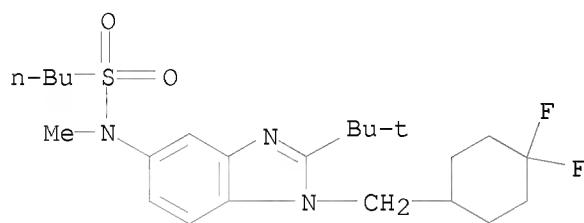
IT 849434-50-0P 849434-51-1P 849434-52-2P
849434-53-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazoles as cannabinoid receptor modulators for use in the management of pain)

RN 849434-50-0 HCAPLUS

CN 1-Butanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)



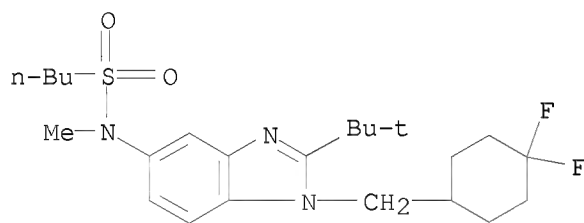
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CRN 849434-50-0

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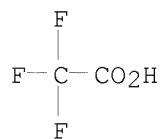


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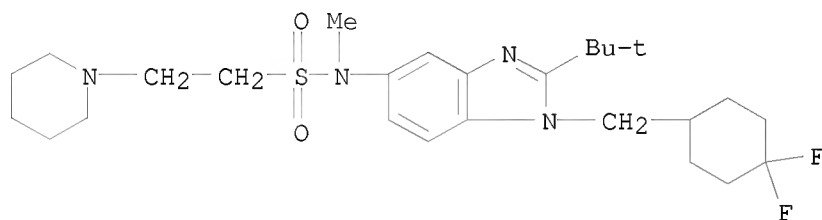
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10573054



RN 849434-52-2 HCAPLUS

CN 1-Piperidineethanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)



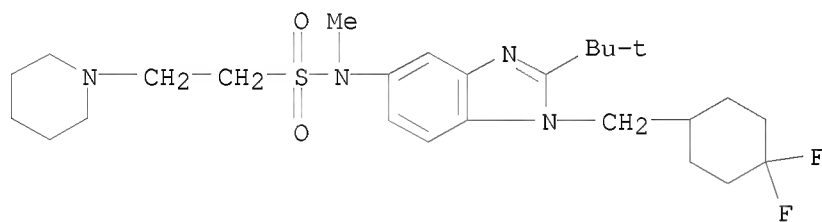
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CN 1-Piperidineethanesulfonamide, N-[1-[(4,4-difluorocyclohexyl)methyl]-2-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-N-methyl-, 2,2,2-trifluoroacetate (10:27) (CA INDEX NAME)

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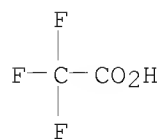
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OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD
(9 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L24 ANSWER 1 OF 10 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:836829 HCAPLUS

DOCUMENT NUMBER: 139:323519

TITLE: Preparation of imidazoarenes as prostaglandin E2
subtype EP4 receptor antagonists for treatment of IL-6
involved diseases

INVENTOR(S): Shimojo, Masato; Taniguchi, Kana

PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.

SOURCE: PCT Int. Appl., 427 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086371	A2	20031023	WO 2003-IB1310	20030403 <--
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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RU 2285527	C2	20061020	RU 2004-130320	20030403
NZ 535748	A	20070629	NZ 2003-535748	20030403
CN 101023946	A	20070829	CN 2007-10084937	20030403
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PRIORITY APPLN. INFO.:

US 2002-372364P	P 20020412
CN 2003-813401	A3 20030403
WO 2003-IB1310	W 20030403
US 2003-411491	A3 20030410

OTHER SOURCE(S): MARPAT 139:323519
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to the use of a prostaglandin E2 (PGE2) subtype EP4 receptor ligand in the manufacture of a medicament for the treatment of interleukin 6 (IL-6) involved diseases, such as alc. cirrhosis, amyloidosis, atherosclerosis, cardiac disease, sclerosis, and organ transplantation reactions (no data). The invention also relates to the assay which comprises culturing peripheral whole blood with a test compound and determining the effect of the compound on PGE2-induced whole blood cells activation. Three hundred eighty title compds. I [wherein Y1-Y4 = N, CH, CL; R1 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, pyrrolidinyl, amino, etc.; A = (un)substituted 5-6 membered (un)substituted monocyclic (hetero)aromatic ring; B = halo-substituted alkylene, cycloalkylene, alkenylene, alkynylene, alkyleneoxy, etc., optionally substituted with an oxo or alkyl group; W = amino, O, S, bond, etc.; R2 = H, OH, alkyl, alkoxy; Z = 5-12 membered (un)substituted monocyclic or bicyclic (hetero)aryl; L = halo, alkyl, haloalkyl, OH, alkoxy, haloalkoxy, alkylthio, NO2, amino, etc.] were prepared Thus , cycloaddn. of 2-[4-[(3-amino-4,6-dimethyl-2-pyridinyl)amino]phenyl]ethanol (4-step preparation given) with propionyl chloride in toluene provided 2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl propionate, which was treated with aqueous LiOH to give the ethanol derivative (86%). Chlorination (90%) using thionyl chloride, conversion to the azide (85%), and Pd/C catalyzed hydrogenation afforded the amine (94%). Coupling of the amine with p-toluenesulfonyl isocyanate in CH2Cl2 gave II (56%). The latter significantly inhibited IL-6 secretion by PGE2 in ConA-stimulated human peripheral blood mononuclear cells (PBMC).

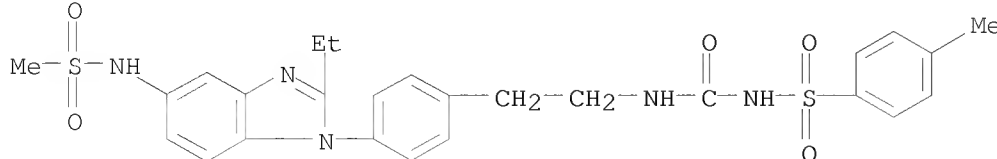
IT 415903-94-5P 415904-17-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazoarene prostaglandin EP4 receptor antagonists for treatment of IL-6 involved diseases)

RN 415903-94-5 HCAPLUS

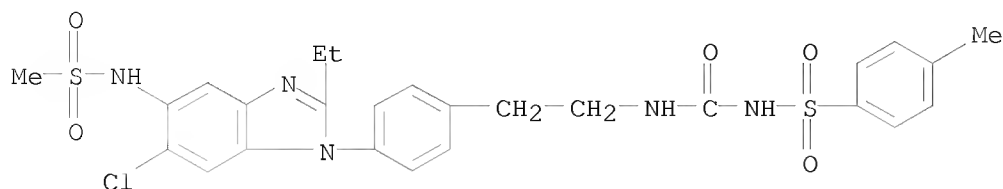
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10573054

RN 415904-17-5 HCAPLUS

CN Benzenesulfonamide, N-[[[2-[4-[6-chloro-2-ethyl-5-[(methylsulfonyl)amino]-1H-benzimidazol-1-yl]phenyl]ethyl]amino]carbonyl]-4-methyl- (CA INDEX NAME)



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415910-44-0P 415910-45-1P 415911-10-3P

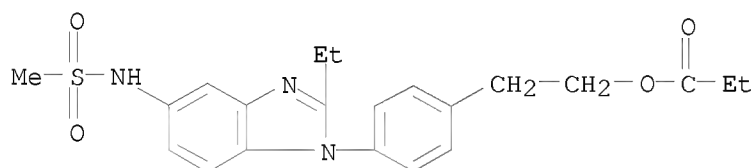
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazoarene prostaglandin EP4 receptor antagonists for treatment of IL-6 involved diseases)

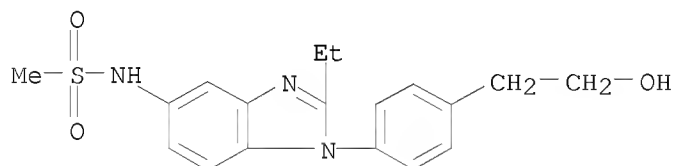
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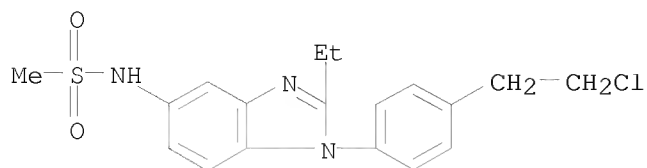
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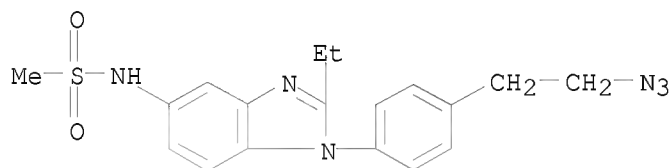
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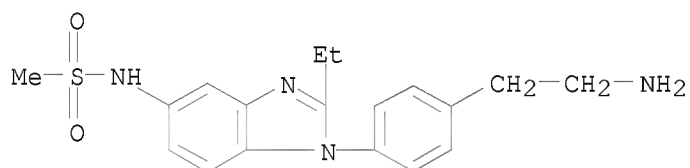
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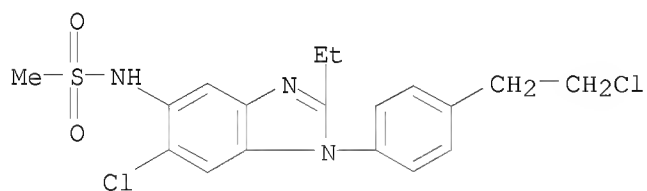
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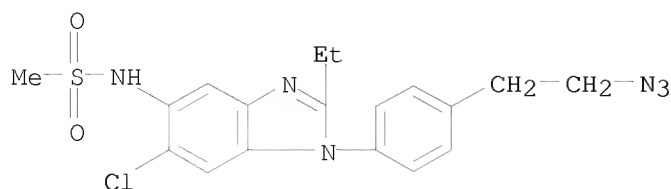
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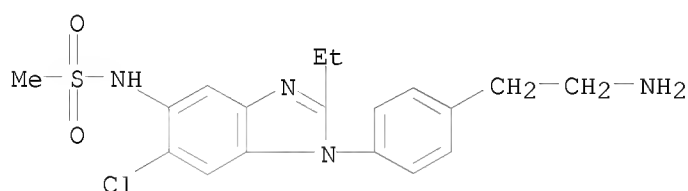
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10573054



RN 415911-12-5 HCAPLUS
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OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 2 OF 10 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:832768 HCAPLUS

DOCUMENT NUMBER: 137:337892

TITLE: Novel alkoxyarylbenzimidazoles as CB2 receptor agonists

INVENTOR(S): Cheng, Yun-Xing; Tomaszewski, Mirosław; Walpole, Christopher; Yang, Hua

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

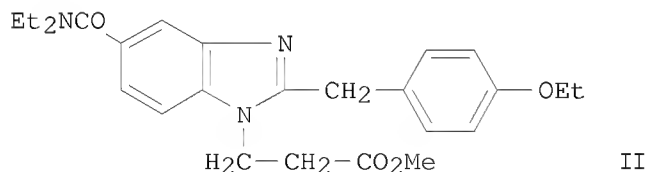
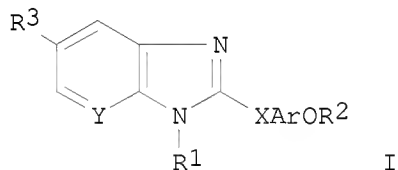
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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WO 2002085866	A1	20021031	WO 2002-SE769	20020418 <--
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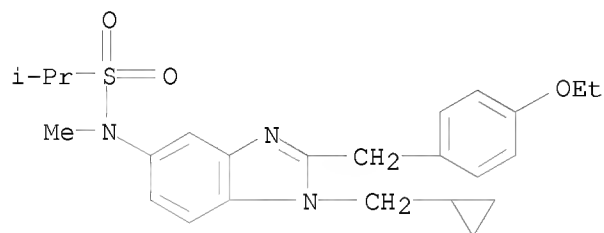
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EP 1390350	A1	20040225	EP 2002-764120	20020418
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HU 2003003825	A2	20040301	HU 2003-3825	20020418
HU 2003003825	A3	20050628		
BR 2002008907	A	20040420	BR 2002-8907	20020418
CN 1503787	A	20040609	CN 2002-808577	20020418
CN 1250531	C	20060412		
JP 2004528334	T	20040916	JP 2002-583393	20020418
NZ 528403	A	20050527	NZ 2002-528403	20020418
NZ 538692	A	20060929	NZ 2002-538692	20020418
RU 2312864	C2	20071220	RU 2003-129638	20020418
ZA 2003007752	A	20050103	ZA 2003-7752	20031003
US 20040116465	A1	20040617	US 2003-474549	20031009 <--
US 7030139	B2	20060418		
IN 2003DN01633	A	20090320	IN 2003-DN1633	20031009
BG 108271	A	20041230	BG 2003-108271	20031014
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US 20060135554	A1	20060622	US 2006-325124	20060104 <--
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			NZ 2002-528403	A1 20020418
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OTHER SOURCE(S):			MARPAT 137:337892	
GI				



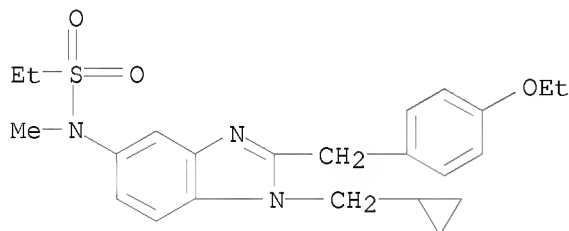
AB Title compds. I [R1 = (un)substituted alkyl, alkenyl; R2 = alkyl, fluoroalkyl, cycloalkyl; R3 = (un)substituted H2NCONH, HCONH, HO2CNH, H2NCSNH, HSO2NH, H2NSO2, H2NCH2, H2NCS, H2NCO, NH2, acyl; X = (un)substituted CH2, NH, CO, CH2CH2, CH:CH, O, S, S(O), SO2; Y = CH, N; Ar = (un)substituted aryl] were prepared as CB2 receptor agonists in the

management of pain. Thus, 4,3-F(O₂N)C₆H₃CONH₂ was treated with H₂NCH₂CH₂CO₂Et followed by reduction of the nitro group and cyclization with 4-EtOC₆H₄CH₂COCl to give the benzimidazole II, formed by transesterification during chromatog. II had K_i for human CB₂ receptor binding of 142 nM.

IT 474018-46-7P 474018-50-3P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of novel alkoxyarylbenzimidazoles as CB₂ receptor agonists)
 RN 474018-46-7 HCAPLUS
 CN 2-Propanesulfonamide, N-[1-(cyclopropylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)



RN 474018-50-3 HCAPLUS
 CN Ethanesulfonamide, N-[1-(cyclopropylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS RECORD (29 CITINGS)
 REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:314939 HCAPLUS

DOCUMENT NUMBER: 136:340677

TITLE: Preparation of imidazoarenes as antiinflammatory and analgesic agents.

INVENTOR(S): Nakao, Kazunari; Okumura, Yoshiyuki; Matsumizu, Miyako; Uneo, Naomi; Hashizume, Yoshinobu; Kato, Tomoki; Kawai, Akiyoshi; Miyake, Yoriko; Nukui, Seiji; Shinjyo, Katsuhiko; Taniguchi, Kana

PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.

SOURCE: PCT Int. Appl., 461 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

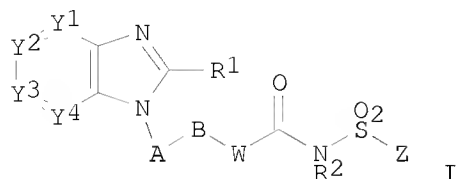
10573054

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032900	A2	20020425	WO 2001-IB1940	20011015 <--
WO 2002032900	A3	20020808		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2002010796	A	20020429	AU 2002-10796	20011015 <--
US 20020077329	A1	20020620	US 2001-977761	20011015 <--
US 20020107273	A1	20020808	US 2001-977621	20011015 <--
US 6710054	B2	20040323		
EP 1326864	A2	20030716	EP 2001-978702	20011015 <--
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NZ 525163	A	20050930	NZ 2001-525163	20011015
AT 320428	T	20060415	AT 2001-978702	20011015
EP 1666480	A1	20060607	EP 2006-110920	20011015
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ES 2258554	T3	20060901	ES 2001-978702	20011015
HU 2006000593	A2	20061128	HU 2006-593	20011015
BG 107699	A	20031231	BG 2003-107699	20030403 <--
IN 2003MN00386	A	20050211	IN 2003-MN386	20030407
NO 2003001582	A	20030617	NO 2003-1582	20030408 <--
ZA 2003002722	A	20040408	ZA 2003-2722	20030408
MX 2003003463	A	20030714	MX 2003-3463	20030416 <--
ZA 2003002991	A	20040416	ZA 2003-2991	20030416
US 20040181059	A1	20040916	US 2004-771696	20040204 <--
US 7141580	B2	20061128		
IN 2006MN00518	A	20070608	IN 2006-MN518	20060508
US 20070155732	A1	20070705	US 2006-556523	20061103 <--
US 7479564	B2	20090120		
JP 2007277255	A	20071025	JP 2007-154590	20070611
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			EP 2001-978702	A3 20011015
			JP 2002-536282	A3 20011015
			US 2001-977621	A3 20011015
			WO 2001-IB1940	W 20011015
			US 2004-771696	A3 20040204

OTHER SOURCE(S): MARPAT 136:340677

GI



AB Title compds. [I; Y1-Y4 = N, CH, CL; R1 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, pyrrolidinyl, amino, etc.; A = (substituted) 5-6 membered monocyclic aromatic ring optionally containing up to 3 heteroatoms selected from O, N, S, etc.; B = halo-substituted alkylene, cycloalkylene, alkenylene, alkynylene, alkyleneoxy, etc., optionally substituted with an oxo group; W = amino, O, S, bond, etc.; R2 = H, OH, alkyl, alkoxy; Z = 5-12 membered (substituted) monocyclic or bicyclic aryl optionally containing up to 3 heteroatoms selected from O, N and S, etc.; L = halo, alkyl, haloalkyl, OH, alkoxy, haloalkoxy, alkylthio, NO2, amino, etc.], were prepared as prostaglandin E2 receptor antagonists, preferably as EP4 receptor antagonists. Thus, to 2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethylamine (preparation given) in CH2Cl2 was added p-toluenesulfonyl isocyanate followed by stirring for 3 h to give 56% 2-ethyl-5,7-dimethyl-3-[4-[2-[[[4-methylphenyl)sulfonyl]amino]carbonyl]amino]ethyl]phenyl]-3H-imidazo[4,5-b]pyridine. Preferred I inhibited PGE2-induced thermal hyperalgesia in rats with ED50<60 mg/kg.

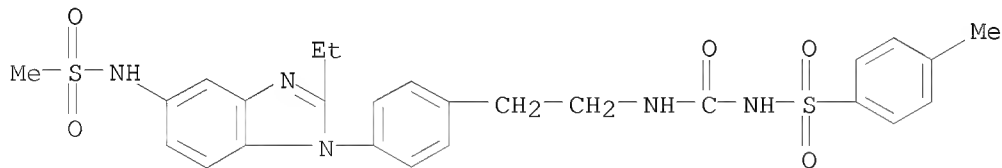
IT 415903-94-5P 415904-17-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazoarene prostaglandin EP4 receptor antagonists as antiinflammatory and analgesic agents)

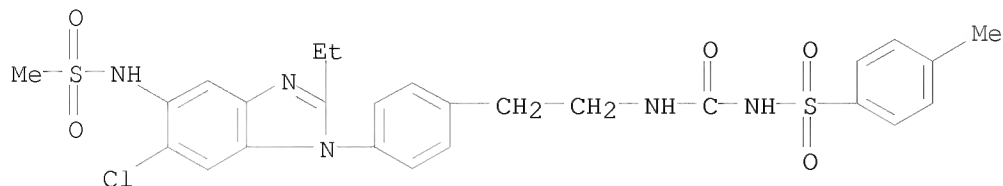
RN 415903-94-5 HCAPLUS

CN Benzenesulfonamide, N-[[[2-[4-[2-ethyl-5-[(methylsulfonyl)amino]-1H-benzimidazol-1-yl]phenyl]ethyl]amino]carbonyl]-4-methyl- (CA INDEX NAME)



RN 415904-17-5 HCAPLUS

CN Benzenesulfonamide, N-[[[2-[4-[6-chloro-2-ethyl-5-[(methylsulfonyl)amino]-1H-benzimidazol-1-yl]phenyl]ethyl]amino]carbonyl]-4-methyl- (CA INDEX NAME)



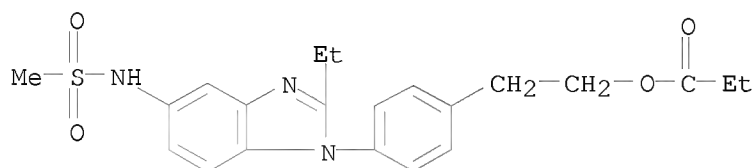
IT 415910-41-7P 415910-42-8P 415910-43-9P
 415910-44-0P 415910-45-1P 415911-10-3P
 415911-11-4P 415911-12-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazoarene prostaglandin EP4 receptor antagonists as antiinflammatory and analgesic agents)

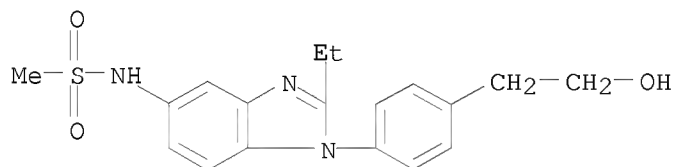
RN 415910-41-7 HCAPLUS

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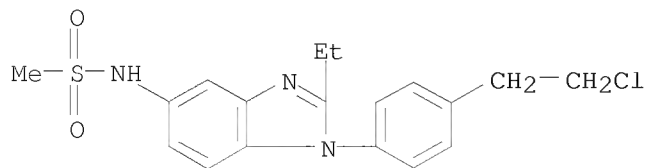
RN 415910-42-8 HCAPLUS

CN Methanesulfonamide, N-[2-ethyl-1-[4-(2-hydroxyethyl)phenyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 415910-43-9 HCAPLUS

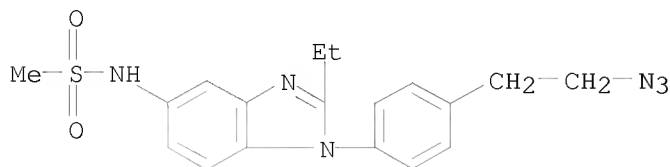
CN Methanesulfonamide, N-[1-[4-(2-chloroethyl)phenyl]-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 415910-44-0 HCAPLUS

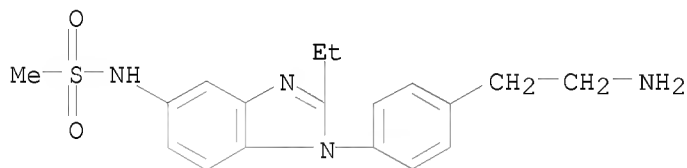
10573054

CN Methanesulfonamide, N-[1-[4-(2-azidoethyl)phenyl]-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



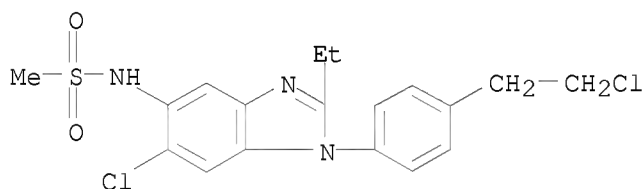
RN 415910-45-1 HCAPLUS

CN Methanesulfonamide, N-[1-[4-(2-aminoethyl)phenyl]-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



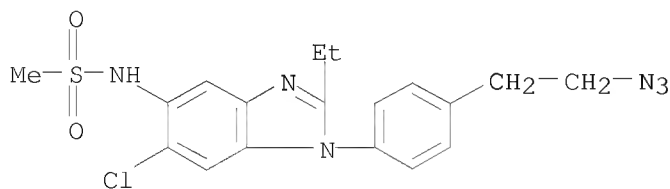
RN 415911-10-3 HCAPLUS

CN Methanesulfonamide, N-[6-chloro-1-[4-(2-chloroethyl)phenyl]-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



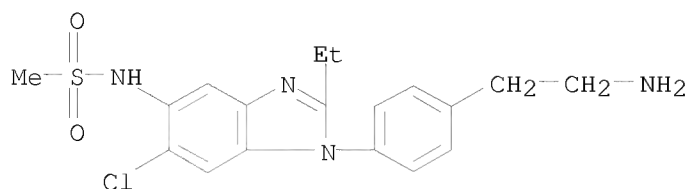
RN 415911-11-4 HCAPLUS

CN Methanesulfonamide, N-[1-[4-(2-azidoethyl)phenyl]-6-chloro-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 415911-12-5 HCAPLUS

CN Methanesulfonamide, N-[1-[4-(2-aminoethyl)phenyl]-6-chloro-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD
(9 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:314767 HCAPLUS

DOCUMENT NUMBER: 136:340676

TITLE: Preparation of benzimidazole derivatives as
prostaglandin EP4 receptor inhibitors to treat
rheumatoid arthritis

INVENTOR(S): Audoly, Laurent; Okumura, Takako; Shimojo, Masato

PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.

SOURCE: PCT Int. Appl., 468 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

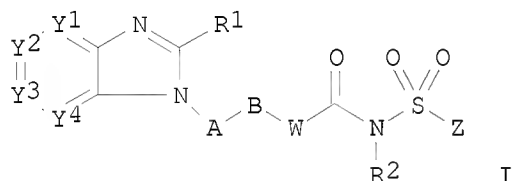
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032422	A2	20020425	WO 2001-IB1942	20011015 <--
WO 2002032422	A3	20020725		
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2426487	A1	20020425	CA 2001-2426487	20011015 <--
AU 2001094122	A	20020429	AU 2001-94122	20011015 <--
US 20020077329	A1	20020620	US 2001-977761	20011015 <--
US 20020107273	A1	20020808	US 2001-977621	20011015 <--
US 6710054	B2	20040323		
BR 2001014758	A	20030701	BR 2001-14758	20011015 <--
EP 1326606	A2	20030716	EP 2001-974609	20011015 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
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JP 2004511518	T	20040415	JP 2002-535660	20011015
HU 2003003766	A2	20040428	HU 2003-3766	20011015
HU 2003003766	A3	20050728		
AT 320428	T	20060415	AT 2001-978702	20011015

EP 1666480	A1	20060607	EP 2006-110920	20011015
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
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NO 2003001658	A	20030610	NO 2003-1658	20030410 <--
MX 2003003448	A	20030714	MX 2003-3448	20030416 <--
BG 107732	A	20040130	BG 2003-107732	20030416
ZA 2003002991	A	20040416	ZA 2003-2991	20030416
US 20040181059	A1	20040916	US 2004-771696	20040204 <--
US 7141580	B2	20061128		
US 20070155732	A1	20070705	US 2006-556523	20061103 <--
US 7479564	B2	20090120		
JP 2007277255	A	20071025	JP 2007-154590	20070611
PRIORITY APPLN. INFO.:			US 2000-241825P	P 20001019
			EP 2001-978702	A3 20011015
			JP 2002-536282	A3 20011015
			US 2001-977621	A3 20011015
			WO 2001-IB1942	W 20011015
			US 2004-771696	A3 20040204

OTHER SOURCE(S): MARPAT 136:340676
GI



AB Benzimidazole derivs. I wherein Y1-Y4 are independently N, CH, alkyl, alkoxy, haloalkyl, halo, substituted alkyl, R1 is H, alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, haloalkoxy, heterocycle; R2 is H, alkyl, alkoxy, OH; A is substituted heterocycle arom ring; B is haloalkylene, cycloalkylene, alkenylene, alkynylene, oxyalkylene; W is NH, aminoalkyl, O, S, oxime, covalent bond; Z is monocyclic and bicyclic aromatic heterocycle, were prepared as prostaglandin EP4 receptor inhibitors to treat rheumatoid arthritis of rats and human. Also featured is a method of identifying agents that selectively inhibit EP4 activity in vivo.

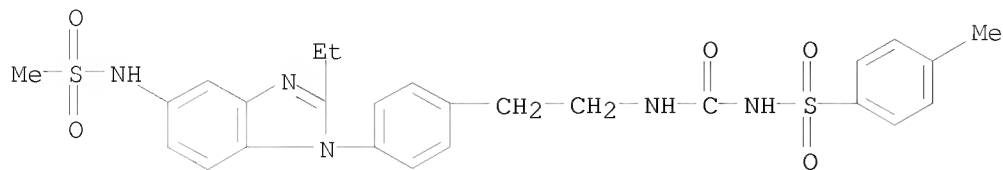
Thus, 3-(4-{2[({(3,4-dichlorophenyl)sulfonyl}amino}carbonyl)amino]ethyl}phenyl)-2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridine, hydrochloride was prepared and tested in vivo as an agent selectively inhibiting EP4 activity or selectively binding EP4; and measuring joint inflammation, joint swelling, joint ankylosis, interleukin (IL)-6, SAA protein, and/or joint mobility.

IT 415903-94-5P 415904-17-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole derivs. as prostaglandin ep receptor inhibitors to treat rheumatoid arthritis)

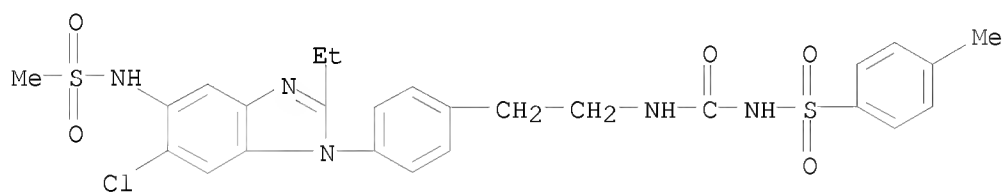
RN 415903-94-5 HCAPLUS

CN Benzenesulfonamide, N-[[[2-[4-[2-ethyl-5-[(methylsulfonyl)amino]-1H-benzimidazol-1-yl]phenyl]ethyl]amino]carbonyl]-4-methyl- (CA INDEX NAME)



RN 415904-17-5 HCAPLUS

CN Benzenesulfonamide, N-[[[2-[4-[6-chloro-2-ethyl-5-[(methylsulfonyl)amino]-1H-benzimidazol-1-yl]phenyl]ethyl]amino]carbonyl]-4-methyl- (CA INDEX NAME)



IT 415910-41-7P 415910-42-8P 415910-43-9P

415910-44-0P 415910-45-1P 415911-10-3P

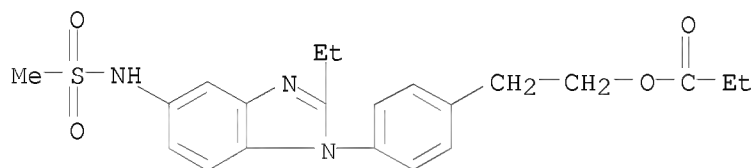
415911-11-4P 415911-12-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzimidazole derivs. as prostaglandin ep receptor inhibitors to treat rheumatoid arthritis)

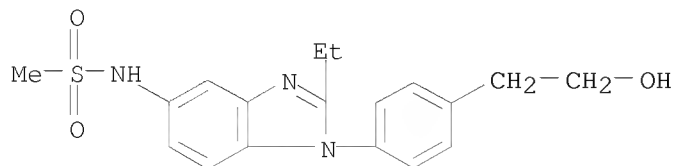
RN 415910-41-7 HCAPLUS

CN Methanesulfonamide, N-[2-ethyl-1-[4-[2-(1-oxopropoxy)ethyl]phenyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 415910-42-8 HCAPLUS

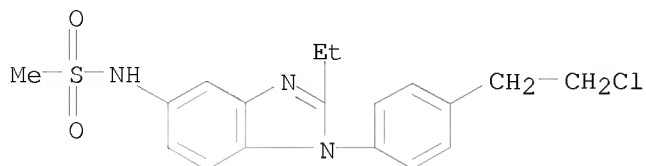
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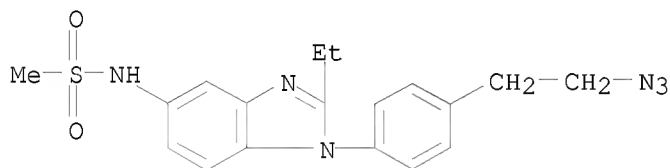
RN 415910-43-9 HCAPLUS

CN Methanesulfonamide, N-[1-[4-(2-chloroethyl)phenyl]-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



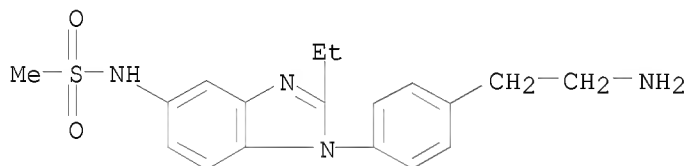
RN 415910-44-0 HCAPLUS

CN Methanesulfonamide, N-[1-[4-(2-azidoethyl)phenyl]-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



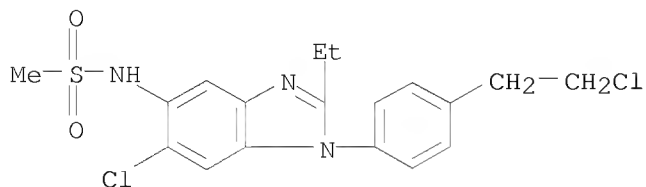
RN 415910-45-1 HCAPLUS

CN Methanesulfonamide, N-[1-[4-(2-aminoethyl)phenyl]-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 415911-10-3 HCAPLUS

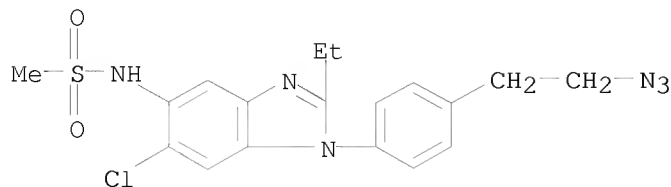
CN Methanesulfonamide, N-[6-chloro-1-[4-(2-chloroethyl)phenyl]-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 415911-11-4 HCAPLUS

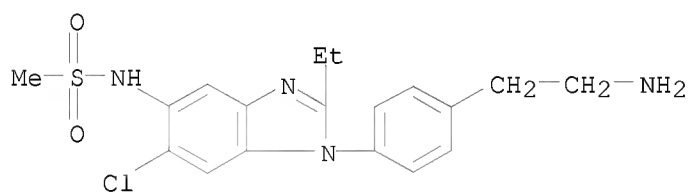
CN Methanesulfonamide, N-[1-[4-(2-azidoethyl)phenyl]-6-chloro-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

10573054



RN 415911-12-5 HCAPLUS

CN Methanesulfonamide, N-[1-[4-(2-aminoethyl)phenyl]-6-chloro-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 5 OF 10 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:792334 HCAPLUS

DOCUMENT NUMBER: 135:344480

TITLE: Preparation of benzimidazole cyclooxygenase-2 inhibitors

INVENTOR(S): Okumura, Yoshiyuki; Murata, Yoshinori; Mano, Takashi

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S., 29 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

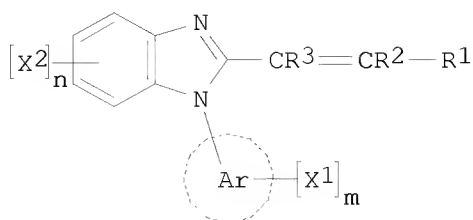
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6310079	B1	20011030	US 1999-244875	19990205 <--
US 20030013886	A1	20030116	US 2001-924351	20010808 <--
US 6713482	B2	20040330		
US 20040181062	A1	20040916	US 2004-773937	20040205 <--
PRIORITY APPLN. INFO.:			WO 1998-IB164	W 19980211
			US 1999-244875	A3 19990205
			US 2001-924351	A3 20010808

OTHER SOURCE(S): MARPAT 135:344480

GI



I

AB The title compds. [I; Ar = 6-membered monocyclic heteroaryl having one N atom; X1, X2 = halo, alkyl, OH, etc.; R1 = (un)substituted Ph, 5-membered monocyclic heteroaryl; R2, R3 = H, halo, alkyl, etc.; or R1 and R2 can form, together with the carbon atom to which they are attached, a cycloalkyl ring; m = 0-5; n = 0-4] and their pharmaceutically acceptable salts, useful as analgesics and anti-inflammatory agents, were prepared Thus, refluxing N-(2-pyridyl)-o-phenylenediamine with (E)-cinnamoyl chloride in PhMe afforded 41% (E)-I [Ar = 2-pyridyl; X1, X2 = H; R1 = Ph; R1, R2 = H]. Some compds. I showed low IC50 values of 0.01-1.0 μ M against COX-2.

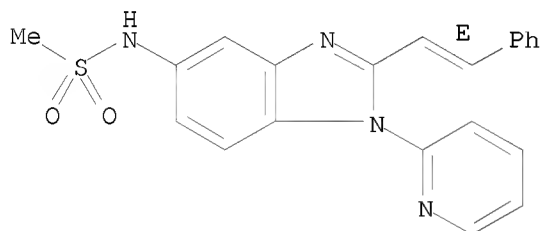
IT 371110-58-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazole cyclooxygenase-2 inhibitors)

RN 371110-58-6 HCAPLUS

CN Methanesulfonamide, N-[2-[(1E)-2-phenylethenyl]-1-(2-pyridinyl)-1H-benzimidazol-5-yl]- (CA INDEX NAME)

Double bond geometry as shown.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 6 OF 10 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:526062 HCAPLUS

DOCUMENT NUMBER: 135:107328

TITLE: Preparation of 1,2-diarylbenzimidazolealkanoates and analogs for treatment of disorders mediated by microglia activation

INVENTOR(S): Kuhnke, Joachim; Halfbrodt, Wolfgang; Moenning, Ursula

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 141 pp.

CODEN: PIXXD2

10573054

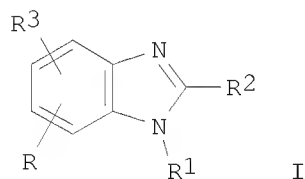
DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001051473	A1	20010719	WO 2001-EP334	20010112 <--
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HU 2002004011	A3	20030728		
JP 2003523961	T	20030812	JP 2001-551855	20010112 <--
EE 200200390	A	20031015	EE 2002-390	20010112 <--
NZ 519326	A	20050225	NZ 2001-519326	20010112
AU 782993	B2	20050915	AU 2001-42332	20010112
CN 1301975	C	20070228	CN 2001-803766	20010112
TW 287005	B	20070921	TW 2001-90100751	20010112
US 20020006948	A1	20020117	US 2001-759360	20010116 <--
US 7115645	B2	20061003		
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BG 106821	A	20030131	BG 2002-106821	20020613 <--
NO 2002003362	A	20020913	NO 2002-3362	20020712 <--
NO 326408	B1	20081201		
ZA 2002006470	A	20040219	ZA 2002-6470	20020813
US 20060094770	A1	20060504	US 2005-299135	20051208 <--
US 7329679	B2	20080212		
US 20060205803	A1	20060914	US 2005-305521	20051216 <--
US 7345075	B2	20080318		

PRIORITY APPLN. INFO.:

DE 2000-10002898	A	20000114
US 2000-178324P	P	20000127
WO 2001-EP334	W	20010112
US 2001-759360	A3	20010116

OTHER SOURCE(S): MARPAT 135:107328
 GI



AB Title compds. [I; R = ZZ1R4; R1,R2 = (un)substituted (hetero)aryl; R3 = H, halo, substituted alkyl, alkoxy, etc.; R4 = CO2H, alkoxycarbonyl, CONH2, SO3H, etc.; Z = O, (alkyl)imino, acylimino; Z1 = (heteroatom-interrupted) alkyl(en)ylene, etc.] were prepared Thus, I (R1 = R2 = Ph, R3 = H) (II; R = 6-OH) was etherified by BrCH2CO2CHMe3 to give II (R = 6-OCH2CO2CHMe3). Data for biol. activity of I were given.

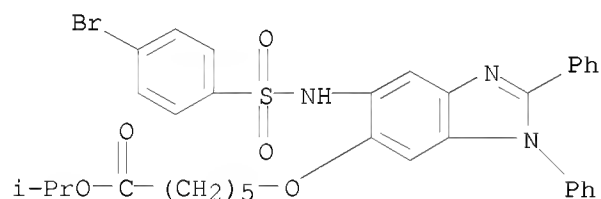
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 350234-46-7P 350234-47-8P 350234-48-9P
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 350238-48-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1,2-diarylbenzimidazolealkanoates and analogs for treatment of disorders mediated by microglia activation)

RN 350232-45-0 HCAPLUS

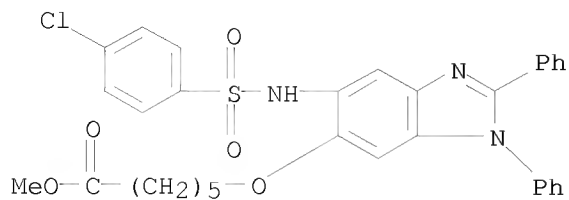
CN Hexanoic acid, 6-[[5-[[[(4-bromophenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]-, 1-methylethyl ester (CA INDEX NAME)



RN 350232-47-2 HCAPLUS

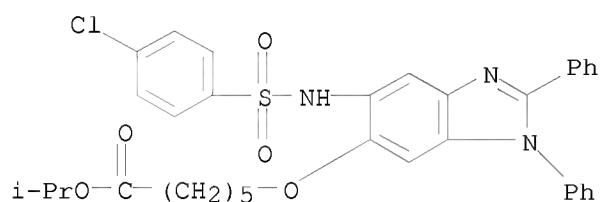
CN Hexanoic acid, 6-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)

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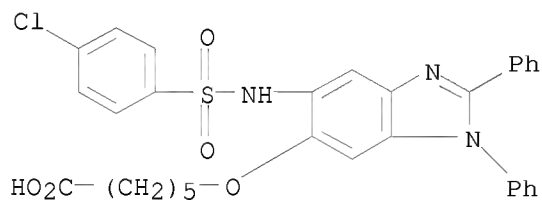
RN 350232-48-3 HCAPLUS

CN Hexanoic acid, 6-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]-, 1-methylethyl ester (CA INDEX NAME)



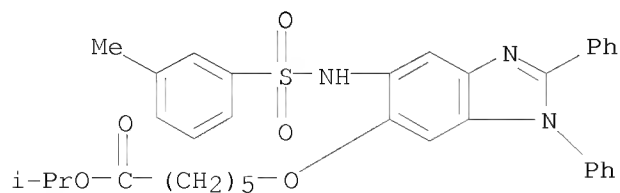
RN 350232-49-4 HCAPLUS

CN Hexanoic acid, 6-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]- (CA INDEX NAME)



RN 350232-50-7 HCAPLUS

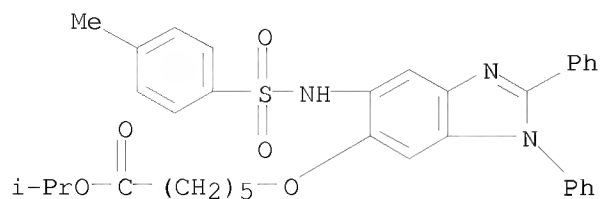
CN Hexanoic acid, 6-[[5-[[[(3-methylphenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]-, 1-methylethyl ester (CA INDEX NAME)



RN 350232-51-8 HCAPLUS

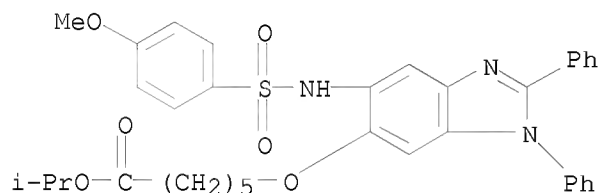
CN Hexanoic acid, 6-[[5-[[[(4-methylphenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]-, 1-methylethyl ester (CA INDEX NAME)

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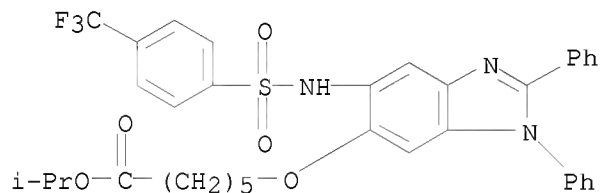
RN 350232-52-9 HCAPLUS

CN Hexanoic acid, 6-[[5-[[[4-methoxyphenyl]sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]-, 1-methylethyl ester (CA INDEX NAME)



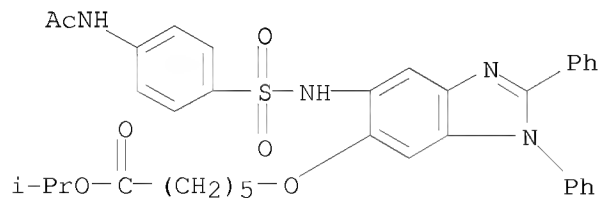
RN 350232-53-0 HCAPLUS

CN Hexanoic acid, 6-[[1,2-diphenyl-5-[[[4-(trifluoromethyl)phenyl]sulfonyl]amino]-1H-benzimidazol-6-yl]oxy]-, 1-methylethyl ester (CA INDEX NAME)



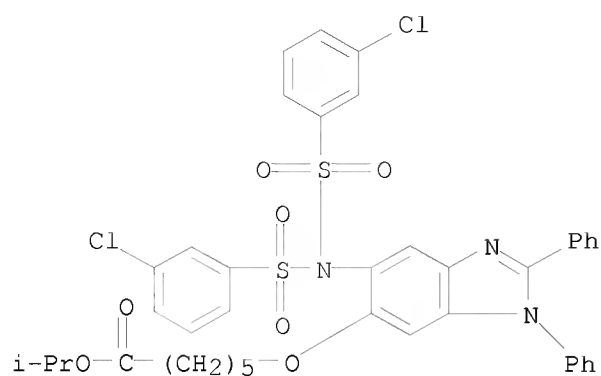
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CN Hexanoic acid, 6-[[5-[[[4-(acetylamino)phenyl]sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]-, 1-methylethyl ester (CA INDEX NAME)



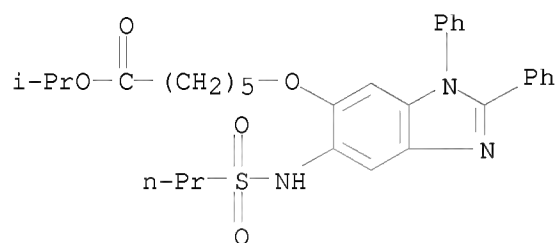
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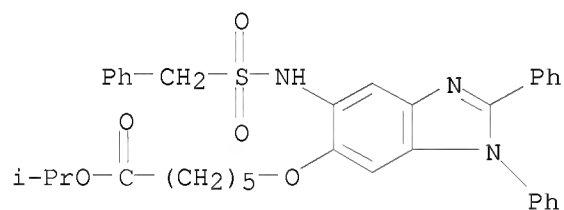
RN 350232-59-6 HCAPLUS

CN Hexanoic acid, 6-[[1,2-diphenyl-5-[(propylsulfonyl)amino]-1H-benzimidazol-6-yl]oxy]-, 1-methylethyl ester (CA INDEX NAME)



RN 350232-60-9 HCAPLUS

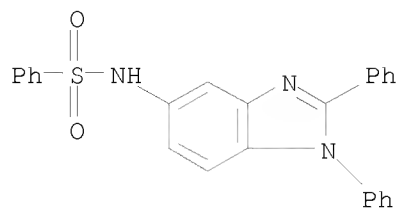
CN Hexanoic acid, 6-[[1,2-diphenyl-5-[(phenylmethyl)sulfonyl]amino]-1H-benzimidazol-6-yl]oxy]-, 1-methylethyl ester (CA INDEX NAME)



RN 350232-92-7 HCAPLUS

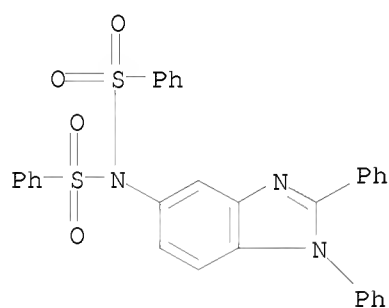
CN Benzenesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)- (CA INDEX NAME)

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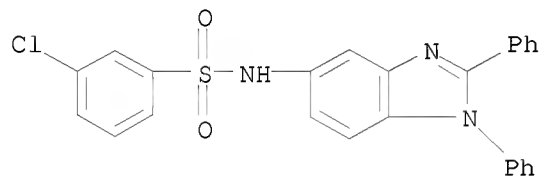
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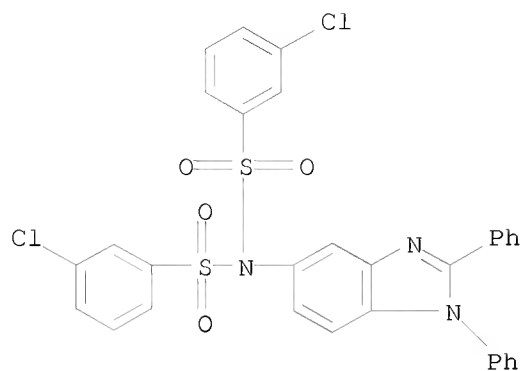
CN Benzenesulfonamide, 3-chloro-N-(1,2-diphenyl-1H-benzimidazol-5-yl)- (CA INDEX NAME)



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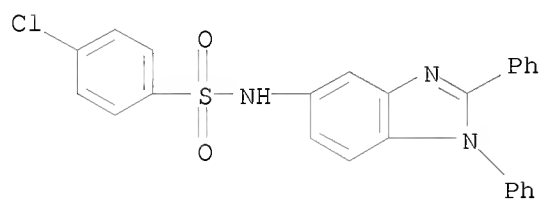
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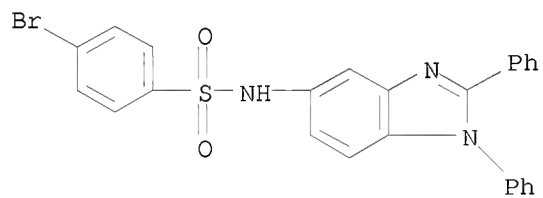
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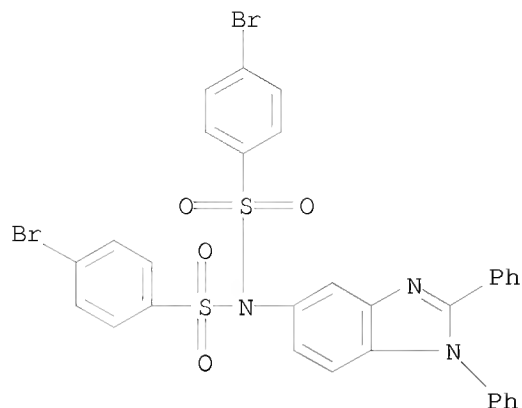
CN Benzenesulfonamide, 4-bromo-N-(1,2-diphenyl-1H-benzimidazol-5-yl)- (CA INDEX NAME)



RN 350233-02-2 HCAPLUS

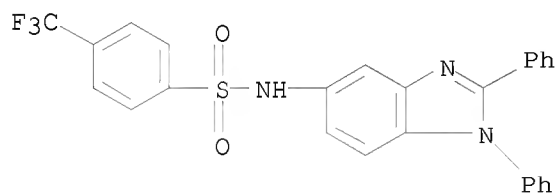
CN Benzenesulfonamide, 4-bromo-N-[(4-bromophenyl)sulfonyl]-N-(1,2-diphenyl-1H-benzimidazol-5-yl)- (CA INDEX NAME)

10573054



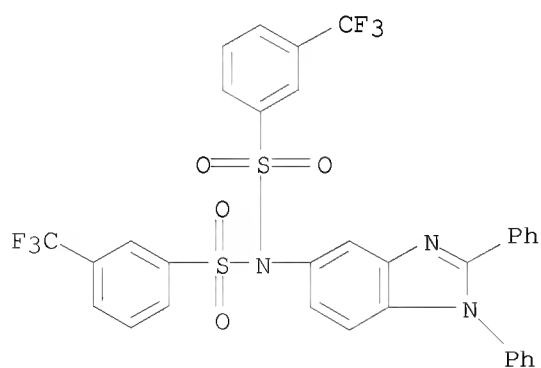
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CN Benzenesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)-4-(trifluoromethyl)- (CA INDEX NAME)



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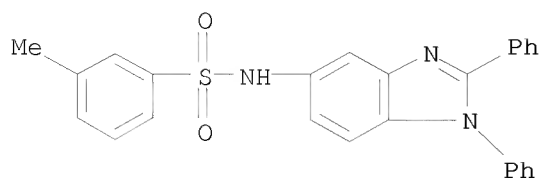
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RN 350233-08-8 HCAPLUS

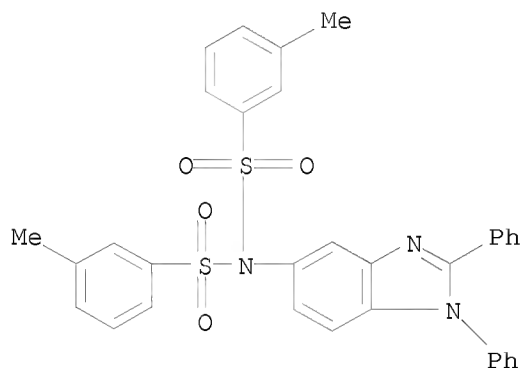
CN Benzenesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)-3-methyl- (CA INDEX NAME)

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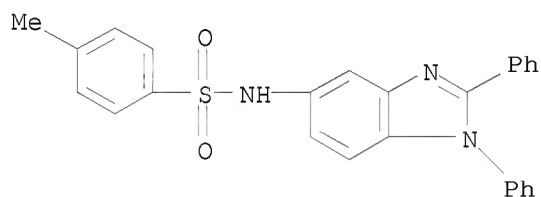
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RN 350233-12-4 HCAPLUS

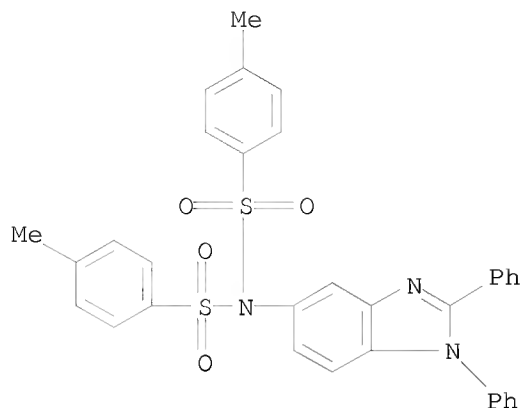
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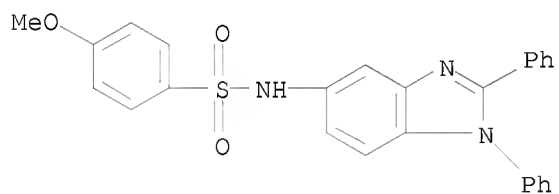
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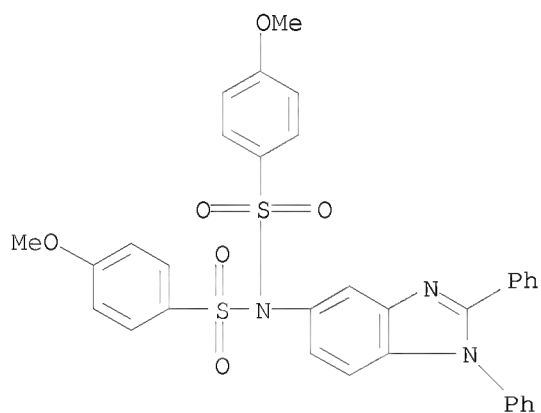
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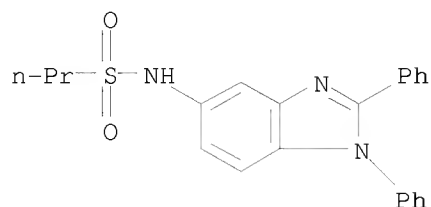
CN Benzenesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)-4-methoxy-N-[(4-methoxyphenyl)sulfonyl]- (CA INDEX NAME)



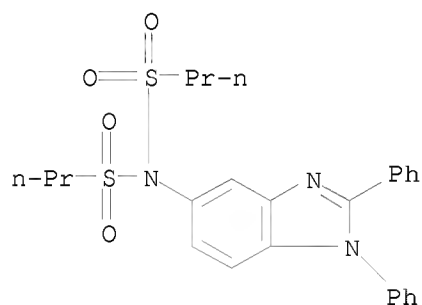
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CN 1-Propanesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)- (CA INDEX NAME)

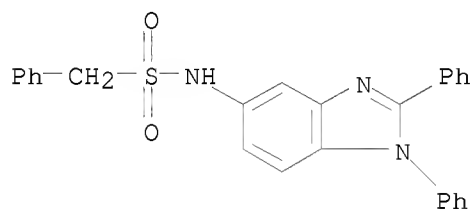
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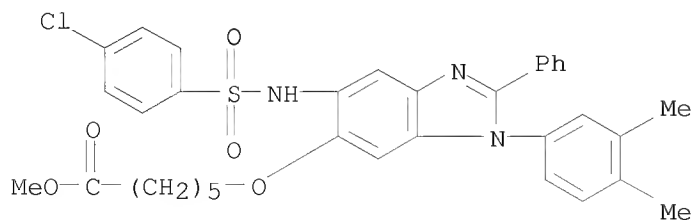
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CN 1-Propanesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)-N-(propylsulfonyl)- (CA INDEX NAME)



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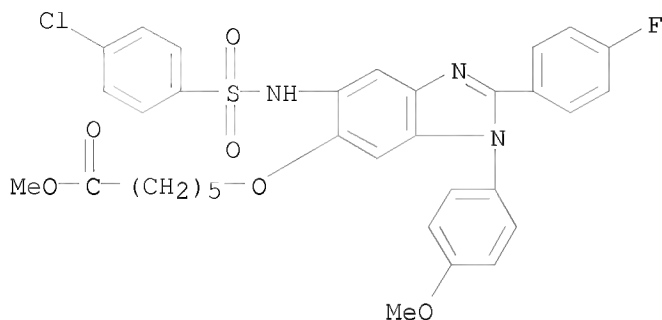
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CN Hexanoic acid, 6-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-1-(3,4-dimethylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)



10573054

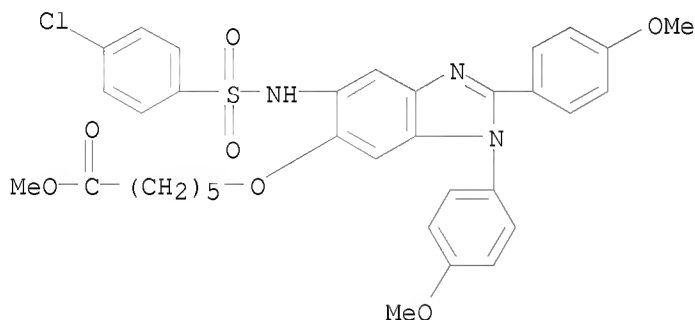
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CN Hexanoic acid, 6-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-2-(4-fluorophenyl)-1-(4-methoxyphenyl)-1H-benzimidazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)



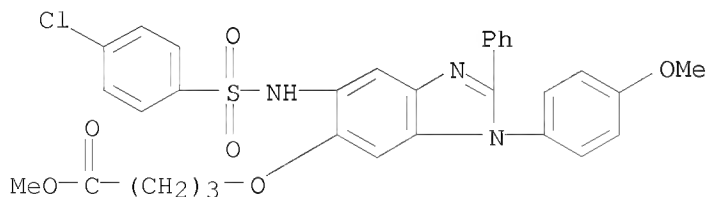
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CN Hexanoic acid, 6-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-1,2-bis(4-methoxyphenyl)-1H-benzimidazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)



RN 350234-22-9 HCAPLUS

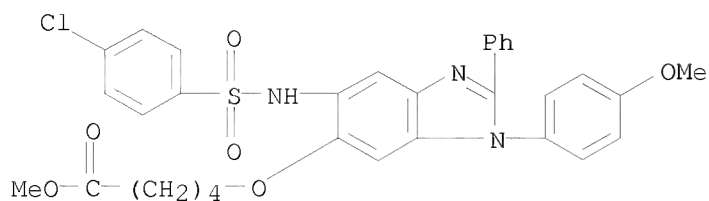
CN Butanoic acid, 4-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)



RN 350234-25-2 HCAPLUS

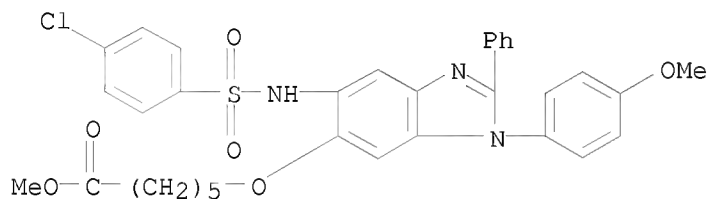
CN Pentanoic acid, 5-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)

10573054



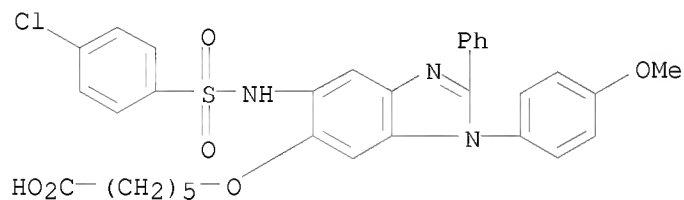
RN 350234-28-5 HCAPLUS

CN Hexanoic acid, 6-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)



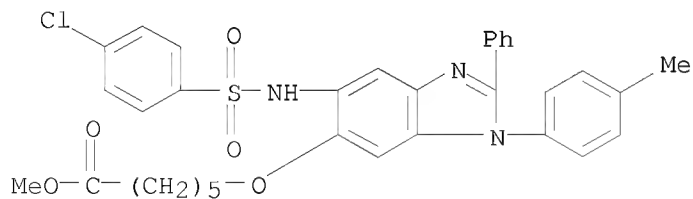
RN 350234-29-6 HCAPLUS

CN Hexanoic acid, 6-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]- (CA INDEX NAME)



RN 350234-31-0 HCAPLUS

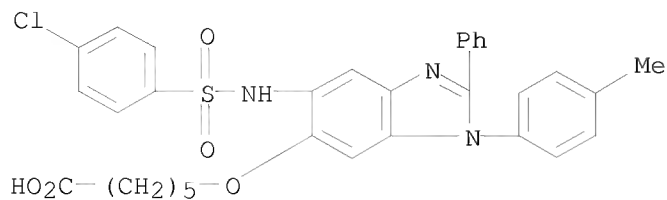
CN Hexanoic acid, 6-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-1-(4-methylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)



RN 350234-32-1 HCAPLUS

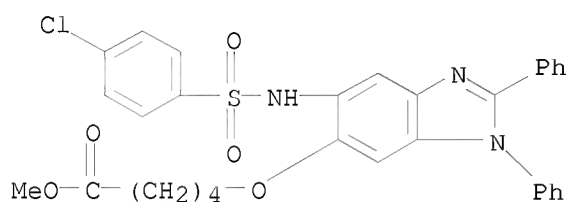
CN Hexanoic acid, 6-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-1-(4-methylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]- (CA INDEX NAME)

10573054



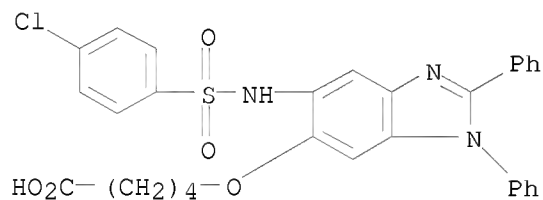
RN 350234-34-3 HCAPLUS

CN Pentanoic acid, 5-[[5-[[4-chlorophenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)



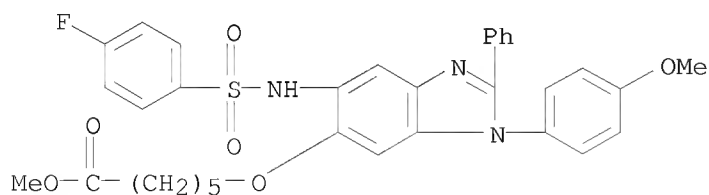
RN 350234-35-4 HCAPLUS

CN Pentanoic acid, 5-[[5-[[4-chlorophenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]- (CA INDEX NAME)



RN 350234-36-5 HCAPLUS

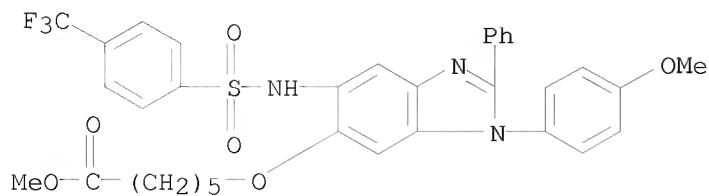
CN Hexanoic acid, 6-[[5-[[4-fluorophenyl)sulfonyl]amino]-1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)



RN 350234-37-6 HCAPLUS

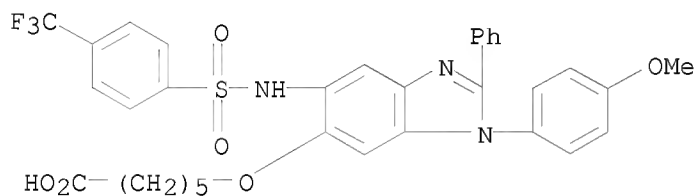
CN Hexanoic acid, 6-[[1-(4-methoxyphenyl)-2-phenyl-5-[[[4-(trifluoromethyl)phenyl)sulfonyl]amino]-1H-benzimidazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)

10573054



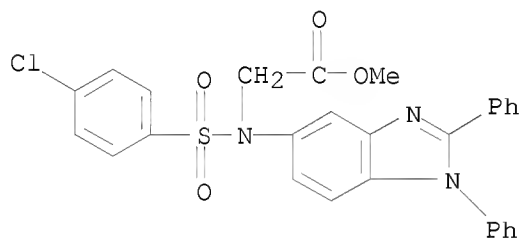
RN 350234-38-7 HCAPLUS

CN Hexanoic acid, 6-[[[1-(4-methoxyphenyl)-2-phenyl-5-[[[4-(trifluoromethyl)phenyl]sulfonyl]amino]-1H-benzimidazol-6-yl]oxy]- methyl ester (CA INDEX NAME)



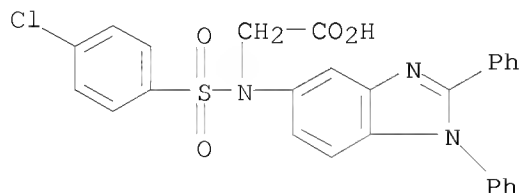
RN 350234-39-8 HCAPLUS

CN Glycine, N-[(4-chlorophenyl)sulfonyl]-N-(1,2-diphenyl-1H-benzimidazol-5-yl)-, methyl ester (CA INDEX NAME)



RN 350234-40-1 HCAPLUS

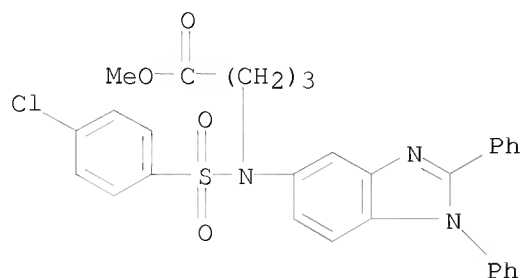
CN Glycine, N-[(4-chlorophenyl)sulfonyl]-N-(1,2-diphenyl-1H-benzimidazol-5-yl)- methyl ester (CA INDEX NAME)



RN 350234-41-2 HCAPLUS

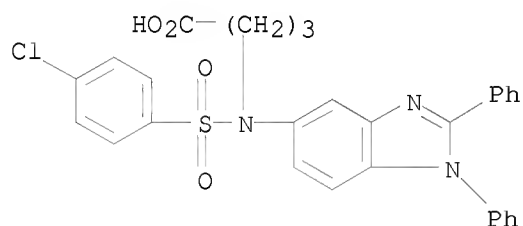
CN Butanoic acid, 4-[[[1-(4-chlorophenyl)sulfonyl](1,2-diphenyl-1H-benzimidazol-5-yl)amino]- methyl ester (CA INDEX NAME)

10573054



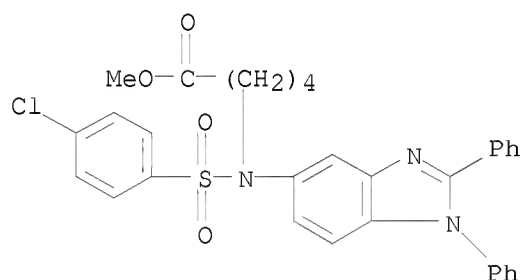
RN 350234-42-3 HCAPLUS

CN Butanoic acid, 4-[[[(4-chlorophenyl)sulfonyl]](1,2-diphenyl-1H-benzimidazol-5-yl)amino]- (CA INDEX NAME)



RN 350234-43-4 HCAPLUS

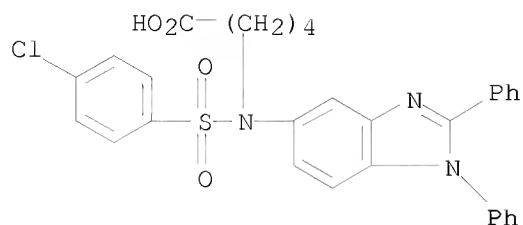
CN Pentanoic acid, 5-[[[(4-chlorophenyl)sulfonyl]](1,2-diphenyl-1H-benzimidazol-5-yl)amino]-, methyl ester (CA INDEX NAME)



RN 350234-44-5 HCAPLUS

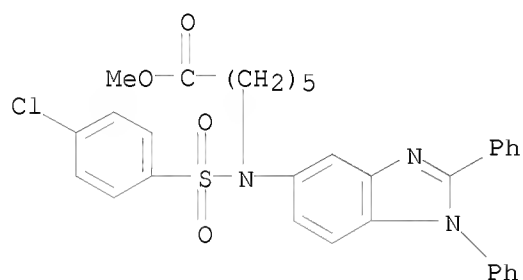
CN Pentanoic acid, 5-[[[(4-chlorophenyl)sulfonyl]](1,2-diphenyl-1H-benzimidazol-5-yl)amino]- (CA INDEX NAME)

10573054



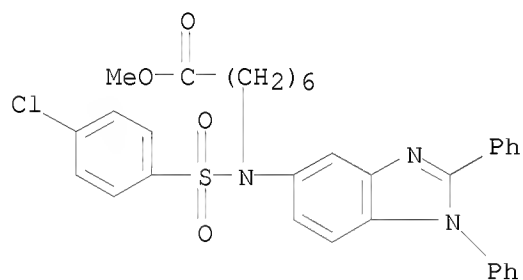
RN 350234-45-6 HCAPLUS

CN Hexanoic acid, 6-[[[4-chlorophenyl)sulfonyl](1,2-diphenyl-1H-benzimidazol-5-yl)amino]-, methyl ester (CA INDEX NAME)



RN 350234-46-7 HCAPLUS

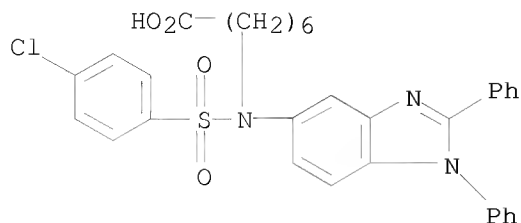
CN Heptanoic acid, 7-[[[4-chlorophenyl)sulfonyl](1,2-diphenyl-1H-benzimidazol-5-yl)amino]-, methyl ester (CA INDEX NAME)



RN 350234-47-8 HCAPLUS

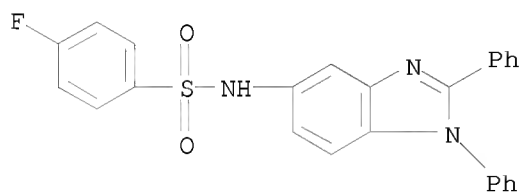
CN Heptanoic acid, 7-[[[4-chlorophenyl)sulfonyl](1,2-diphenyl-1H-benzimidazol-5-yl)amino]- (CA INDEX NAME)

10573054



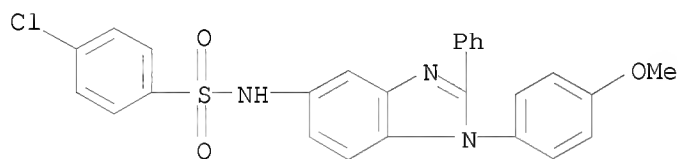
RN 350234-48-9 HCAPLUS

CN Benzenesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)-4-fluoro- (CA INDEX NAME)



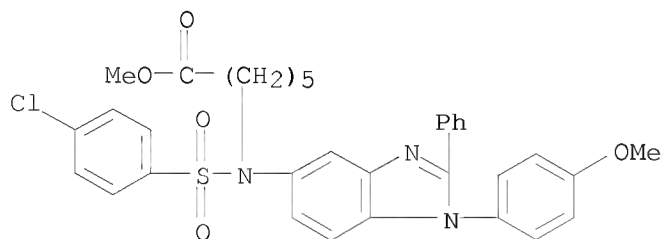
RN 350234-53-6 HCAPLUS

CN Benzenesulfonamide, 4-chloro-N-[1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 350234-54-7 HCAPLUS

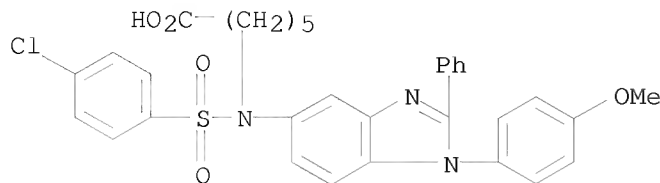
CN Hexanoic acid, 6-[[[4-chlorophenyl)sulfonyl][1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-5-yl]amino]-, methyl ester (CA INDEX NAME)



RN 350234-55-8 HCAPLUS

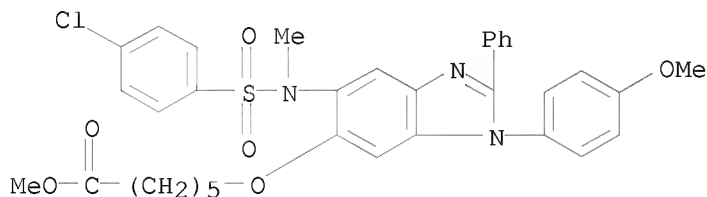
CN Hexanoic acid, 6-[[[4-chlorophenyl)sulfonyl][1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-5-yl]amino]- (CA INDEX NAME)

10573054



RN 350238-48-1 HCAPLUS

CN Hexanoic acid, 6-[[5-[[[(4-chlorophenyl)sulfonyl]methylamino]-1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 7 OF 10 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:224233 HCAPLUS

DOCUMENT NUMBER: 134:252337

TITLE: Preparation of
N-[(amindinophenethyl)benzimidazolyl]benzenesulfonamides and analogs as tryptase inhibitors

INVENTOR(S): Anderskewitz, Ralf; Braun, Christine; Briem, Hans; Disse, Bernd; Hoenke, Christoph; Jennewein, Hans Michael; Speck, Georg

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 28 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

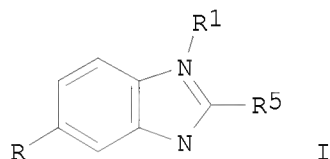
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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CA 2382892	A1	20010405	CA 2000-2382892	20000921 <--
WO 2001023359	A1	20010405	WO 2000-EP9236	20000921 <--
W:	AE, AU, BG, BR, CA, CN, CZ, EE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,			

PT, SE
 US 6413990 B1 20020702 US 2000-666769 20000921 <--
 EP 1220845 A1 20020710 EP 2000-969275 20000921 <--
 EP 1220845 B1 20030813
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 JP 2003510309 T 20030318 JP 2001-526513 20000921 <--
 AT 247092 T 20030815 AT 2000-969275 20000921 <--
 MX 2002002623 A 20021023 MX 2002-2623 20020311 <--
 PRIORITY APPLN. INFO.: DE 1999-19945810 A 19990924
 US 1999-157389P P 19991001
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 OTHER SOURCE(S): MARPAT 134:252337
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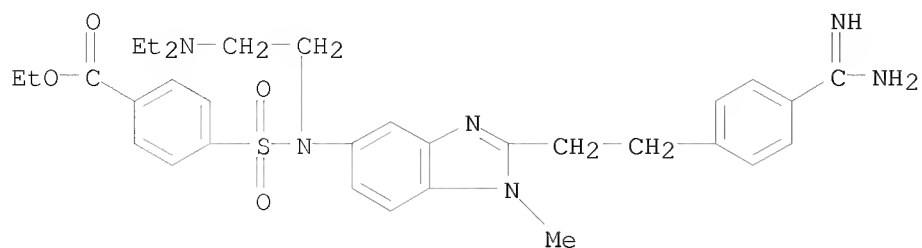
AB Title compds. (I; R5 = CH₂CH₂C₆H₄R₂-4) [II; R = NR₄SO₂R₃; R₁ = (cyclo)alkyl, (un)substituted phenylalkyl, etc.; R₂ = C(:NH)NH₂ or CH₂NH₂; R₃ = (un)substituted Ph, -naphthyl, -(benzo)thienyl, etc.; R₄ = H, aminoalkyl, ureidoalkyl, etc.] were prepared Thus, 2-fluoro-5-nitroaniline was aminated and the product cyclocondensed with 4-(NC)C₆H₄CH₂CH₂CO₂H to give, after reduction, II (R₁ = Me) (III; R = NH₂, R₂ = cyano) which was amidated and the product converted in 4 steps to III [R = 4-(MeO₂C)C₆H₄SO₂N(CH₂CH₂NEt₂), R₂ = C(:NH)NH₂]. Data for biol. activity of I were given.

IT 331449-43-5P 331449-44-6P 331449-45-7P
 331449-46-8P 331449-47-9P 331449-48-0P
 331449-49-1P 331449-50-4P 331449-51-5P
 331449-52-6P 331449-53-7P 331449-54-8P
 331449-55-9P 331449-57-1P 331449-58-2P
 331449-59-3P 331449-60-6P 331449-61-7P
 331449-62-8P 331449-63-9P 331449-64-0P
 331449-65-1P 331449-66-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-[(amindinophenethyl)benzimidazolyl]benzenesulfonamides and analogs as tryptase inhibitors)

RN 331449-43-5 HCAPLUS

CN Benzoic acid, 4-[[[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl][2-(diethylamino)ethyl]amino]sulfonyl]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

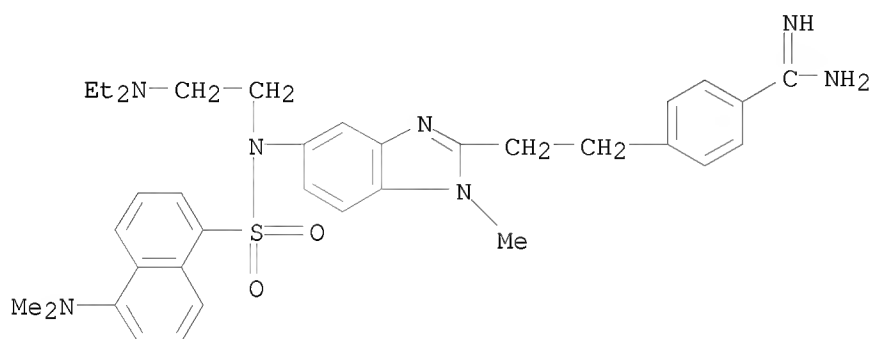
10573054



● HCl

RN 331449-44-6 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl][5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:2) (CA INDEX NAME)

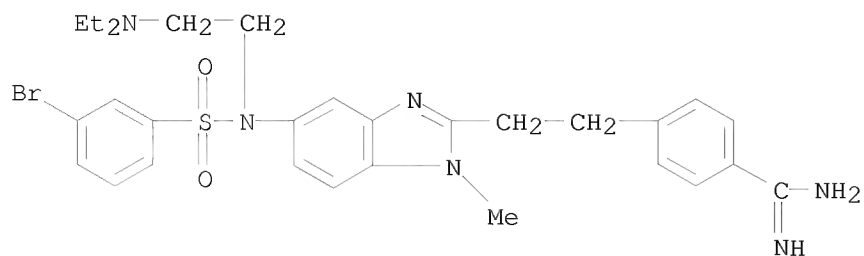


●2 HCl

RN 331449-45-7 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[3-bromophenyl]sulfonyl][2-(diethylamino)ethyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

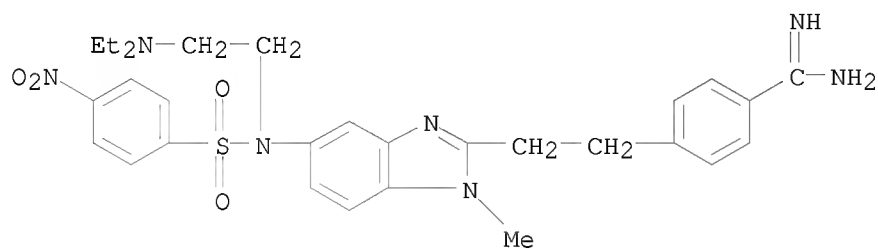
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● HCl

RN 331449-46-8 HCAPLUS

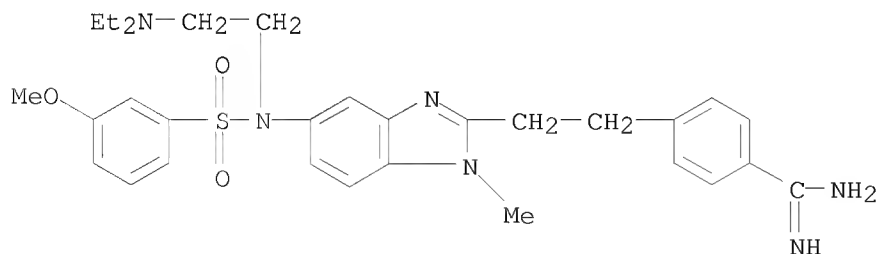
CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl][(4-nitrophenyl)sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 331449-47-9 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl][(3-methoxyphenyl)sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

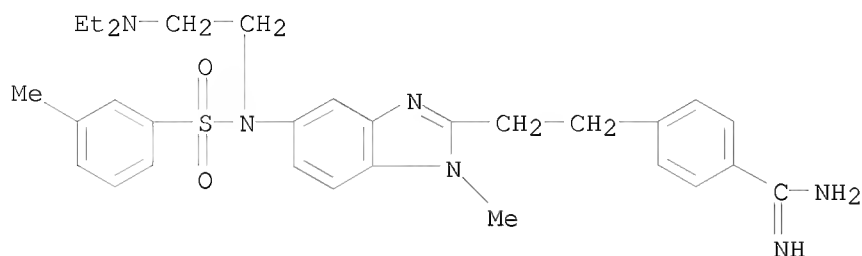


● HCl

10573054

RN 331449-48-0 HCAPLUS

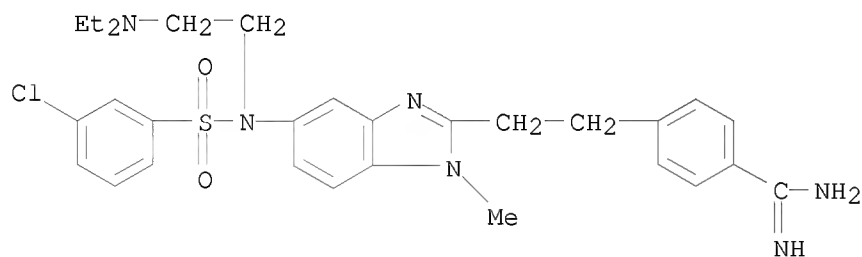
CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl][(3-methylphenyl)sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 331449-49-1 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[3-(chlorophenyl)sulfonyl][2-(diethylamino)ethyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

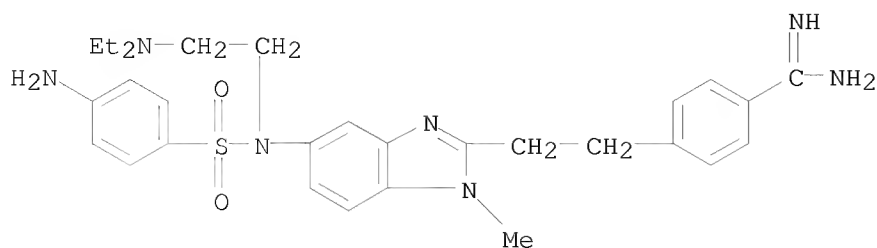


● HCl

RN 331449-50-4 HCAPLUS

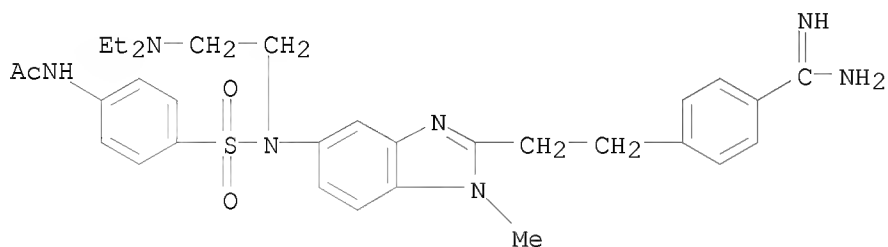
CN Benzenecarboximidamide, 4-[2-[5-[[4-(aminophenyl)sulfonyl][2-(diethylamino)ethyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:4) (CA INDEX NAME)

10573054

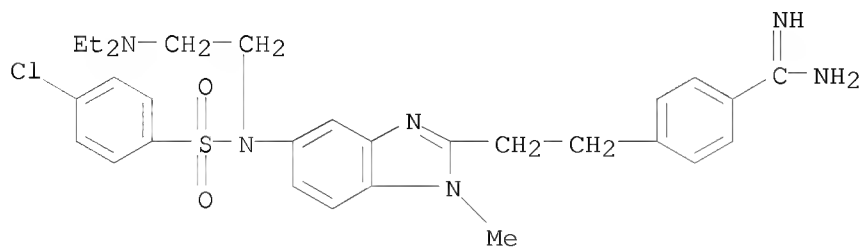


● 4 HCl

RN 331449-51-5 HCAPLUS
 CN Acetamide, N-[4-[[[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]]2-(diethylamino)ethyl]amino]sulfonyl]phenyl]- (CA INDEX NAME)

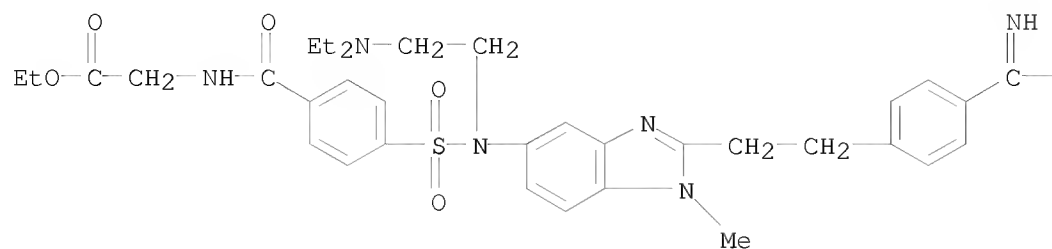


RN 331449-52-6 HCAPLUS
 CN Benzenecarboximidamide, 4-[2-[5-[[[4-(chlorophenyl)sulfonyl]]2-(diethylamino)ethyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



RN 331449-53-7 HCAPLUS
 CN Glycine, N-[4-[[[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]]2-(diethylamino)ethyl]amino]sulfonyl]benzoyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

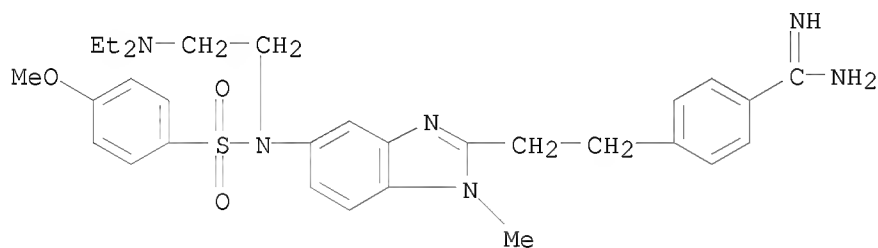


● HCl

PAGE 1-B

—NH₂

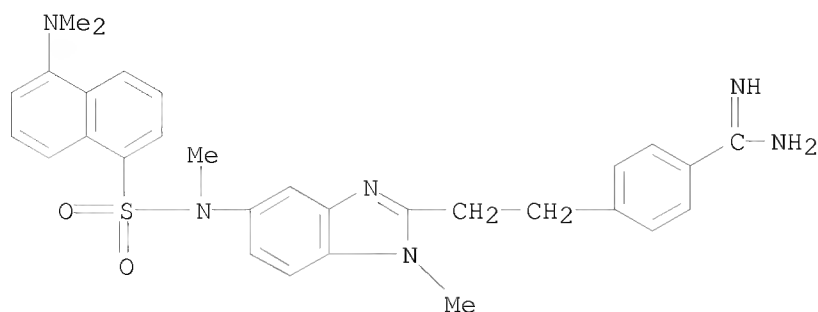
RN 331449-54-8 HCAPLUS
 CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl] [(4-methoxyphenyl)sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:3) (CA INDEX NAME)



●3 HCl

RN 331449-55-9 HCAPLUS
 CN Benzenecarboximidamide, 4-[2-[5-[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]methylamino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

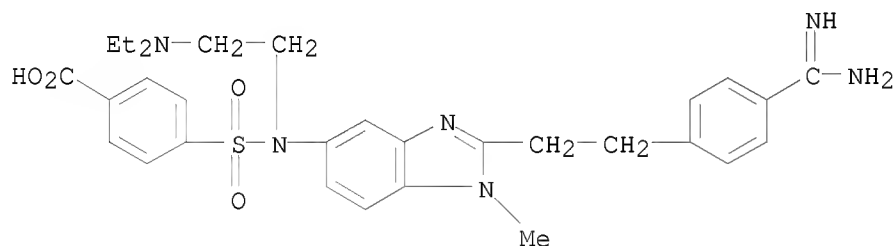
10573054



RN 331449-57-1 HCAPLUS
CN Benzoic acid, 4-[[[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl][2-(diethylamino)ethyl]amino]sulfonyl]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

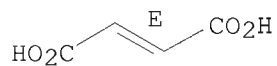
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CMF C30 H36 N6 O4 S



CM 2

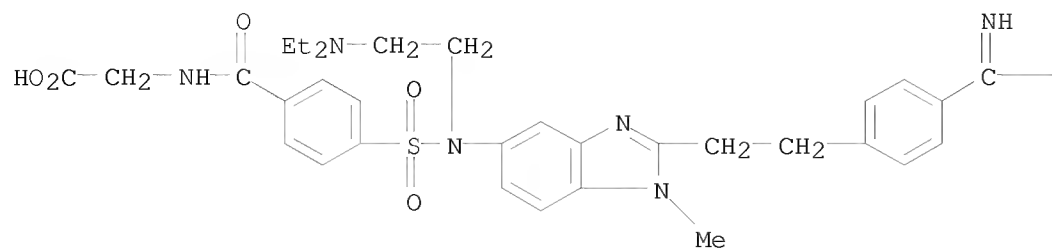
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 331449-58-2 HCAPLUS
CN Glycine, N-[4-[[[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl][2-(diethylamino)ethyl]amino]sulfonyl]benzoyl]- (CA INDEX NAME)

PAGE 1-A

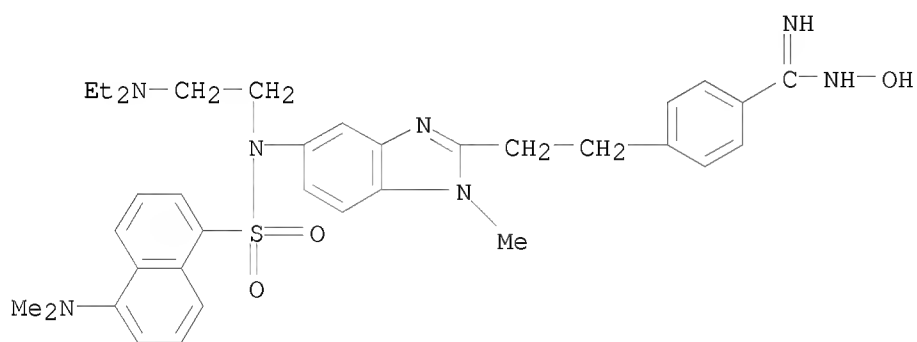


PAGE 1-B

—NH₂

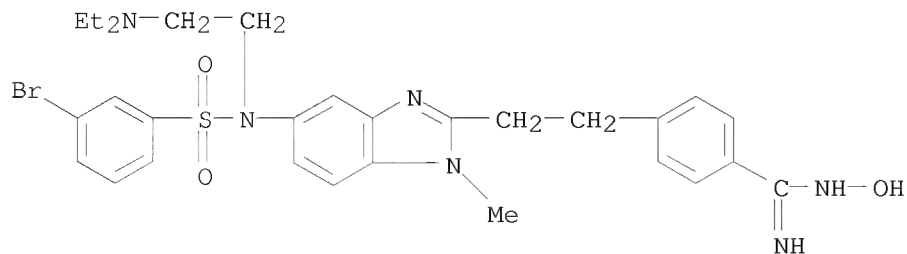
RN 331449-59-3 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl][5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)



RN 331449-60-6 HCAPLUS

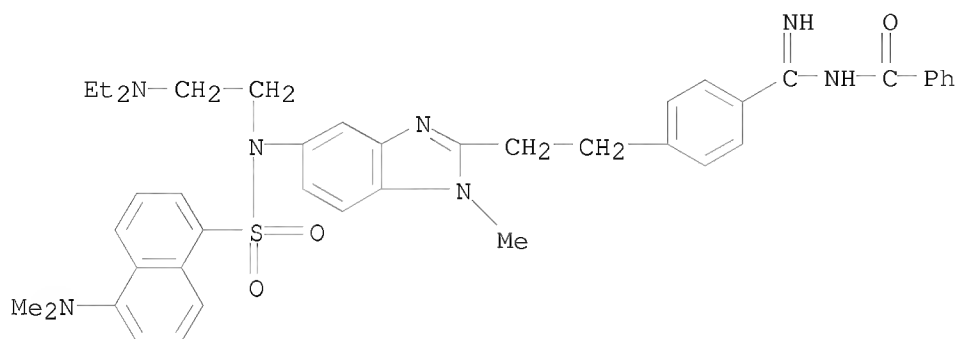
CN Benzenecarboximidamide, 4-[2-[5-[[3-bromophenyl]sulfonyl][2-(diethylamino)ethyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)



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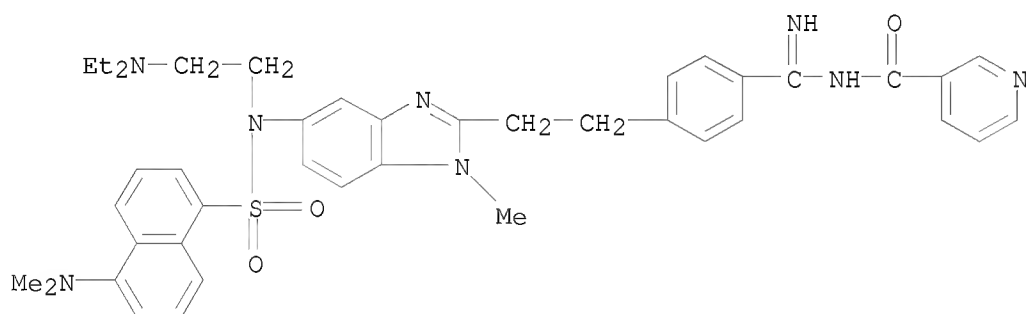
RN 331449-61-7 HCAPLUS

CN Benzamide, N-[[4-[2-[5-[[2-(diethylamino)ethyl][5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]phenyl]iminomethyl]- (CA INDEX NAME)



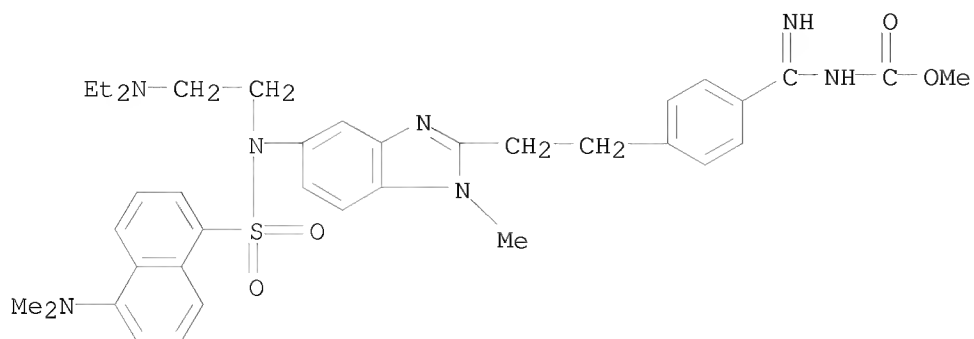
RN 331449-62-8 HCAPLUS

CN 3-Pyridinecarboxamide, N-[[4-[2-[5-[[2-(diethylamino)ethyl][5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]phenyl]iminomethyl]- (CA INDEX NAME)



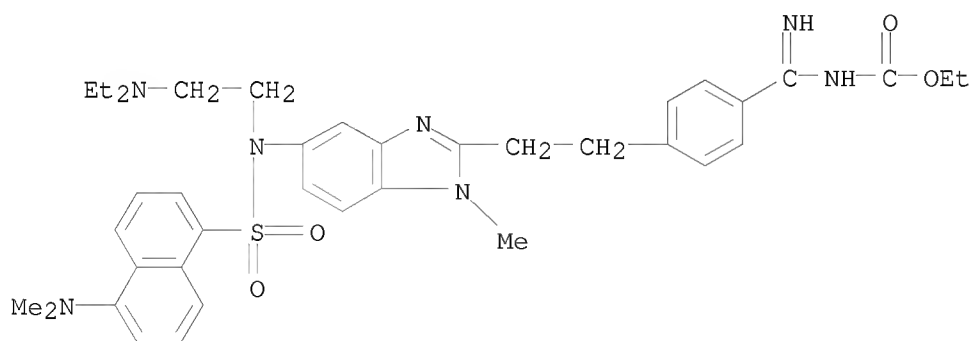
RN 331449-63-9 HCAPLUS

CN Carbamic acid, [[4-[2-[5-[[2-(diethylamino)ethyl][5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]phenyl]iminomethyl]-, methyl ester (9CI) (CA INDEX NAME)



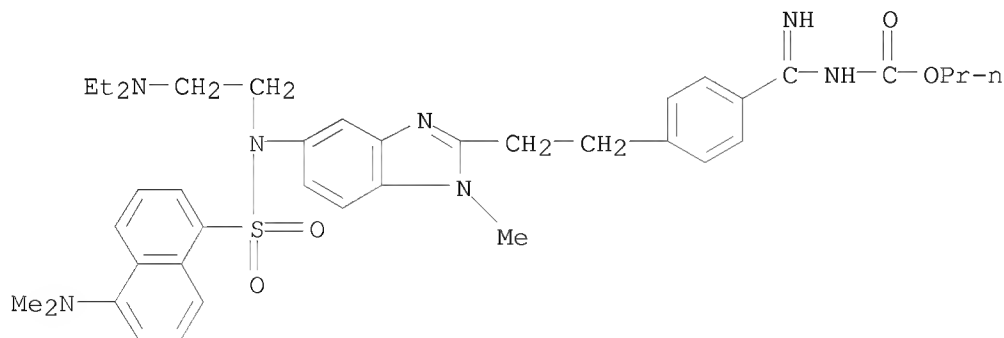
RN 331449-64-0 HCAPLUS

CN Carbamic acid, [[4-[2-[5-[[2-(diethylamino)ethyl][5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]phenyl]iminomethyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 331449-65-1 HCAPLUS

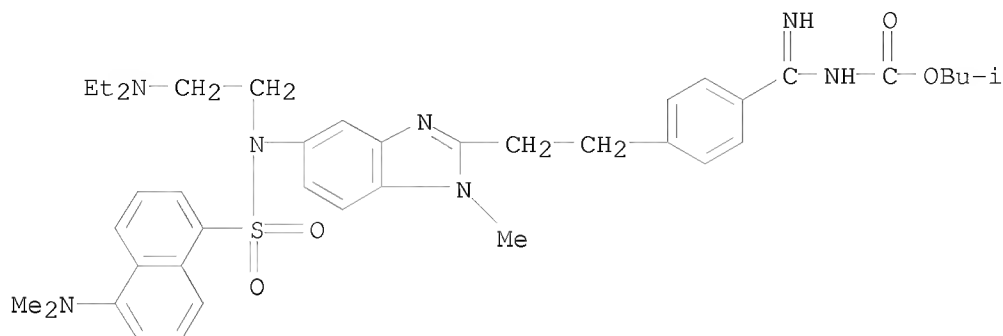
CN Carbamic acid, [[4-[2-[5-[[2-(diethylamino)ethyl][5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]phenyl]iminomethyl]-, propyl ester (9CI) (CA INDEX NAME)



RN 331449-66-2 HCAPLUS

CN Carbamic acid, [[4-[2-[5-[[2-(diethylamino)ethyl][5-(dimethylamino)-1-

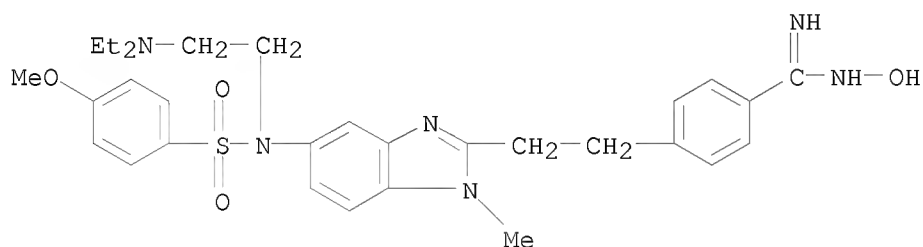
naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]phenyl]iminomethyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)



IT 331449-72-0 331449-73-1
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of N-[(amindinophenethyl)benzimidazolyl]benzenesulfonamides and
 analogs as tryptase inhibitors)

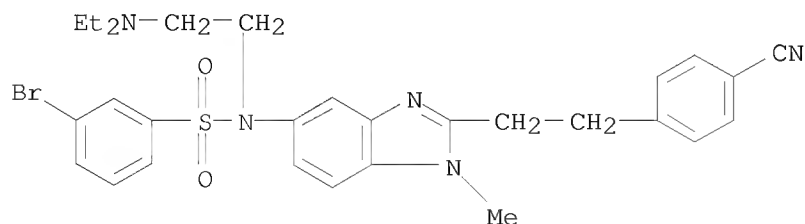
RN 331449-72-0 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl]](4-methoxyphenyl)sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)



RN 331449-73-1 HCAPLUS

CN Benzenesulfonamide, 3-bromo-N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(diethylamino)ethyl]- (CA INDEX NAME)



IT 331449-67-3P 331449-68-4P 331449-69-5P

331449-70-8P 331449-71-9P

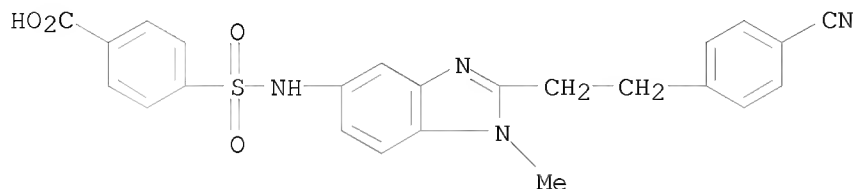
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of N-[(amindinophenethyl)benzimidazolyl]benzenesulfonamides and analogs as tryptase inhibitors)

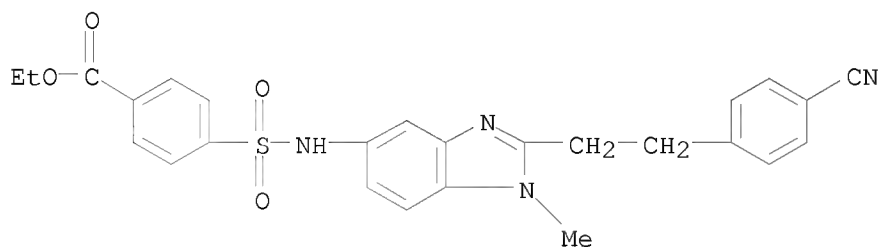
RN 331449-67-3 HCAPLUS

CN Benzoic acid, 4-[[[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]amino]sulfonyl]- (CA INDEX NAME)



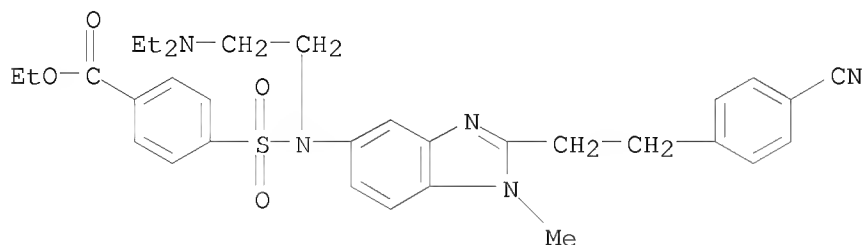
RN 331449-68-4 HCAPLUS

CN Benzoic acid, 4-[[[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]amino]sulfonyl]-, ethyl ester (CA INDEX NAME)



RN 331449-69-5 HCAPLUS

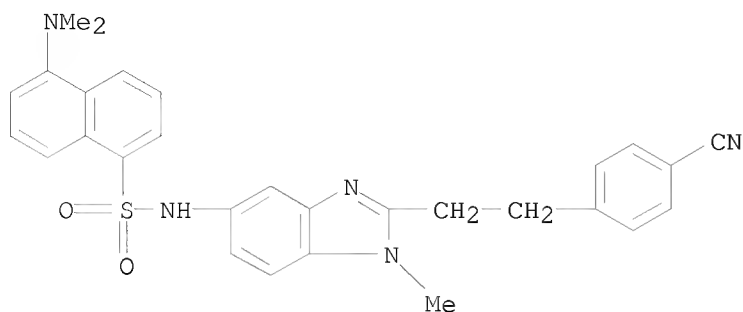
CN Benzoic acid, 4-[[[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl][2-(diethylamino)ethyl]amino]sulfonyl]-, ethyl ester (CA INDEX NAME)



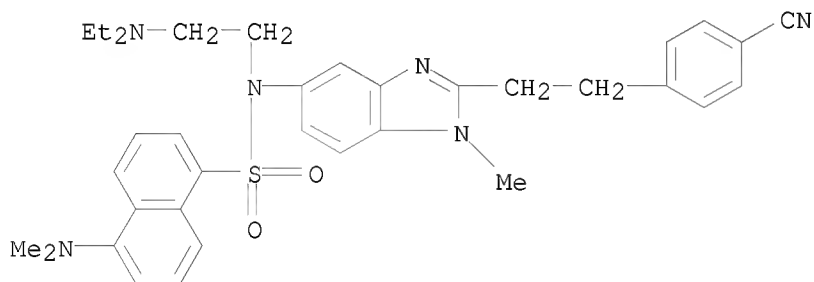
RN 331449-70-8 HCAPLUS

CN 1-Naphthalenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-5-(dimethylamino)- (CA INDEX NAME)

10573054



RN 331449-71-9 HCAPLUS
CN 1-Naphthalenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(diethylamino)ethyl]-5-(dimethylamino)- (CA INDEX NAME)



L24 ANSWER 8 OF 10 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:224232 HCAPLUS
DOCUMENT NUMBER: 134:266307
TITLE: Preparation of
2-arylethyl-5-arylsulfonamidobenzimidazoles as
tryptase inhibitors.
INVENTOR(S): Anderskewitz, Ralf; Braun, Christine; Briem, Hans;
Disse, Bernd; Hoenke, Christoph; Jennewein, Hans
Michael; Speck, Georg
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 36 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19945787	A1	20010329	DE 1999-19945787	19990924 <--
CA 2379557	A1	20010405	CA 2000-2379557	20000921 <--
CA 2379557	C	20080916		
WO 2001023360	A1	20010405	WO 2000-EP9237	20000921 <--

W: AE, AU, BG, BR, CA, CN, CZ, EE, HR, HU, ID, IL, IN, JP, KR, LT,

LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, UZ, VN, YU, ZA,
 AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
 PT, SE

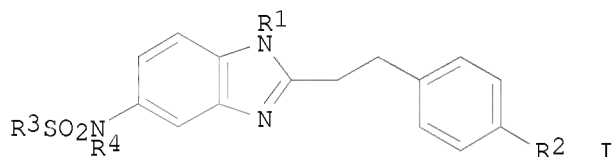
US 6365584	B1	20020402	US 2000-666765	20000921 <--
EP 1220844	A1	20020710	EP 2000-960686	20000921 <--
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
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AT 236887	T	20030415	AT 2000-960686	20000921 <--
ES 2192543	T3	20031016	ES 2000-960686	20000921 <--
MX 2002002622	A	20021024	MX 2002-2622	20020301 <--

PRIORITY APPLN. INFO.:

DE 1999-19945787	A	19990924
US 1999-157278P	P	19991001
WO 2000-EP9237	W	20000921

OTHER SOURCE(S): MARPAT 134:266307

GI



AB Title compds. [I; R1 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, phenylalkyl, heterocyclyl, heterocyclylalkyl; R2 = C(:NH)NH2, CH2NH2; R3 = Ph, PhCH2, naphthyl, furyl, benzofuryl, thienyl, benzothienyl; R4 = H, (substituted) alkyl, heterocyclyl, heterocyclylalkyl, etc.], were prepared Thus, N-[3-amino-4-(3,5-bistrifluoromethylbenzylamino)phenyl]benzenesulfonamide (preparation given), p-cyanophenylpropionic acid, and POCl3 were heated together for 2 h at 100-120° to give 71.5% N-[2-[2-(4-cyanophenyl)ethyl]-1-(3,5-bistrifluoromethylbenzyl)benzimidazol-5-yl]benzenesulfonamide. This was stirred with HCl in EtOH at 0-5° and the residue after distillation of EtOH was treated with NH3 in EtOH to give 70.3% N-[2-[2-(4-amidinophenyl)ethyl]-1-(3,5-bistrifluoromethylbenzyl)benzimidazol-5-yl]benzenesulfonamide. I inhibited tryptase with IC50 = 0.0066-0.412 μM.

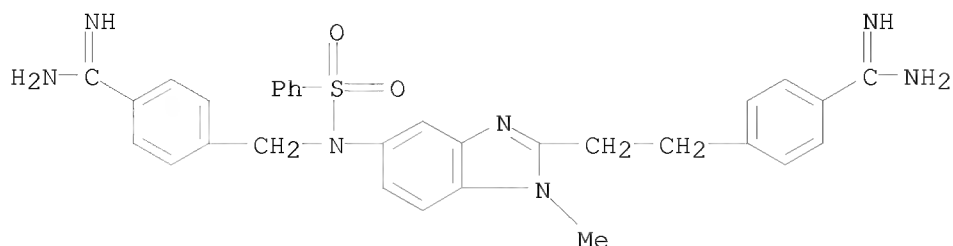
IT 1099086-44-8 1099086-51-7

RL: PRPH (Prophetic)

(Preparation of 2-arylethyl-5-arylsulfonamidobenzimidazoles as tryptase inhibitors.)

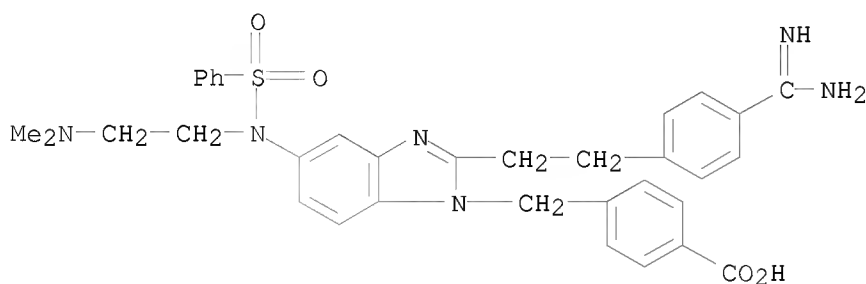
RN 1099086-44-8 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED



RN 1099086-51-7 HCAPLUS

CN Benzoic acid, 4-[[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]methyl]-
(CA INDEX NAME)



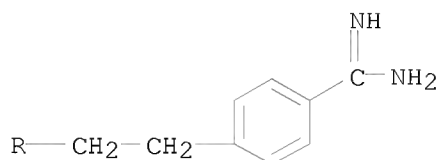
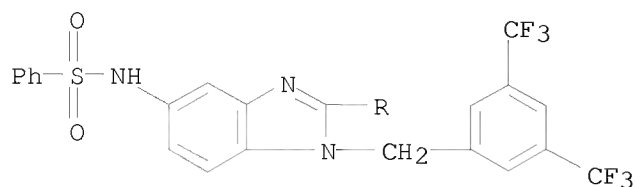
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	331766-22-4P	331766-23-5P	331766-24-6P
	331766-25-7P	331766-26-8P	331766-27-9P
	331766-28-0P	331766-29-1P	331766-30-4P
	331766-31-5P	331766-32-6P	331766-33-7P
	331766-34-8P	331766-35-9P	331766-36-0P
	331766-37-1P	331766-38-2P	331766-39-3P
	331766-40-6P	331766-41-7P	331766-42-8P
	331766-43-9P	331766-44-0P	331766-45-1P
	331766-46-2P	331766-47-3P	331766-48-4P
	331766-49-5P	331766-50-8P	

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylethylarylsulfonamidobenzimidazoles as tryptase inhibitors)

RN 331766-13-3 HCAPLUS

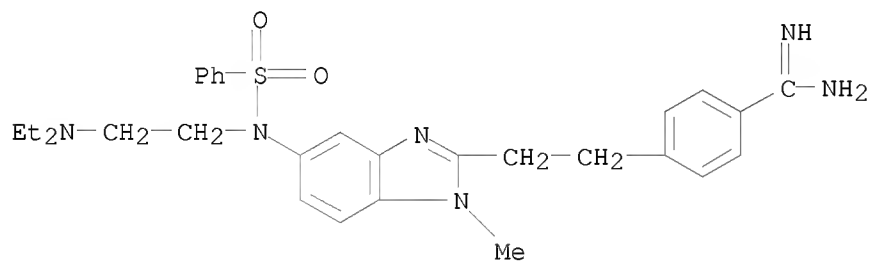
CN Benzenecarboximidamide, 4-[2-[1-[[3,5-bis(trifluoromethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1)
(CA INDEX NAME)

10573054



● HCl

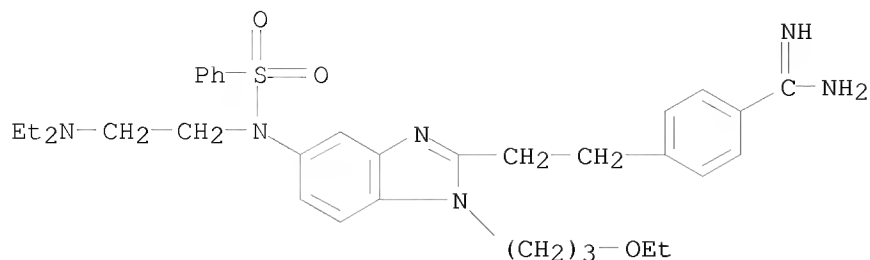
RN 331766-14-4 HCAPLUS
 CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

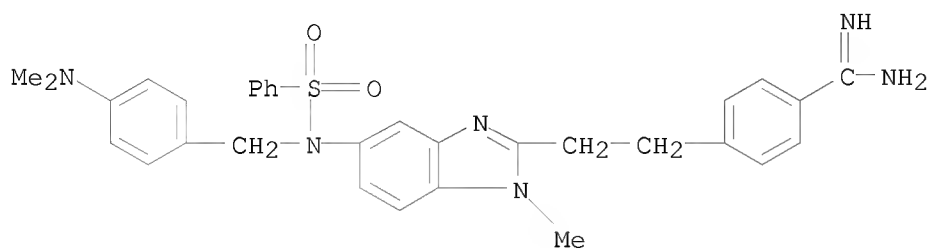
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 CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-(3-ethoxypropyl)-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:2) (CA INDEX NAME)

10573054



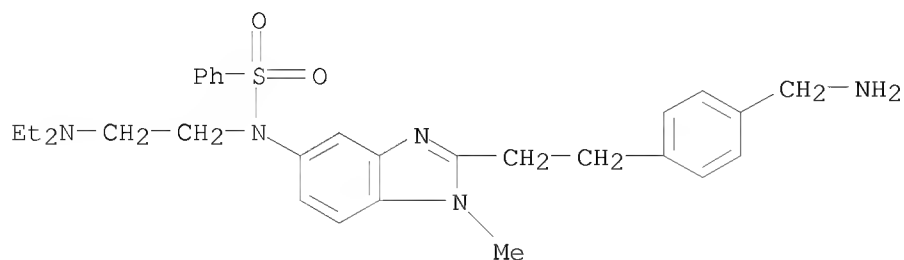
● 2 HCl

RN 331766-16-6 HCAPLUS
 CN Benzenecarboximidamide, 4-[2-[5-[[[4-(dimethylamino)phenyl]methyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 331766-17-7 HCAPLUS
 CN Benzenesulfonamide, N-[2-[2-[4-(aminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(diethylamino)ethyl]-, hydrochloride (1:2) (CA INDEX NAME)

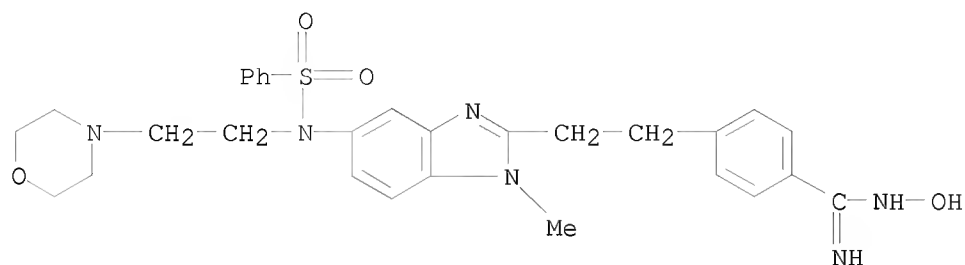


● 2 HCl

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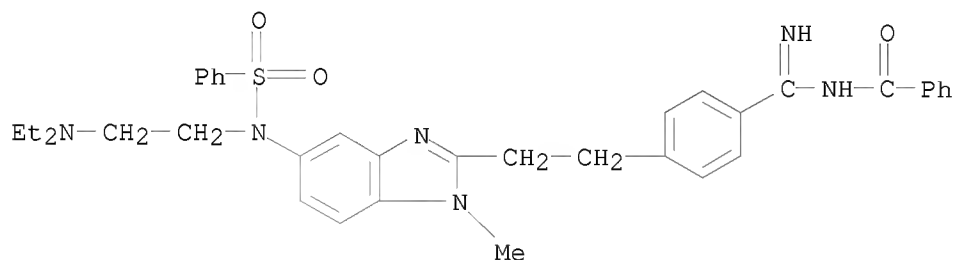
RN 331766-18-8 HCAPLUS

CN Benzenecarboximidamide, N-hydroxy-4-[2-[1-methyl-5-[[2-(4-morpholinyl)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



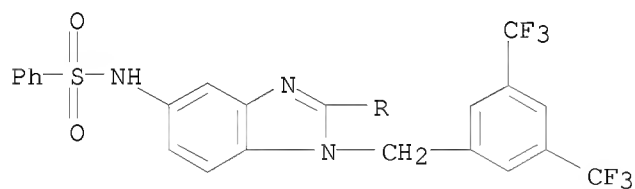
RN 331766-19-9 HCAPLUS

CN Benzamide, N-[[4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]phenyl]iminomethyl]- (CA INDEX NAME)



RN 331766-20-2 HCAPLUS

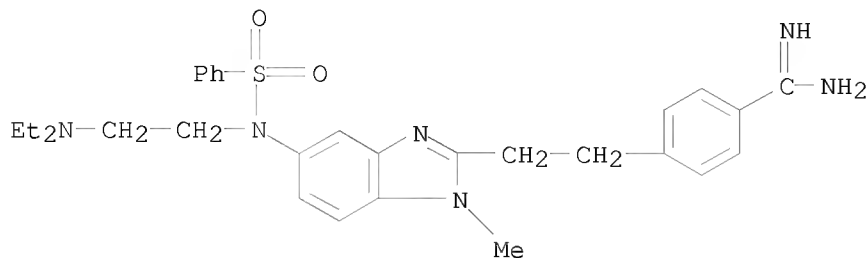
CN Benzenecarboximidamide, 4-[2-[1-[[3,5-bis(trifluoromethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



RN 331766-21-3 HCAPLUS

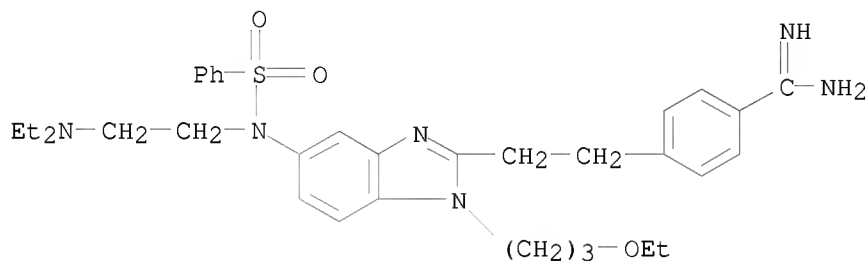
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CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



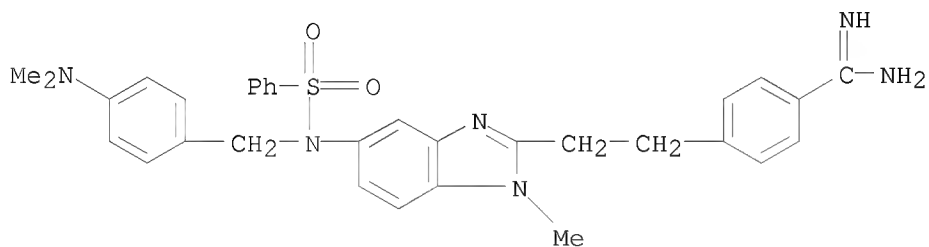
RN 331766-22-4 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-(3-ethoxypropyl)-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



RN 331766-23-5 HCAPLUS

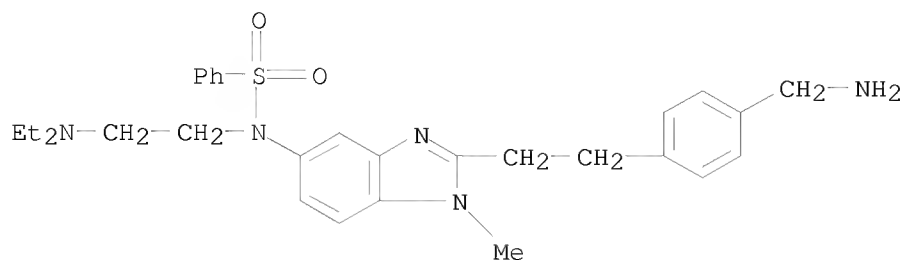
CN Benzenecarboximidamide, 4-[2-[5-[[[4-(dimethylamino)phenyl]methyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



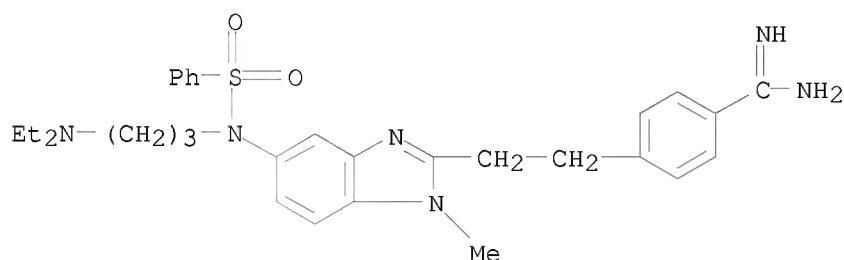
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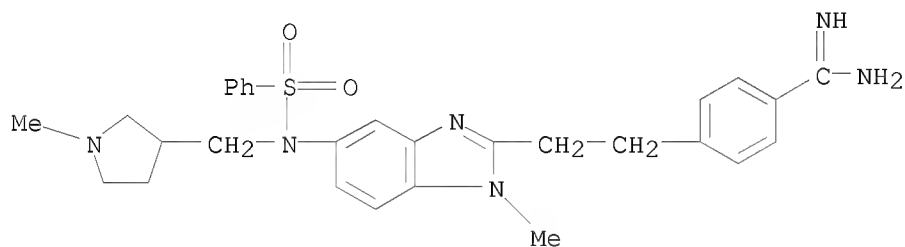
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RN 331766-25-7 HCAPLUS
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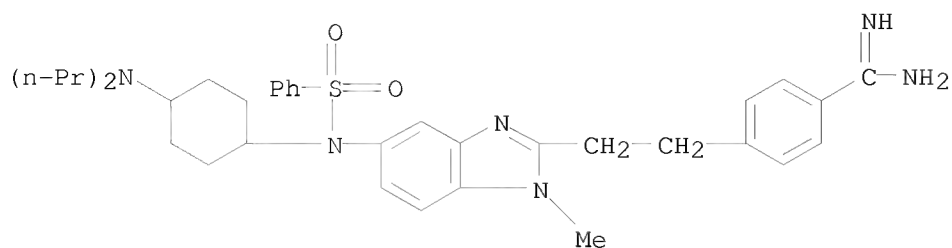


RN 331766-26-8 HCAPLUS
CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[[1-methyl-3-pyrrolidinylmethyl](phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



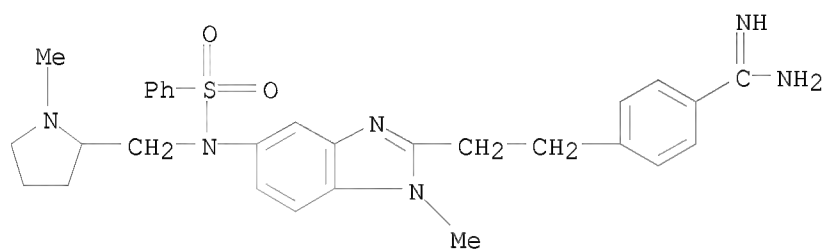
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CN Benzenecarboximidamide, 4-[2-[5-[[4-(dipropylamino)cyclohexyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

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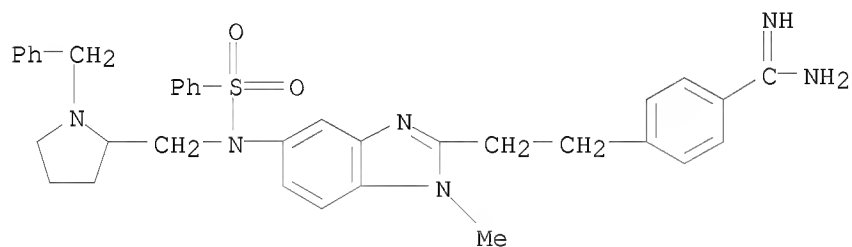
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CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[[1-methyl-2-pyrrolidinyl)methyl](phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



RN 331766-29-1 HCAPLUS

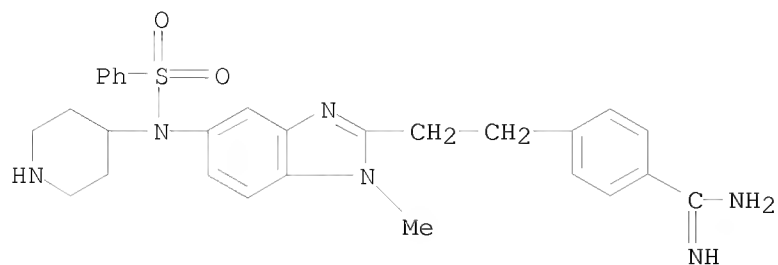
CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[[[1-(phenylmethyl)-2-pyrrolidinyl)methyl](phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



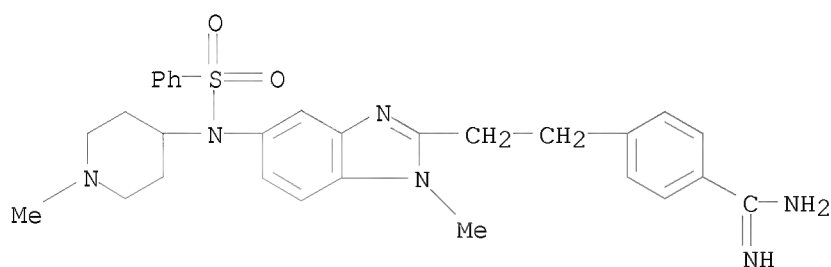
RN 331766-30-4 HCAPLUS

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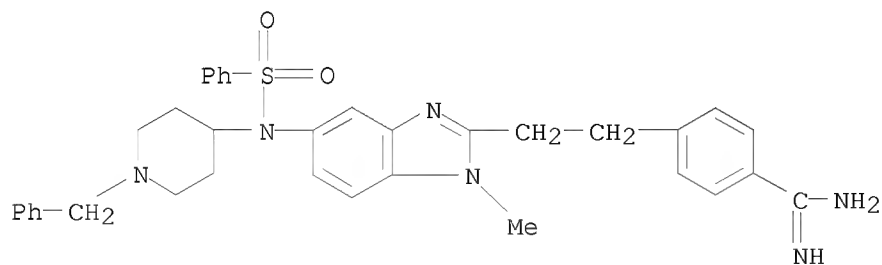
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RN 331766-31-5 HCAPLUS
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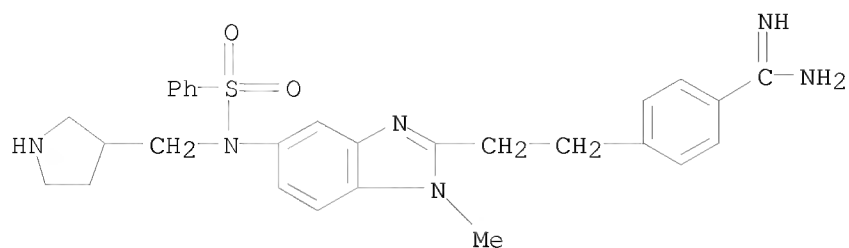


RN 331766-32-6 HCAPLUS
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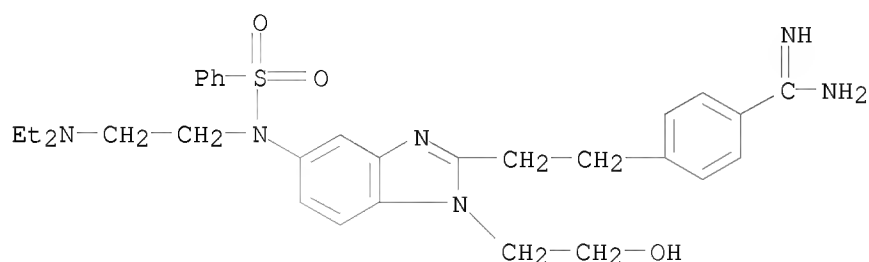


RN 331766-33-7 HCAPLUS
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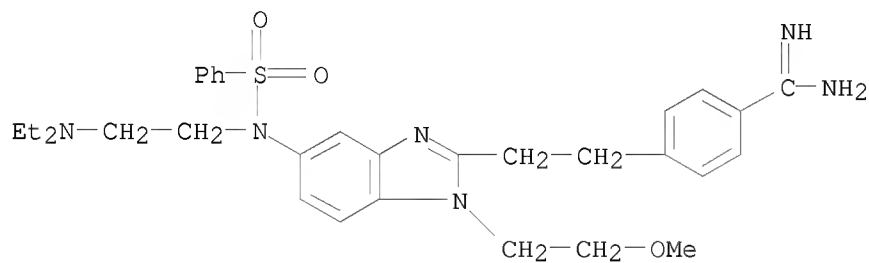
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RN 331766-34-8 HCAPLUS
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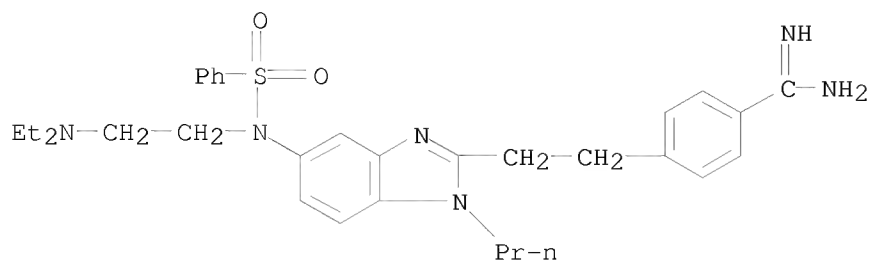


RN 331766-35-9 HCAPLUS
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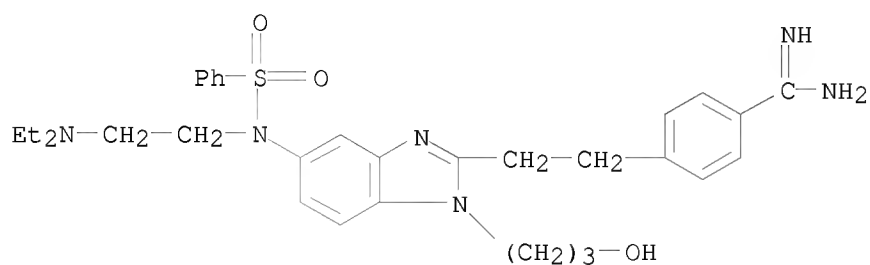


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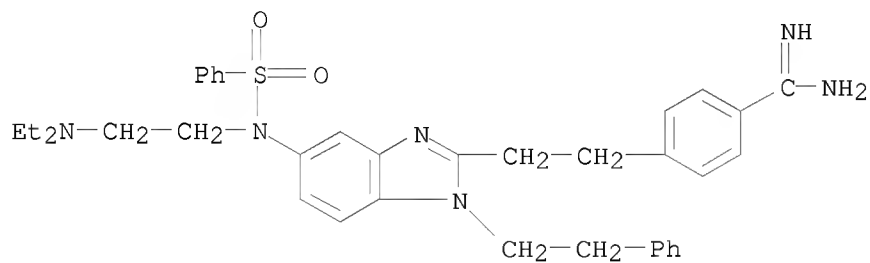
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RN 331766-37-1 HCAPLUS
 CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-(3-hydroxypropyl)-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

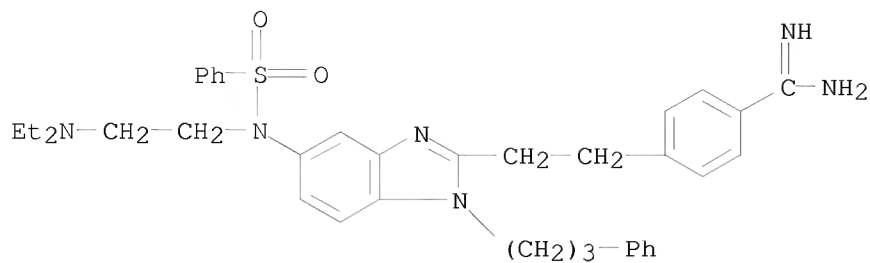


RN 331766-38-2 HCAPLUS
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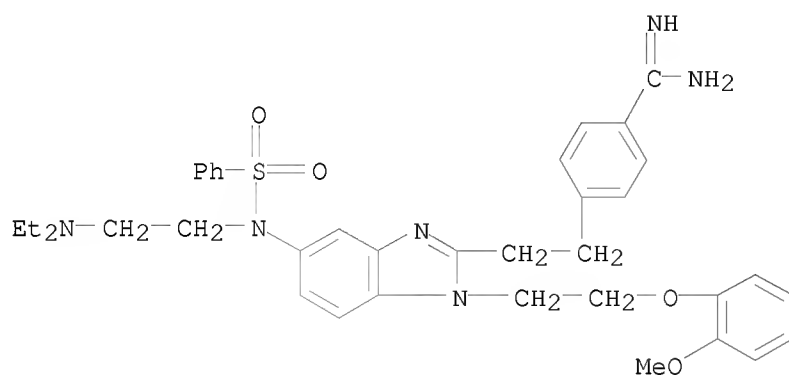


RN 331766-39-3 HCAPLUS
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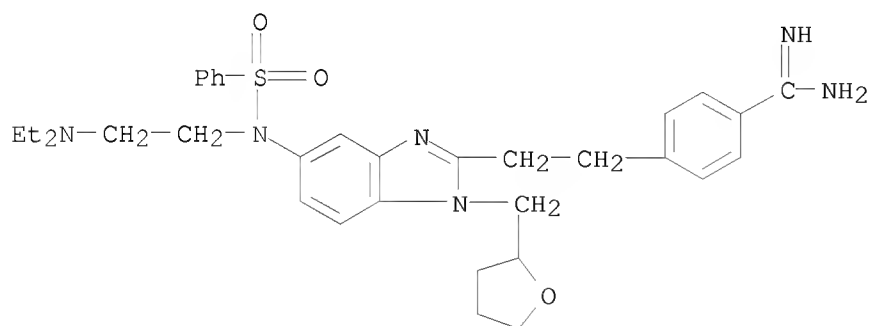
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RN 331766-40-6 HCAPLUS
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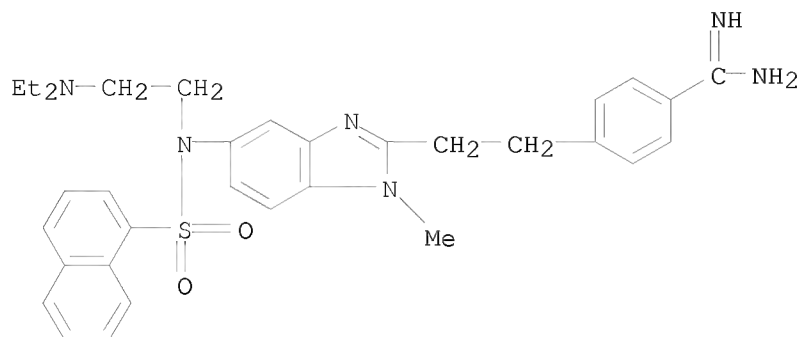


RN 331766-41-7 HCAPLUS
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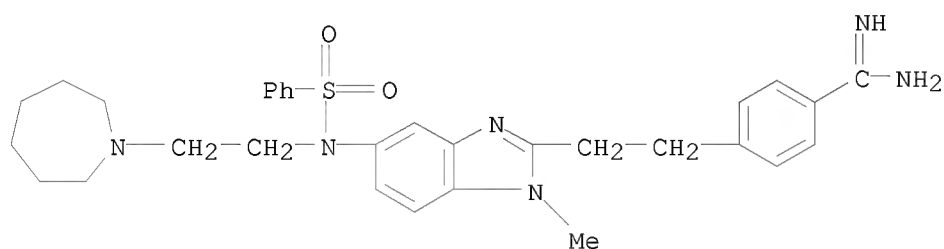
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 CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](1-naphthalenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

10573054



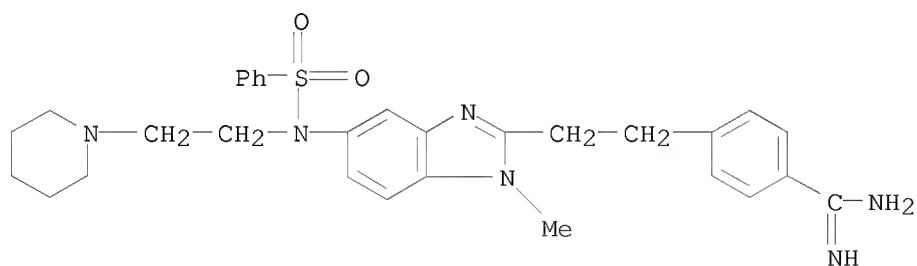
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CN Benzenecarboximidamide, 4-[2-[5-[[2-(hexahydro-1H-azepin-1-yl)ethyl] (phenylsulfonyl) amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



RN 331766-44-0 HCAPLUS

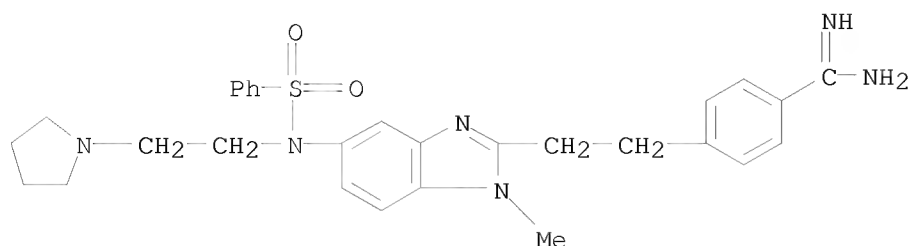
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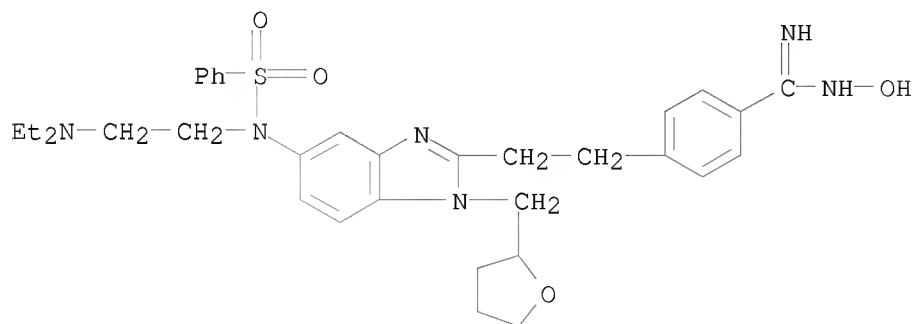
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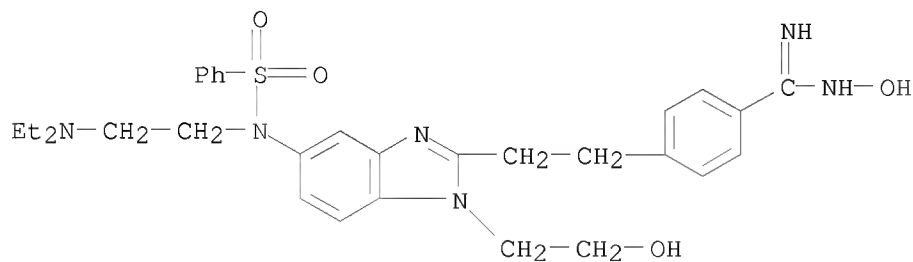
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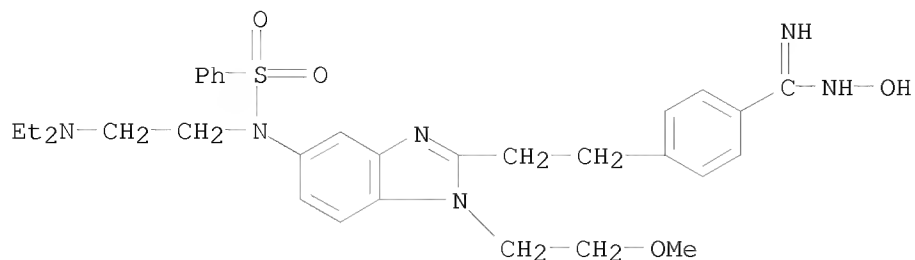
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CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-[(tetrahydro-2-furanyl)methyl]-1H-benzimidazol-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)



RN 331766-47-3 HCAPLUS
CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-(2-hydroxyethyl)-1H-benzimidazol-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)

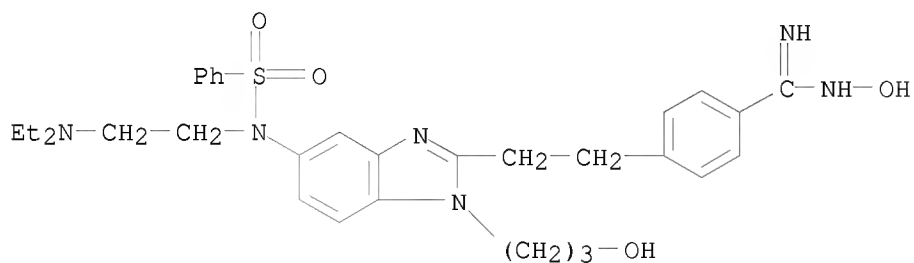


RN 331766-48-4 HCAPLUS
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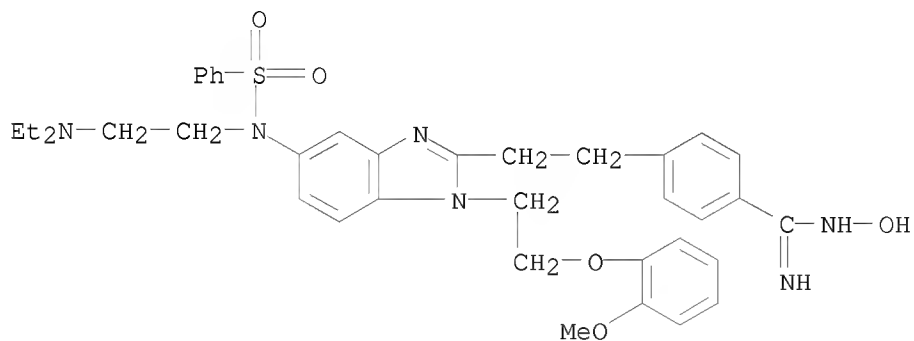
RN 331766-49-5 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-(3-hydroxypropyl)-1H-benzimidazol-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)



RN 331766-50-8 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-[2-(2-methoxyphenoxy)ethyl]-1H-benzimidazol-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)



IT 256493-19-3P 331766-54-2P 331766-55-3P

331766-59-7P 331766-60-0P 331766-62-2P

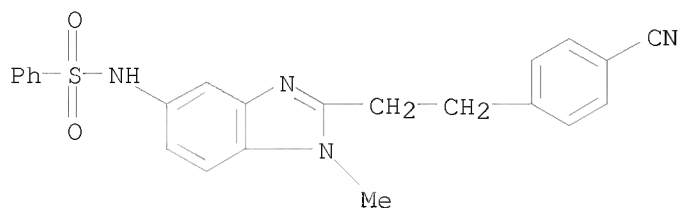
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aryethylarylsulfonamidobenzimidazoles as tryptase inhibitors)

RN 256493-19-3 HCAPLUS

CN Benzenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-

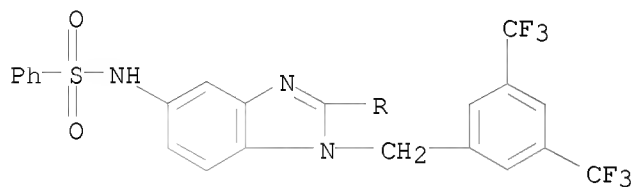
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5-yl]- (CA INDEX NAME)



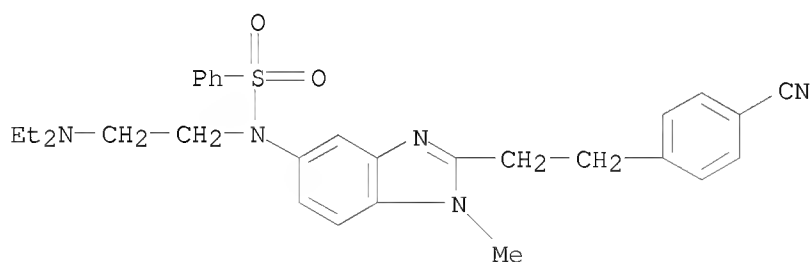
RN 331766-54-2 HCAPLUS

CN Benzenesulfonamide, N-[1-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-[2-(4-cyanophenyl)ethyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 331766-55-3 HCAPLUS

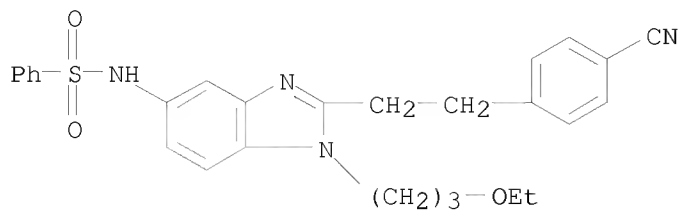
CN Benzenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(diethylamino)ethyl]- (CA INDEX NAME)



RN 331766-59-7 HCAPLUS

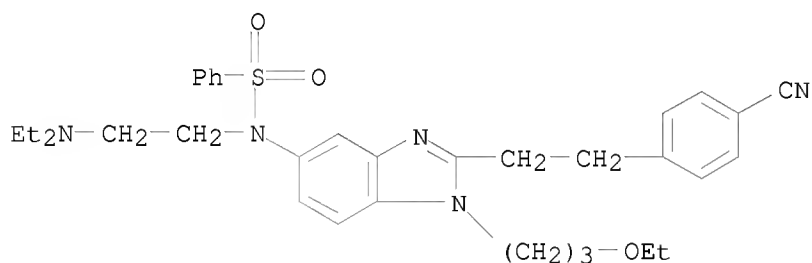
CN Benzenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-(3-ethoxypropyl)-1H-benzimidazol-5-yl]- (CA INDEX NAME)

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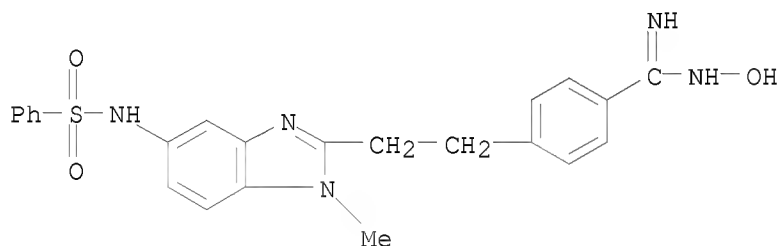
RN 331766-60-0 HCAPLUS

CN Benzenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-(3-ethoxypropyl)-1H-benzimidazol-5-yl]-N-[2-(diethylamino)ethyl]- (CA INDEX NAME)



RN 331766-62-2 HCAPLUS

CN Benzenecarboximidamide, N-hydroxy-4-[2-[1-methyl-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



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ACCESSION NUMBER: 2000:83221 HCAPLUS

DOCUMENT NUMBER: 132:137386

TITLE: Preparation of heterocyclalalkylbenzamidines and analogs as thrombin inhibitors

INVENTOR(S): Haeu, Norbert; Ries, Uwe; Pripke, Henning; Mhm, Gerhard; Wienen, Wolfgang; Stassen, Jean Marie; Binder, Klaus; Zimmermann, Rainer

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 58 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

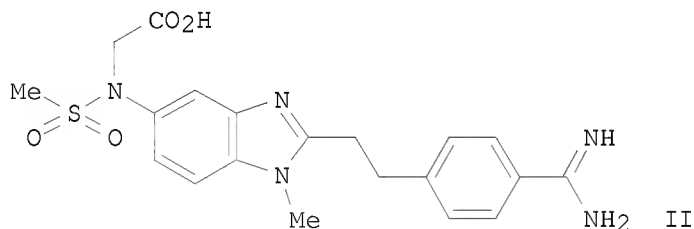
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19834751	A1	20000203	DE 1998-19834751	19980801 <--
US 6121308	A	20000919	US 1999-359487	19990722 <--
CA 2337825	A1	20000217	CA 1999-2337825	19990727 <--
CA 2337825	C	20080923		
WO 2000008014	A1	20000217	WO 1999-EP5371	19990727 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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EP 1100795	A1	20010523	EP 1999-938353	19990727 <--
EP 1100795	B1	20040609		
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AT 268763	T	20040615	AT 1999-938353	19990727
ES 2223177	T3	20050216	ES 1999-938353	19990727
MX 2001000399	A	20010622	MX 2001-399	20010111 <--
PRIORITY APPLN. INFO.:				
			DE 1998-19834751	A 19980801
			US 1998-98838P	P 19980902
			WO 1999-EP5371	W 19990727

OTHER SOURCE(S): MARPAT 132:137386
GI



AB RaZ2Z1ZR [I; R = cyano or C(:NH)NHRb; Ra = (alkyl)amino, phenylalkoxy, NR4COR3, etc.; Rb = H, OH, alkyl, metabolically labile group; Z = (un)substituted (hetero)arylene; Z1 = (alkyl-substituted) CH2CH2, -OCH2, -CH2O, -NHCH2, etc.; Z2 = indole-, benzimidazole-, benzoxazole-n,2-diyl, quinolinediyl, etc.; n = 4-7] were prepared Thus, 2-methylamino-5-nitroaniline was cyclocondensed with HO2CCH2CH2C6H4(CN)-4 and the reduced product N-substituted by, successively, MeSO2Cl and BrCH2CO2Et to give, after aminolysis and saponification, title compound II.

Data

for biol. activity of I were given.

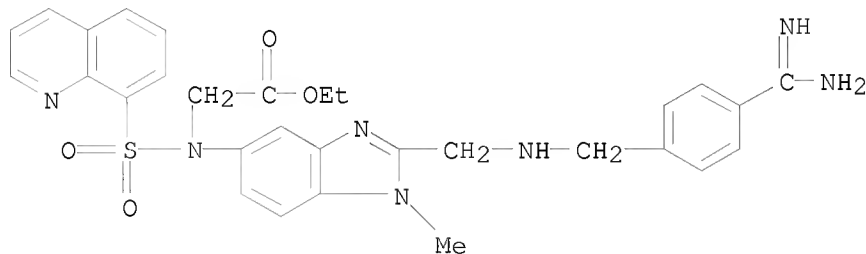
IT 256491-63-1P 256491-69-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT
(Reactant or reagent); USES (Uses)
(preparation of heterocyclalalkylbenzamides and analogs as thrombin
inhibitors)

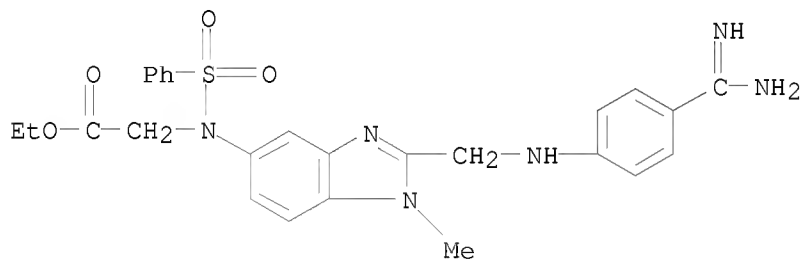
RN 256491-63-1 HCAPLUS

CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]methyl]-1-methyl-
1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX
NAME)



RN 256491-69-7 HCAPLUS

CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-
benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

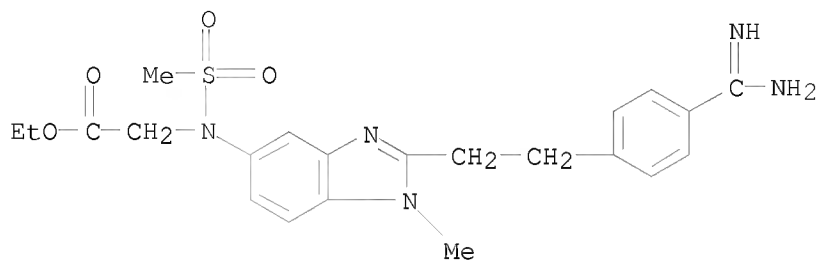


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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclalalkylbenzamidines and analogs as thrombin inhibitors)

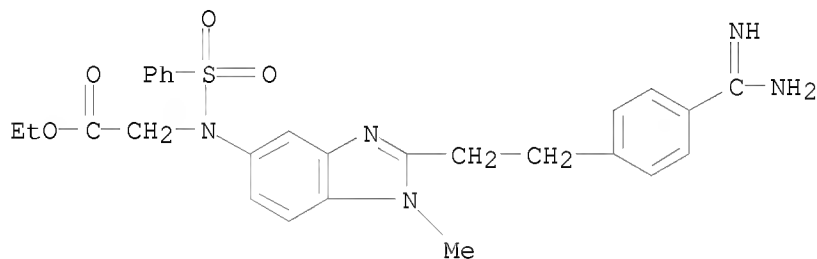
RN 256491-14-2 HCAPLUS

CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(methylsulfonyl)-, ethyl ester (CA INDEX NAME)



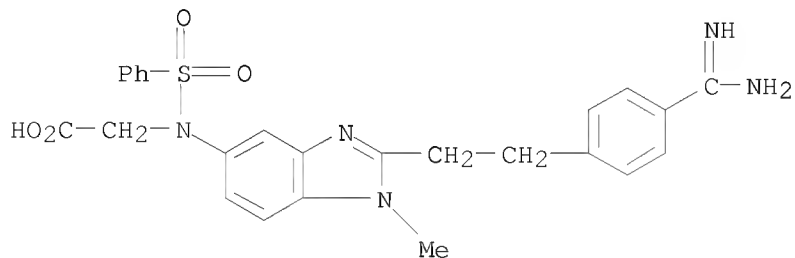
RN 256491-15-3 HCAPLUS

CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256491-16-4 HCAPLUS

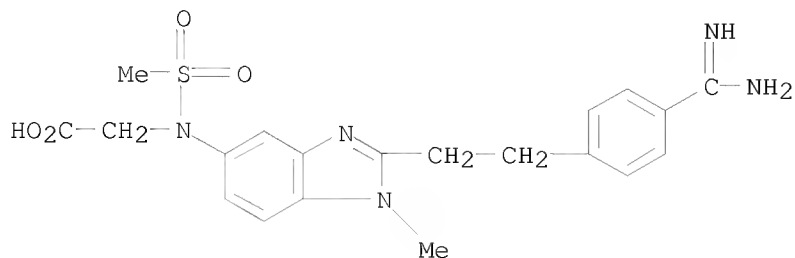
CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)- (CA INDEX NAME)



RN 256491-17-5 HCAPLUS

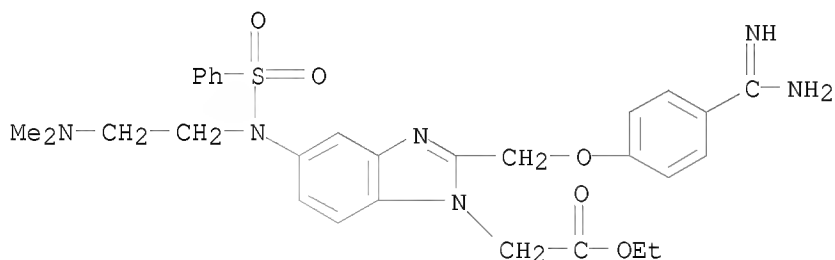
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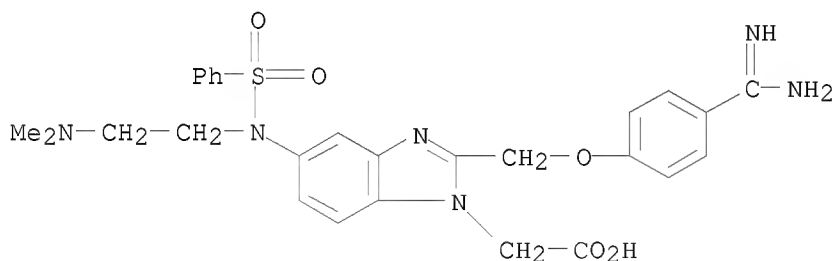
RN 256491-18-6 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenoxy]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



RN 256491-20-0 HCAPLUS

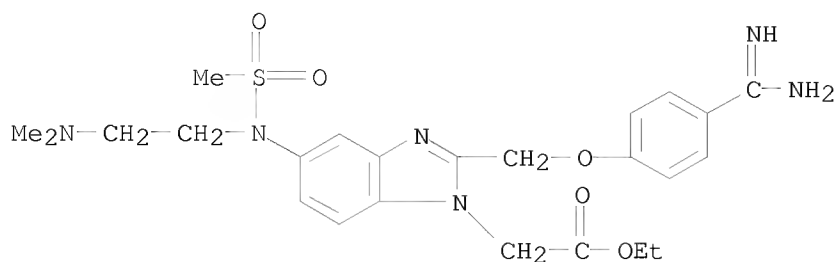
CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenoxy]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]- (CA INDEX NAME)



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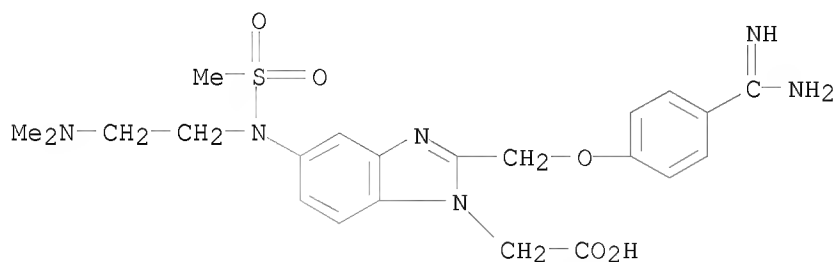
CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenoxy]methyl]-5-[[2-(dimethylamino)ethyl](methylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

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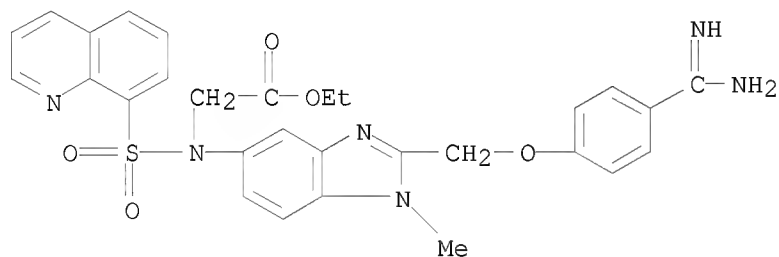
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CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenoxy]methyl]-5-[[2-(dimethylamino)ethyl](methanesulfonyl)amino]- (CA INDEX NAME)



RN 256491-25-5 HCAPLUS

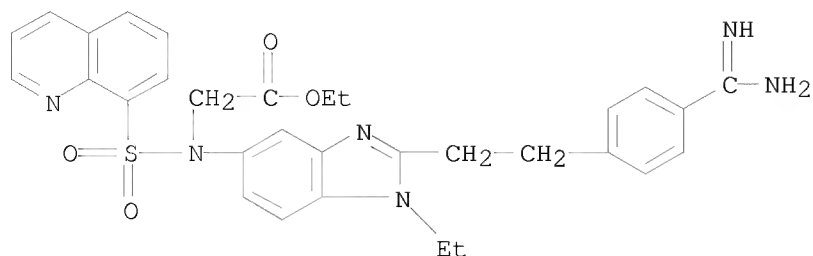
CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256491-26-6 HCAPLUS

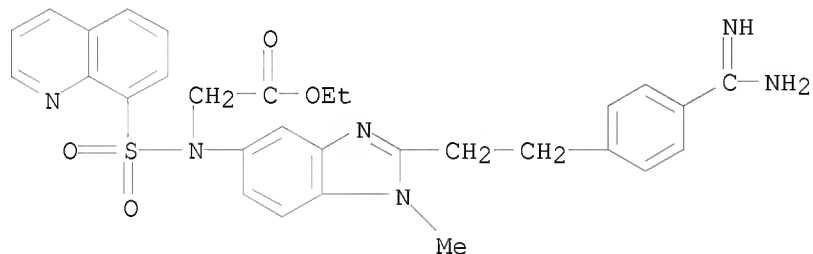
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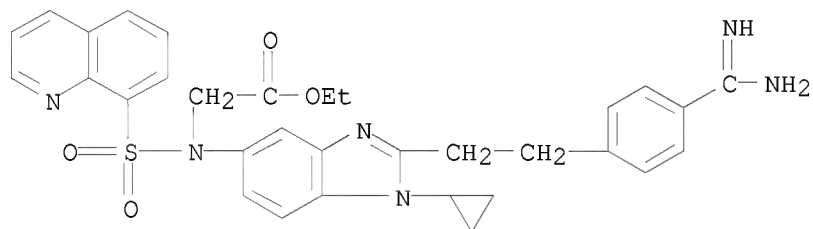
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CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256491-28-8 HCAPLUS

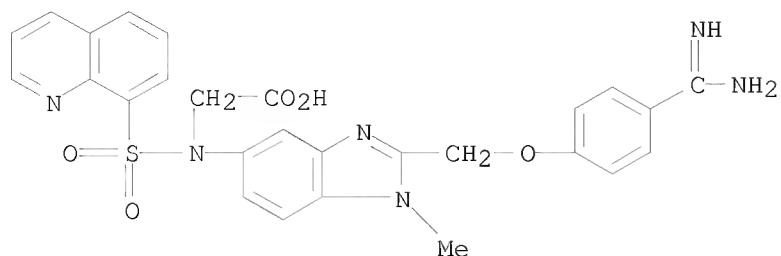
CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-cyclopropyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256491-29-9 HCAPLUS

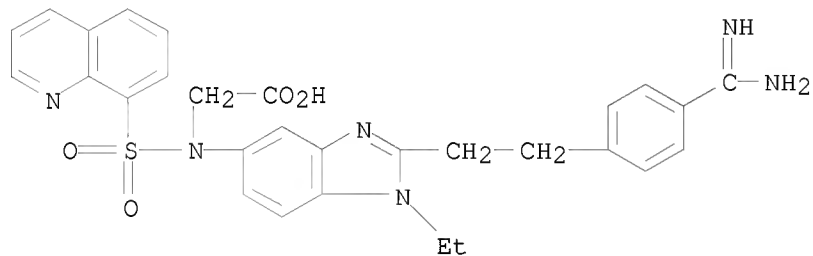
CN Glycine, N-[2-[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

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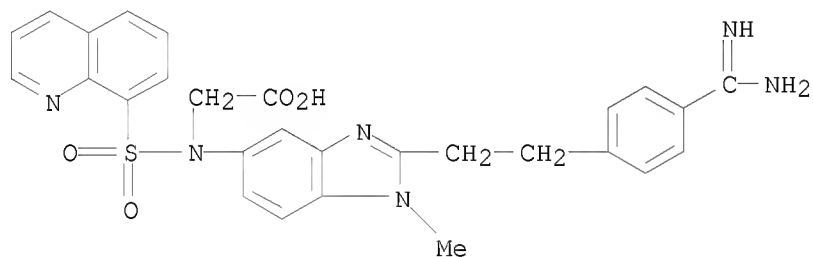
RN 256491-31-3 HCAPLUS

CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-ethyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)



RN 256491-32-4 HCAPLUS

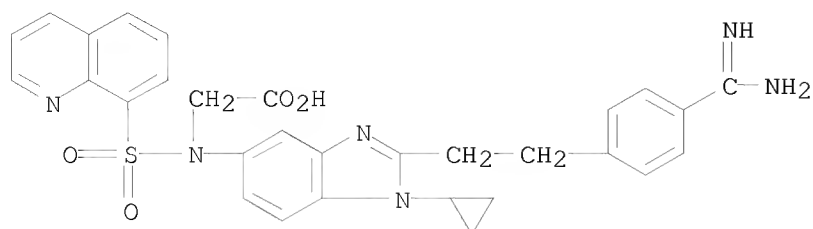
CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)



RN 256491-33-5 HCAPLUS

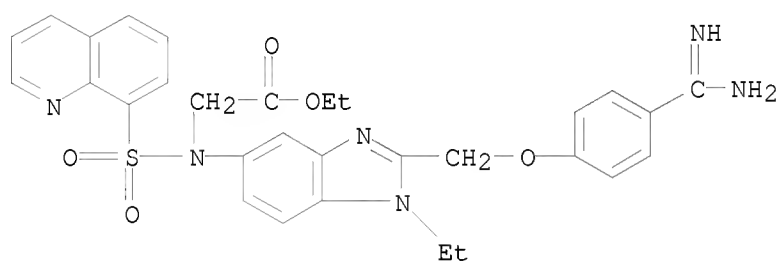
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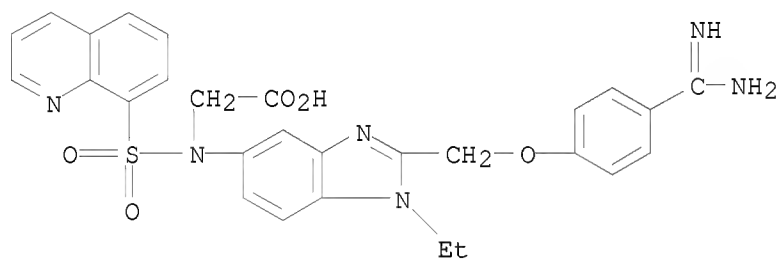
RN 256491-34-6 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-ethyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256491-35-7 HCAPLUS

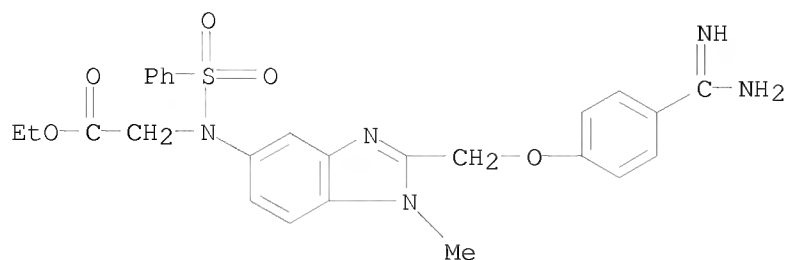
CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-ethyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)



RN 256491-36-8 HCAPLUS

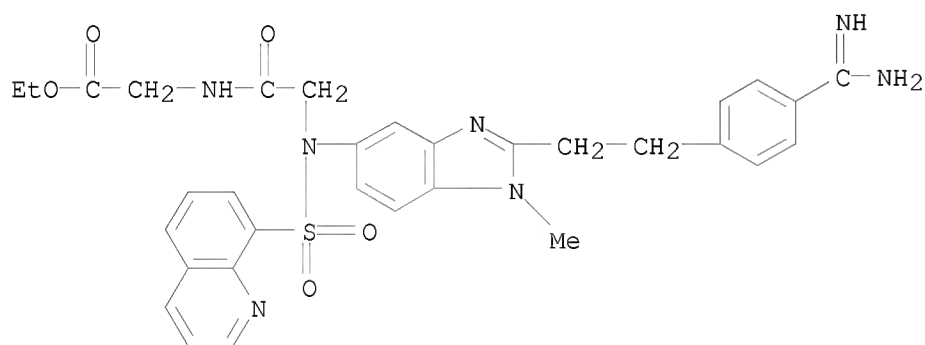
CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

10573054



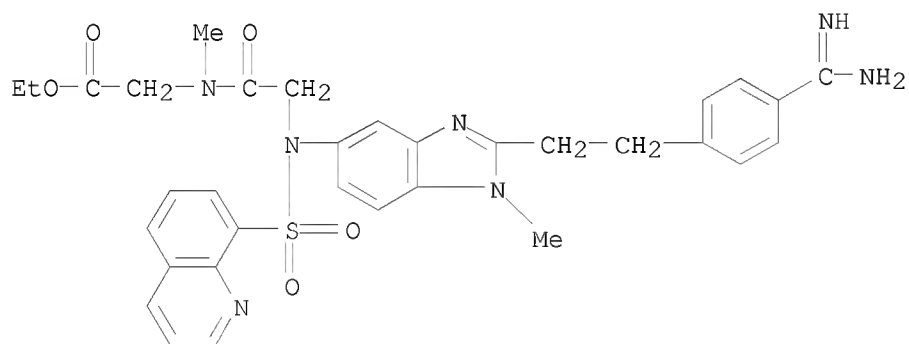
RN 256491-37-9 HCAPLUS

CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester (CA INDEX NAME)



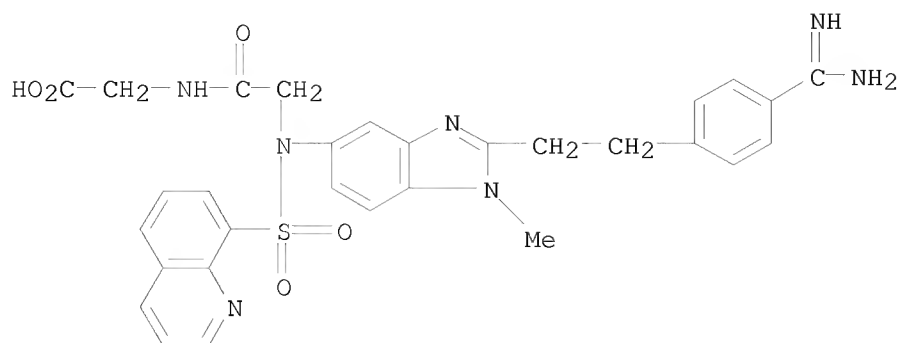
RN 256491-38-0 HCAPLUS

CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-N-methyl-, ethyl ester (CA INDEX NAME)



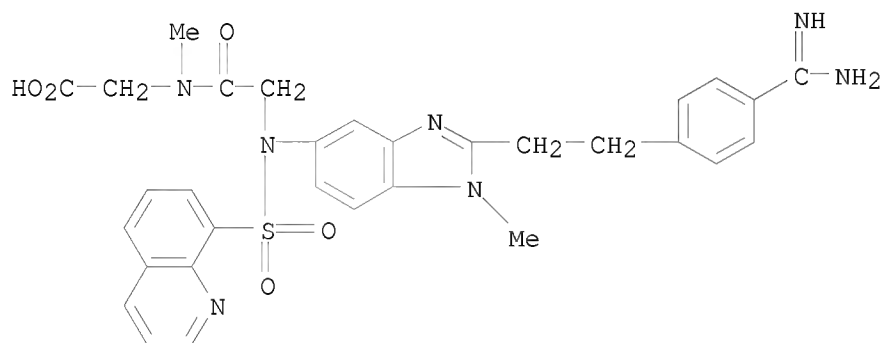
RN 256491-39-1 HCAPLUS

CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl- (CA INDEX NAME)



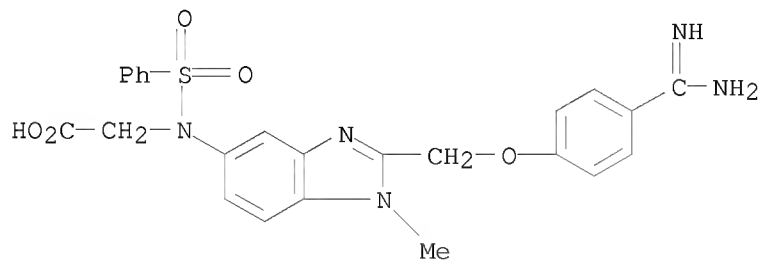
RN 256491-40-4 HCAPLUS

CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-N-methyl- (CA INDEX NAME)



RN 256491-41-5 HCAPLUS

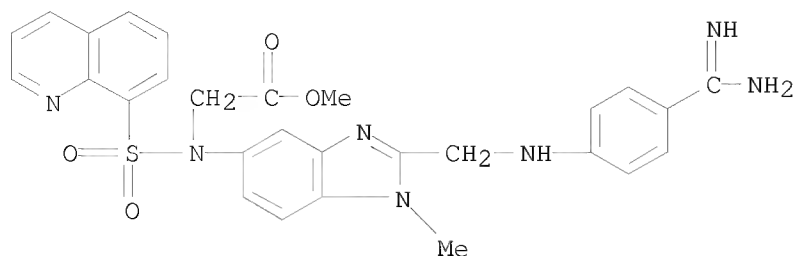
CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)- (CA INDEX NAME)



RN 256491-42-6 HCAPLUS

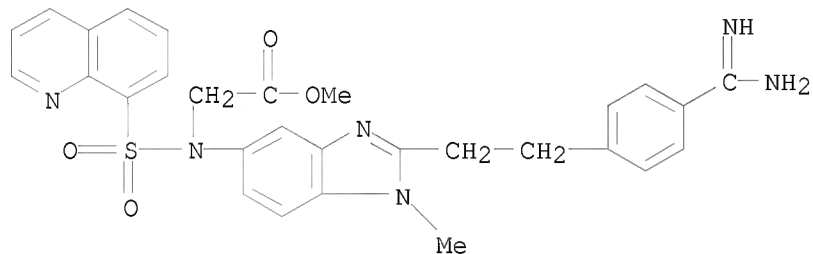
CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

10573054



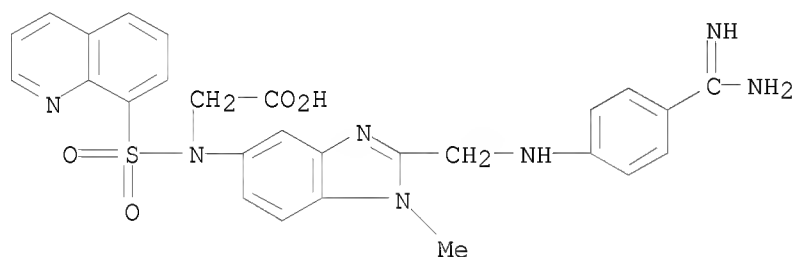
RN 256491-43-7 HCAPLUS

CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 256491-44-8 HCAPLUS

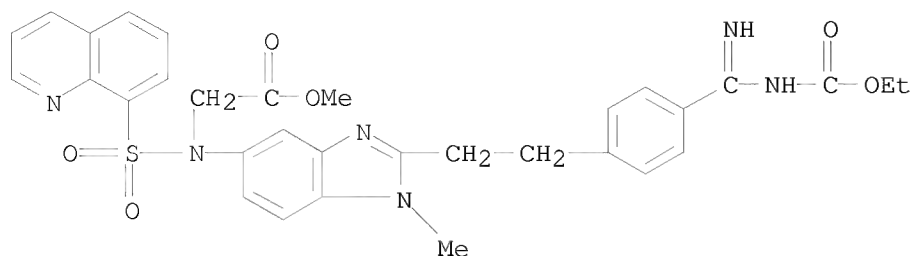
CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)



RN 256491-45-9 HCAPLUS

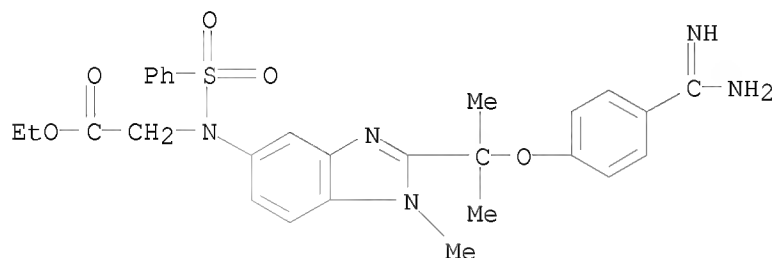
CN Glycine, N-[2-[2-[4-[(ethoxycarbonyl)amino]iminomethyl]phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

10573054



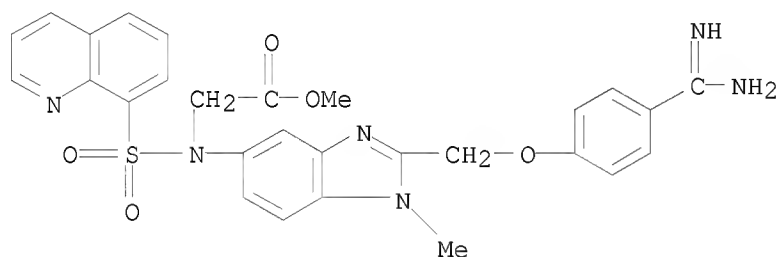
RN 256491-46-0 HCAPLUS

CN Glycine, N-[2-[1-[4-(aminoiminomethyl)phenoxy]-1-methylethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)



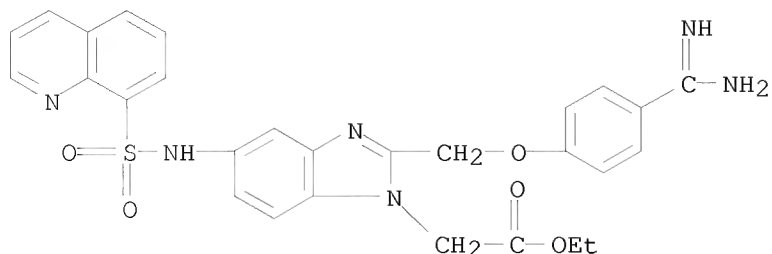
RN 256491-48-2 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)



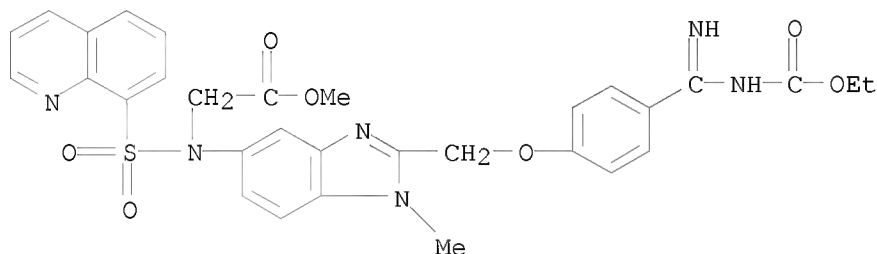
RN 256491-49-3 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenoxy]methyl]-5-[(8-quinolinylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



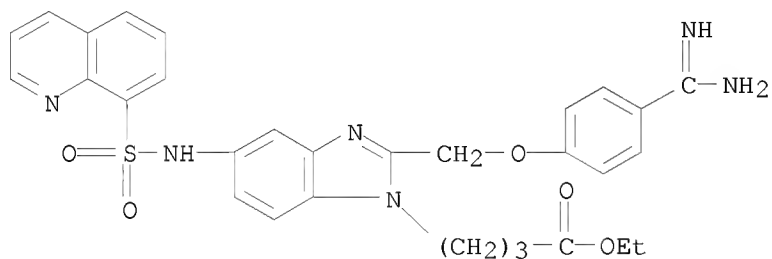
RN 256491-50-6 HCAPLUS

CN Glycine, N-[2-[[4-[(ethoxycarbonyl)amino]iminomethyl]phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 256491-51-7 HCAPLUS

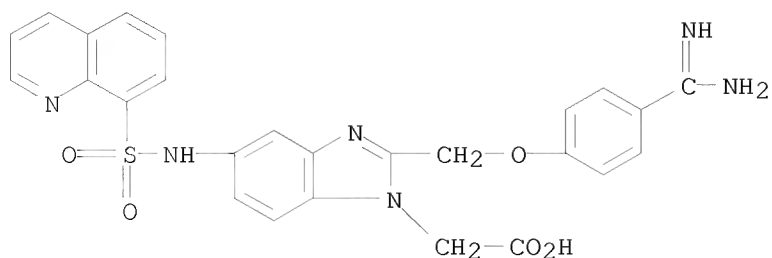
CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenoxy]methyl]-5-[(8-quinolinylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



RN 256491-52-8 HCAPLUS

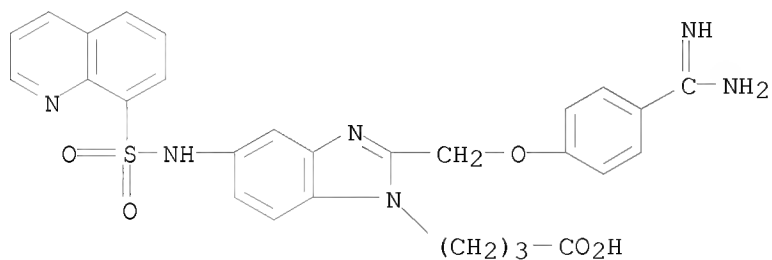
CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenoxy]methyl]-5-[(8-quinolinylsulfonyl)amino]- (CA INDEX NAME)

10573054



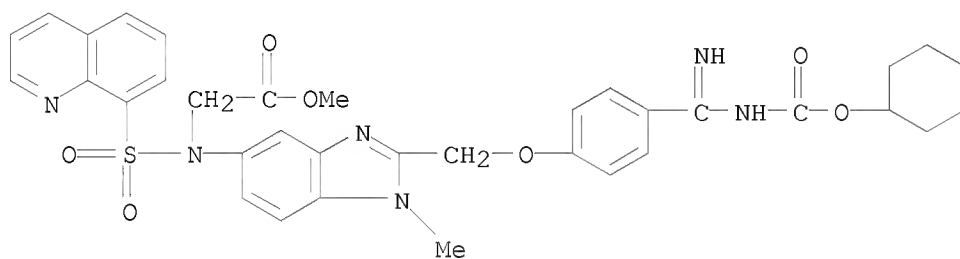
RN 256491-53-9 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenoxy]methyl]-5-[(8-quinolinylsulfonyl)amino]- (CA INDEX NAME)



RN 256491-54-0 HCAPLUS

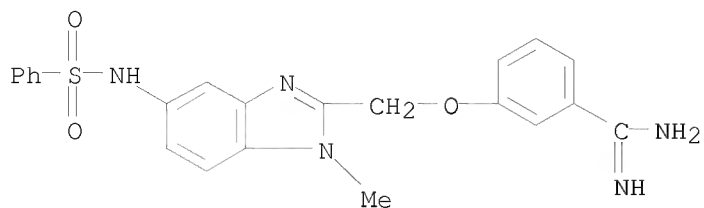
CN Glycine, N-[2-[[4-[[[(cyclohexyloxy)carbonyl]amino]iminomethyl]phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 256491-55-1 HCAPLUS

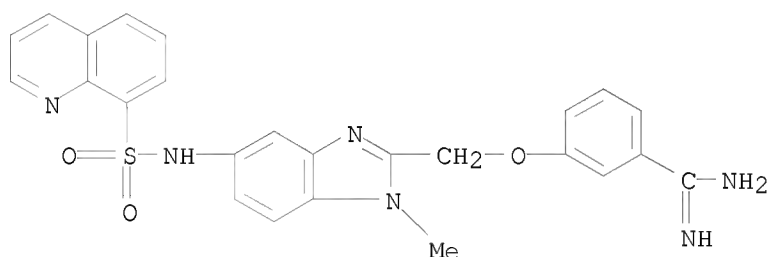
CN Benzenecarboximidamide, 3-[[1-methyl-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methoxy]- (CA INDEX NAME)

10573054



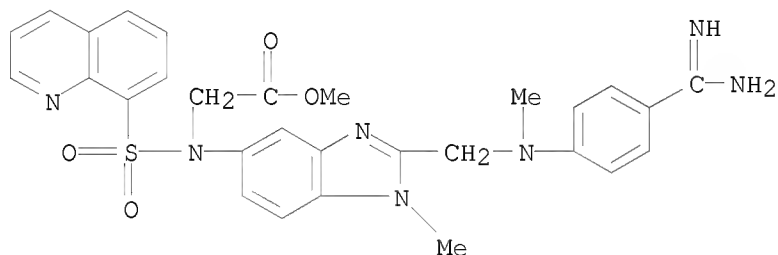
RN 256491-56-2 HCAPLUS

CN Benzenecarboximidamide, 3-[[1-methyl-5-[(8-quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]methoxy]- (CA INDEX NAME)



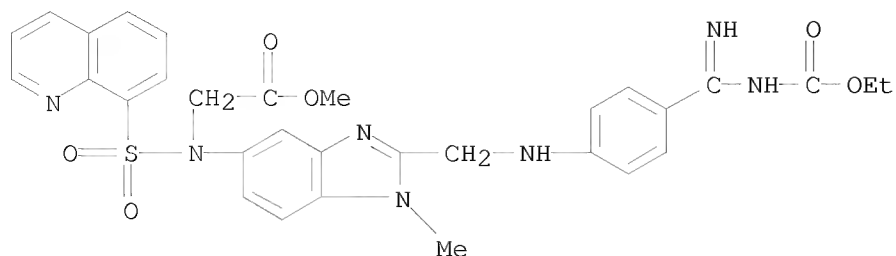
RN 256491-57-3 HCAPLUS

CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]methylamino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)



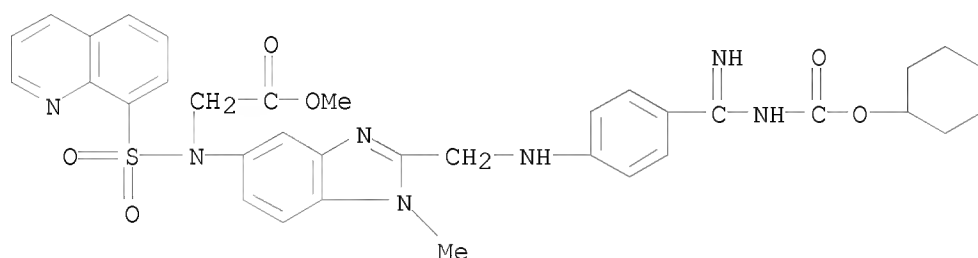
RN 256491-58-4 HCAPLUS

CN Glycine, N-[2-[[[4-[[[(ethoxycarbonyl)amino]iminomethyl]phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)



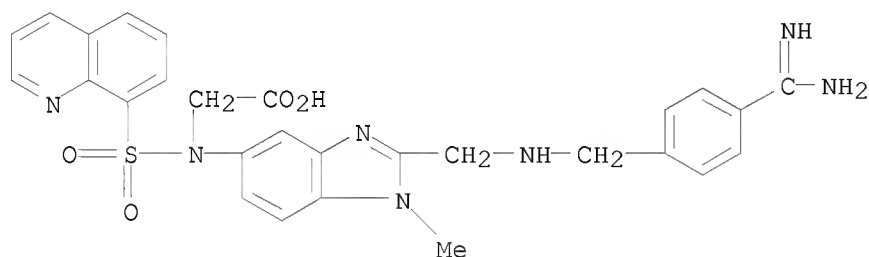
RN 256491-59-5 HCAPLUS

CN Glycine, N-[2-[[[4-[[[(cyclohexyloxy)carbonyl]amino]iminomethyl]phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 256491-64-2 HCAPLUS

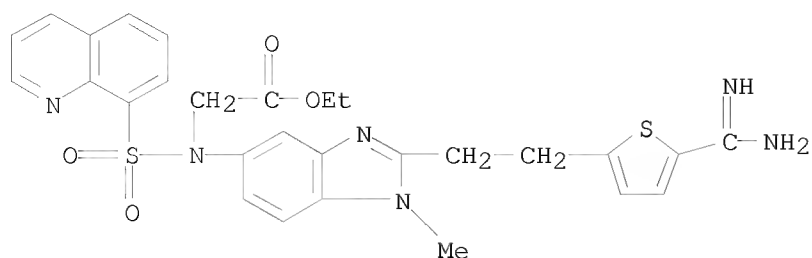
CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)



RN 256491-67-5 HCAPLUS

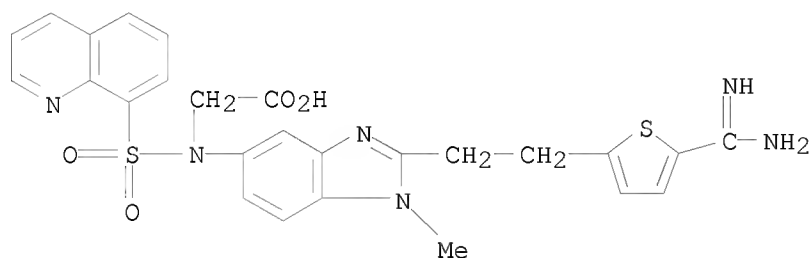
CN Glycine, N-[2-[2-[5-(aminoiminomethyl)-2-thienyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

10573054



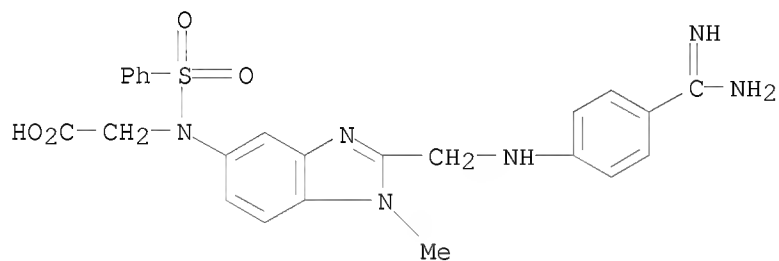
RN 256491-68-6 HCAPLUS

CN Glycine, N-[2-[2-[5-(aminoiminomethyl)-2-thienyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)



RN 256491-70-0 HCAPLUS

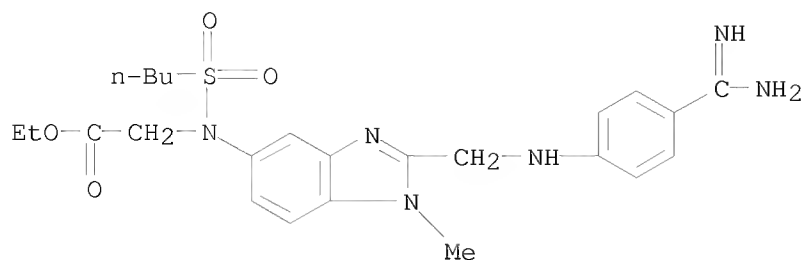
CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)- (CA INDEX NAME)



RN 256491-72-2 HCAPLUS

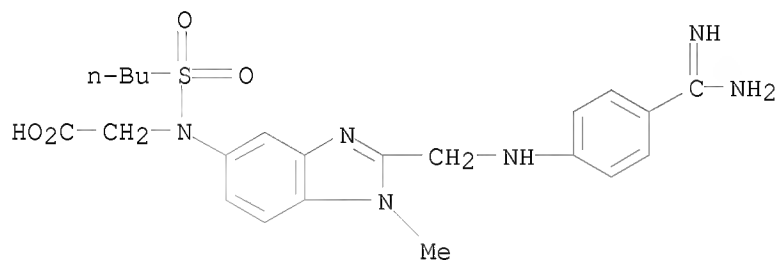
CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(butylsulfonyl)-, ethyl ester (CA INDEX NAME)

10573054



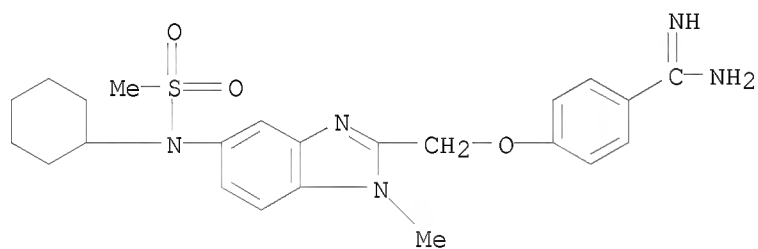
RN 256491-75-5 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(butylsulfonyl)- (CA INDEX NAME)



RN 256491-78-8 HCAPLUS

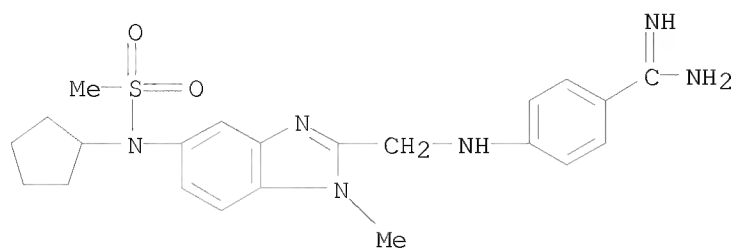
CN Benzenecarboximidamide, 4-[[5-[cyclohexyl(methylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methoxy]- (CA INDEX NAME)



RN 256491-80-2 HCAPLUS

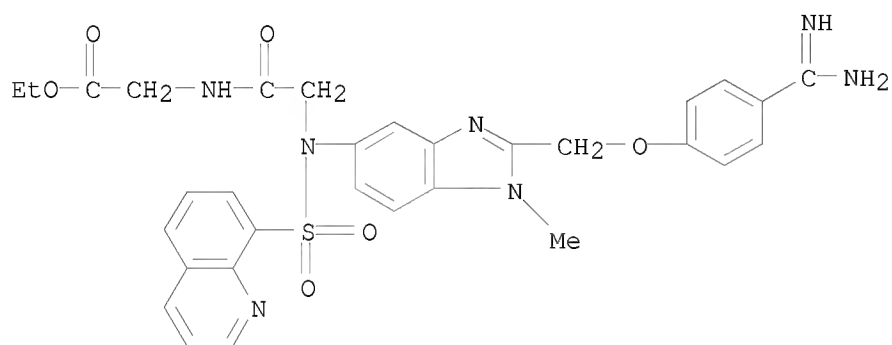
CN Benzenecarboximidamide, 4-[[[5-[cyclopentyl(methylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]amino]- (CA INDEX NAME)

10573054



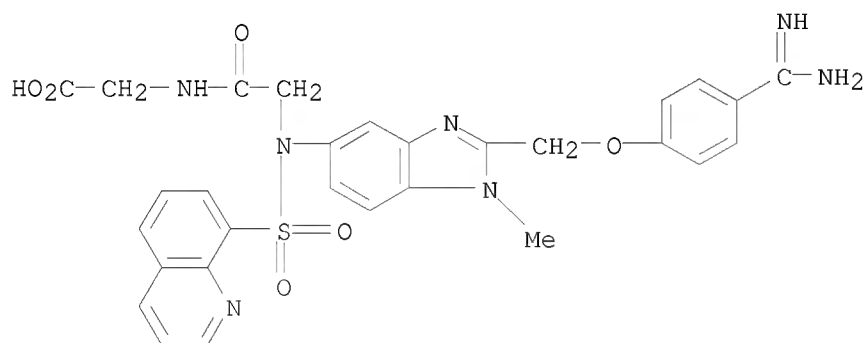
RN 256491-81-3 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester (CA INDEX NAME)



RN 256491-82-4 HCAPLUS

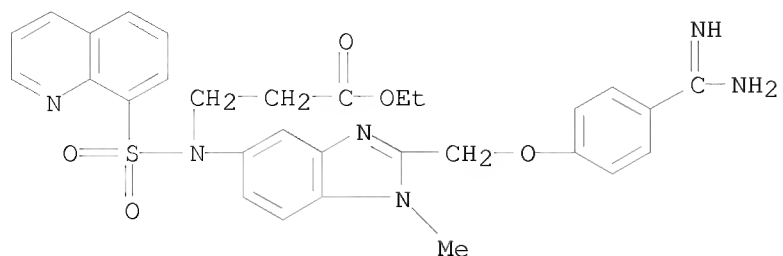
CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl- (CA INDEX NAME)



RN 256491-83-5 HCAPLUS

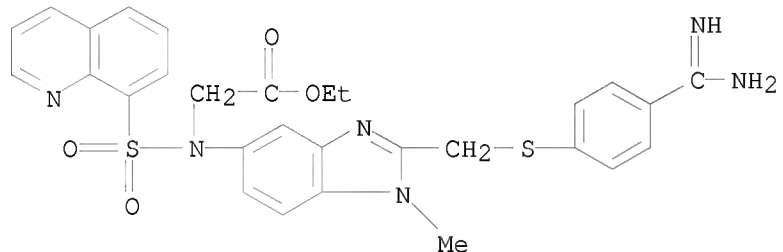
CN β -Alanine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

10573054



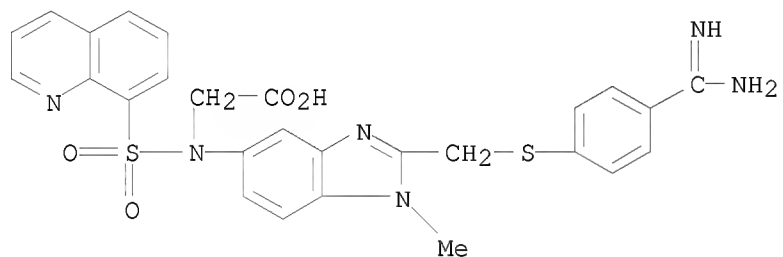
RN 256492-12-3 HCAPLUS

CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]thio]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256492-13-4 HCAPLUS

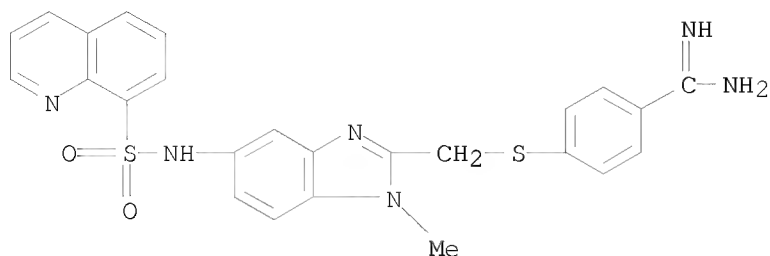
CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]thio]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)



RN 256492-14-5 HCAPLUS

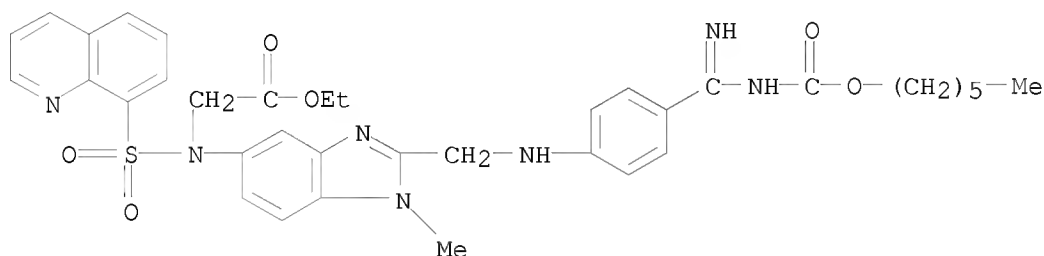
CN Benzenecarboximidamide, 4-[[[1-methyl-5-[(8-quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]thio]- (CA INDEX NAME)

10573054



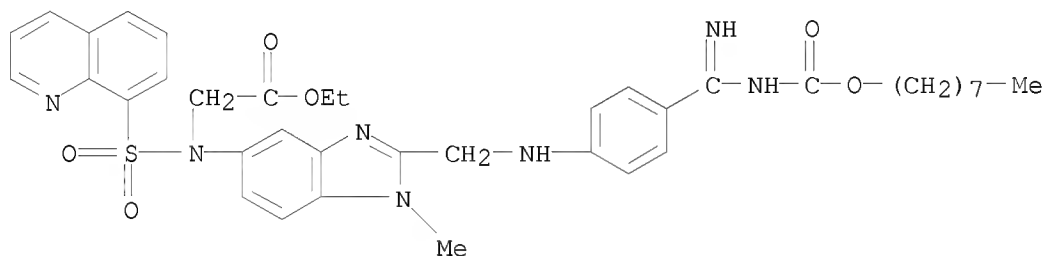
RN 256492-41-8 HCAPLUS

CN Glycine, N-[2-[[[4-[[[(hexyloxy)carbonyl]amino]iminomethyl]phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256492-42-9 HCAPLUS

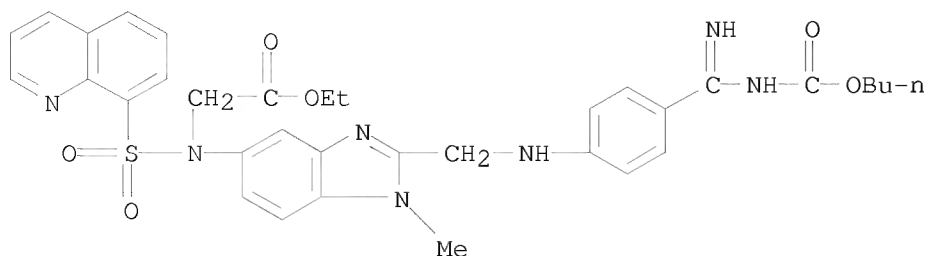
CN Glycine, N-[2-[[[4-[imino[[[(octyloxy)carbonyl]amino]methyl]phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



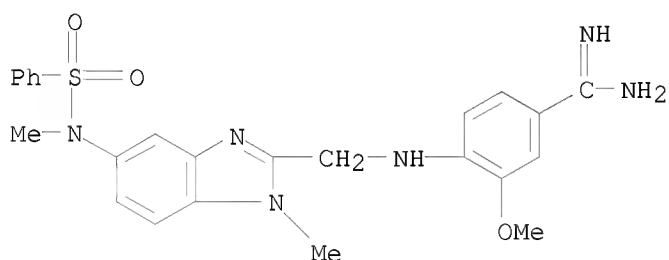
RN 256492-43-0 HCAPLUS

CN Glycine, N-[2-[[[4-[[[(butoxycarbonyl)amino]iminomethyl]phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

10573054



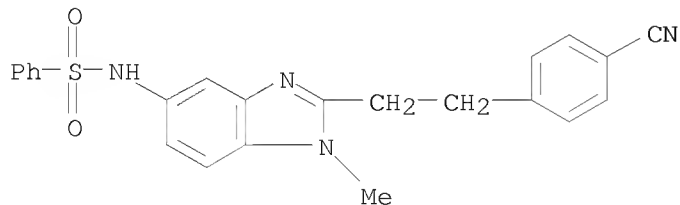
RN 256492-44-1 HCAPLUS
 CN Benzenecarboximidamide, 3-methoxy-4-[[[1-methyl-5-[methyl(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]amino]- (CA INDEX NAME)



IT 256493-19-3 256493-21-7 256493-23-9
 256493-24-0 256493-25-1 256493-26-2
 256493-27-3 256493-28-4 256493-29-5
 256493-30-8 256493-31-9 256493-32-0
 256493-33-1 256493-35-3 256493-36-4
 256493-37-5 256493-38-6 256493-39-7
 256493-40-0 256493-42-2 256493-44-4
 256493-45-5 256493-48-8 256493-51-3
 256493-53-5 256493-54-6 256493-55-7
 256493-68-2 256493-69-3 256493-80-8

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of heterocyclalalkylbenzamidines and analogs as thrombin inhibitors)

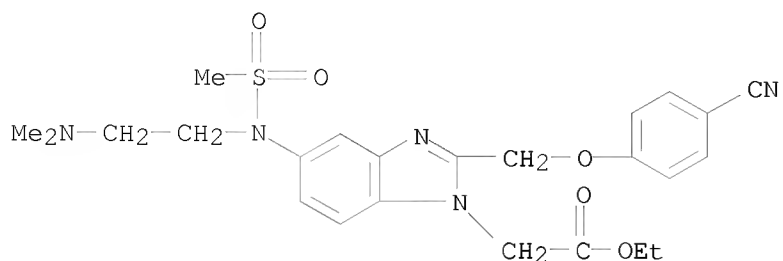
RN 256493-19-3 HCAPLUS
 CN Benzenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 256493-21-7 HCAPLUS

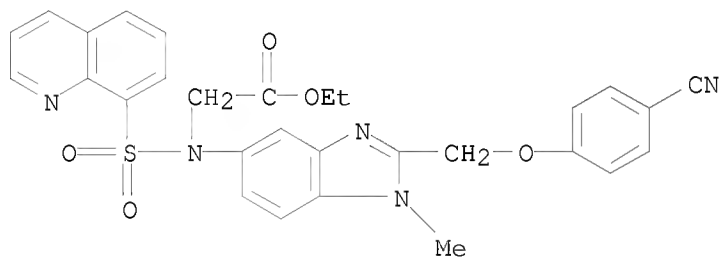
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CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenoxy)methyl]-5-[[2-(dimethylamino)ethyl](methylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



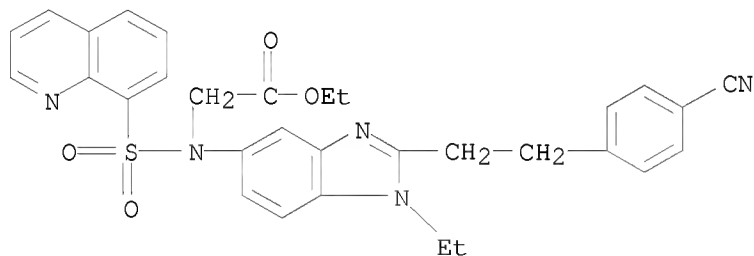
RN 256493-23-9 HCAPLUS

CN Glycine, N-[2-[(4-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256493-24-0 HCAPLUS

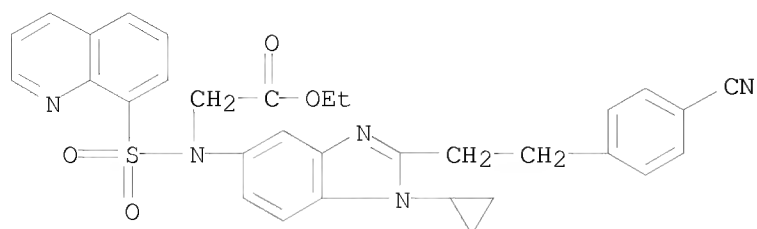
CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-ethyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256493-25-1 HCAPLUS

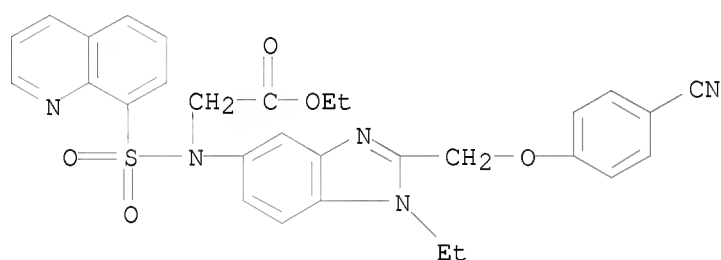
CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-cyclopropyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

10573054



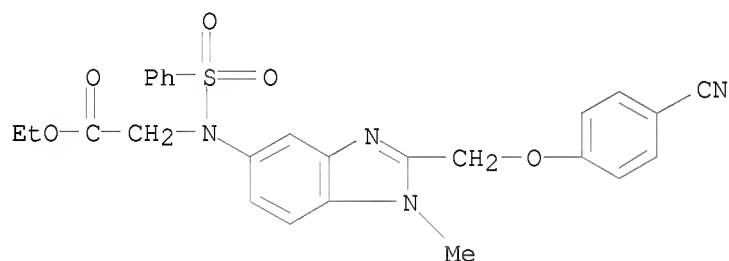
RN 256493-26-2 HCAPLUS

CN Glycine, N-[2-[(4-cyanophenoxy)methyl]-1-ethyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256493-27-3 HCAPLUS

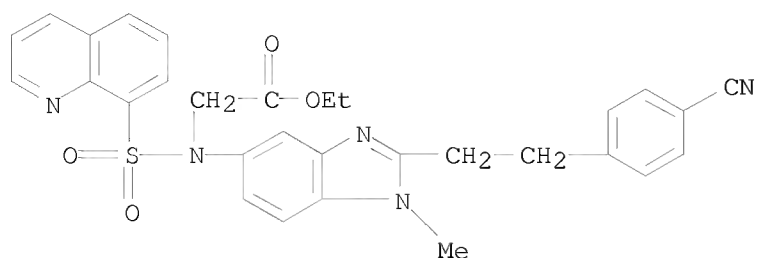
CN Glycine, N-[2-[(4-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256493-28-4 HCAPLUS

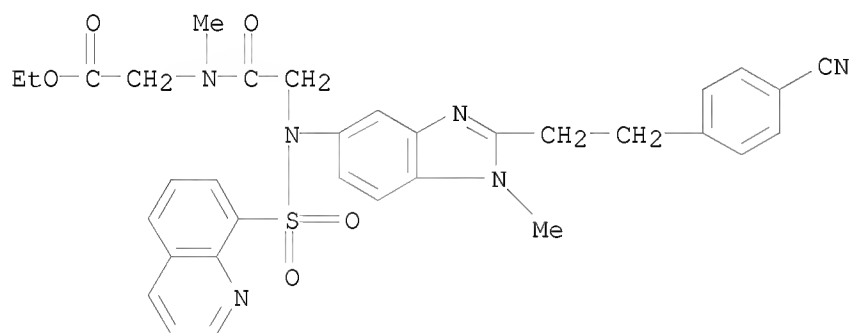
CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

10573054



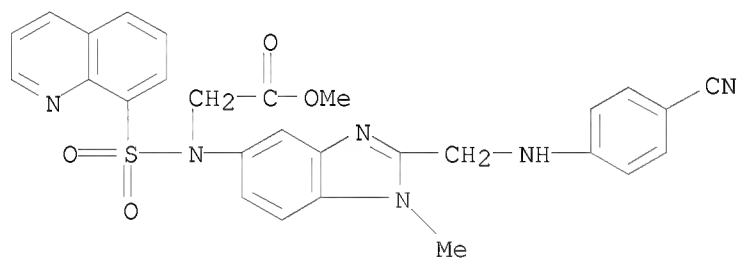
RN 256493-29-5 HCAPLUS

CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-N-methyl-, ethyl ester (CA INDEX NAME)



RN 256493-30-8 HCAPLUS

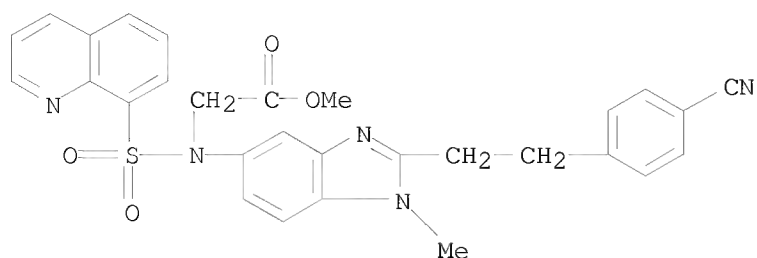
CN Glycine, N-[2-[[4-(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 256493-31-9 HCAPLUS

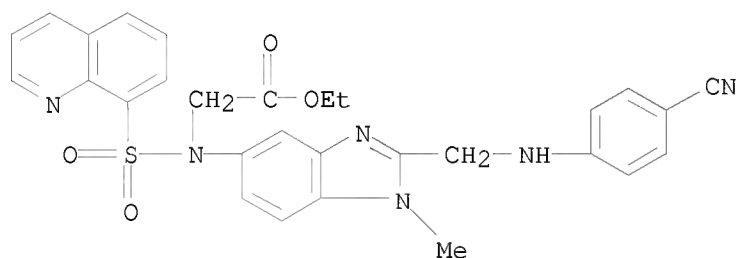
CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

10573054



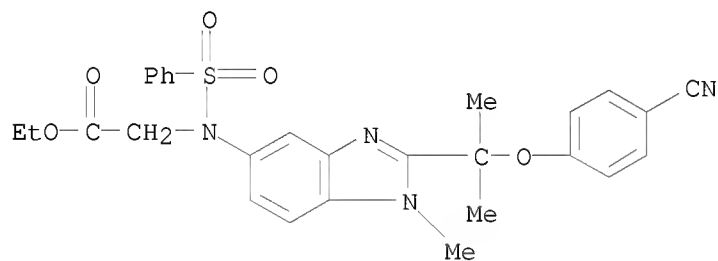
RN 256493-32-0 HCAPLUS

CN Glycine, N-[2-[[4-cyanophenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256493-33-1 HCAPLUS

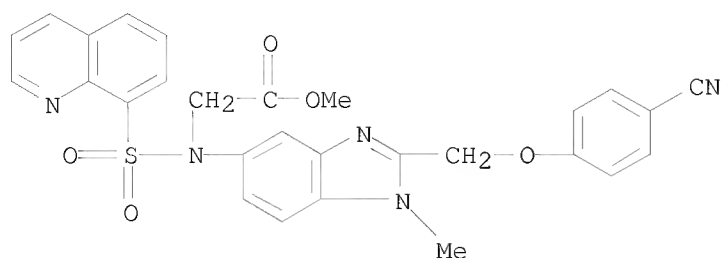
CN Glycine, N-[2-[1-(4-cyanophenoxy)-1-methylethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256493-35-3 HCAPLUS

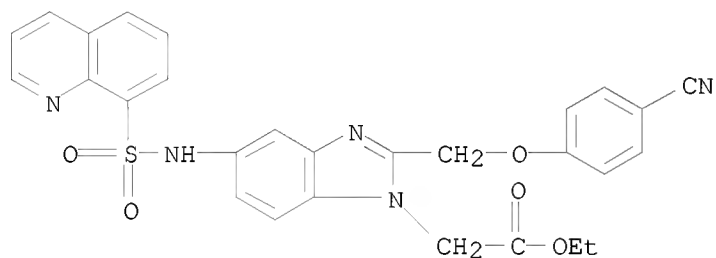
CN Glycine, N-[2-[(4-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

10573054



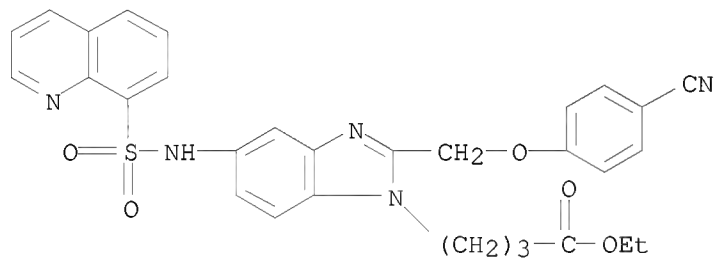
RN 256493-36-4 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenoxy)methyl]-5-[(8-quinolinylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



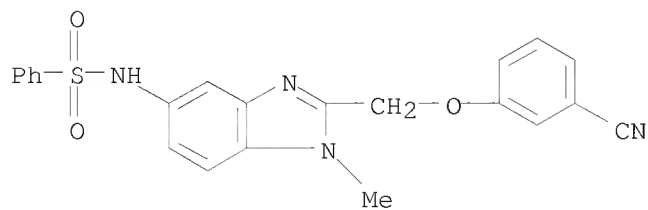
RN 256493-37-5 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[(4-cyanophenoxy)methyl]-5-[(8-quinolinylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



RN 256493-38-6 HCAPLUS

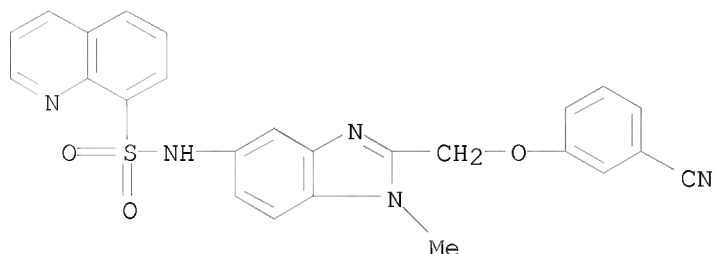
CN Benzenesulfonamide, N-[2-[(3-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



10573054

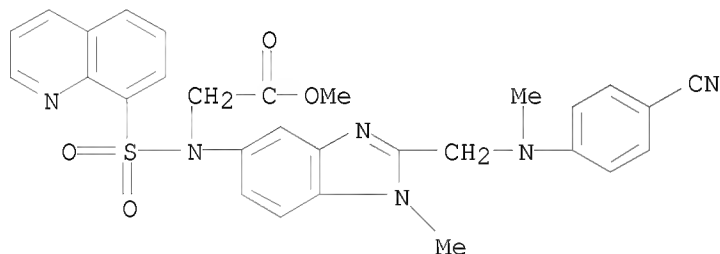
RN 256493-39-7 HCAPLUS

CN 8-Quinolinesulfonamide, N-[2-[(3-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



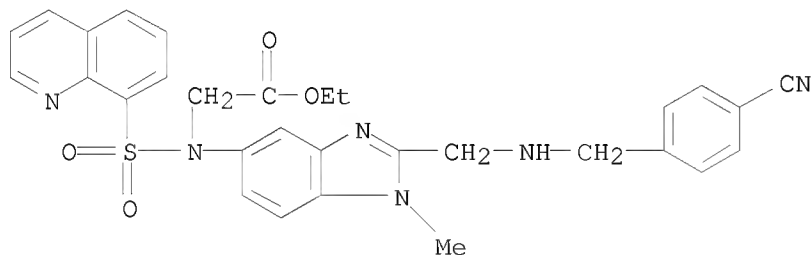
RN 256493-40-0 HCAPLUS

CN Glycine, N-[2-[[[(4-cyanophenyl)methylamino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 256493-42-2 HCAPLUS

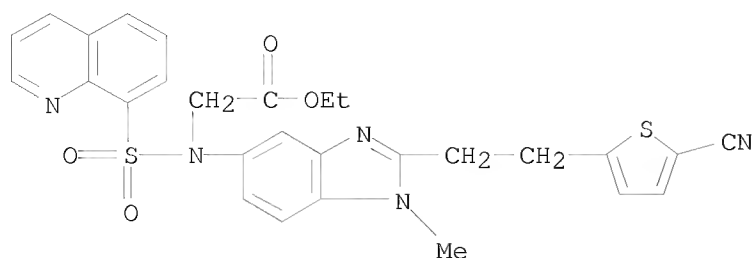
CN Glycine, N-[2-[[[(4-cyanophenyl)methyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256493-44-4 HCAPLUS

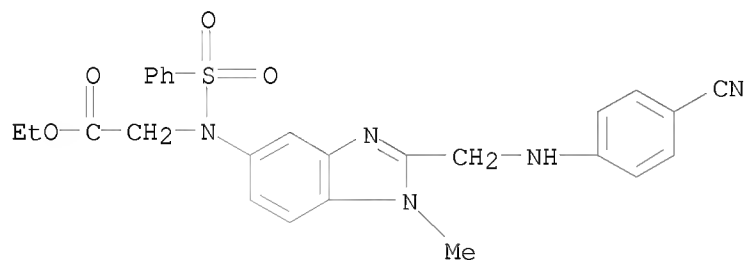
CN Glycine, N-[2-[2-(5-cyano-2-thienyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

10573054



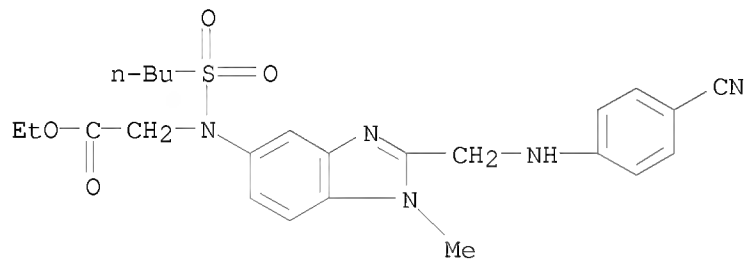
RN 256493-45-5 HCAPLUS

CN Glycine, N-[2-[[4-cyanophenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256493-48-8 HCAPLUS

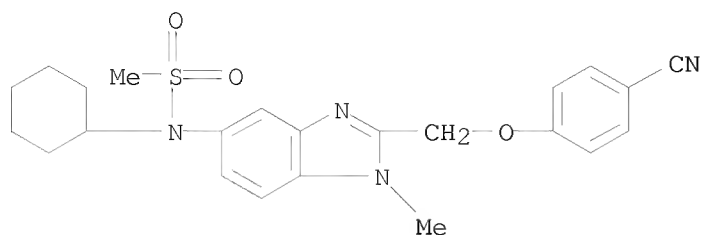
CN Glycine, N-(butylsulfonyl)-N-[2-[[4-cyanophenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-, ethyl ester (CA INDEX NAME)



RN 256493-51-3 HCAPLUS

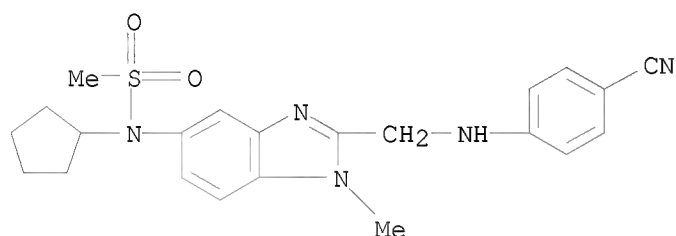
CN Methanesulfonamide, N-[2-[(4-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-cyclohexyl- (CA INDEX NAME)

10573054



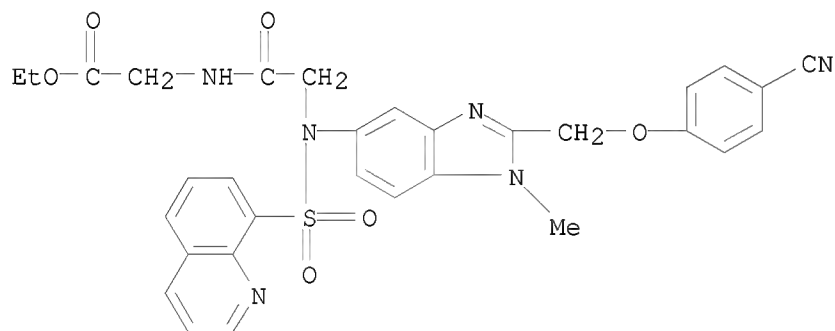
RN 256493-53-5 HCAPLUS

CN Methanesulfonamide, N-[2-[[4-(4-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-cyclopentyl- (CA INDEX NAME)



RN 256493-54-6 HCAPLUS

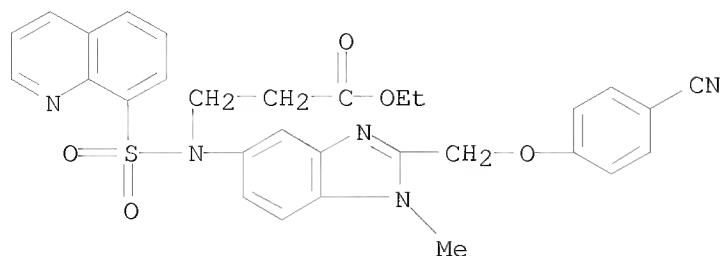
CN Glycine, N-[2-[(4-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester (CA INDEX NAME)



RN 256493-55-7 HCAPLUS

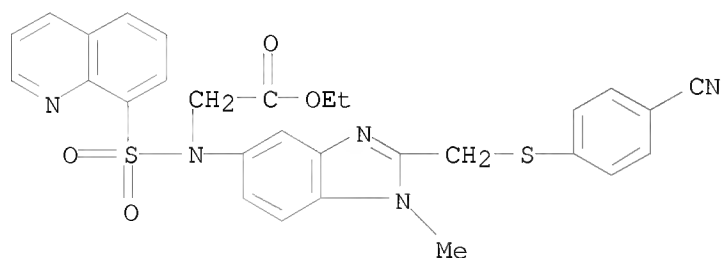
CN beta-Alanine, N-[2-[(4-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

10573054



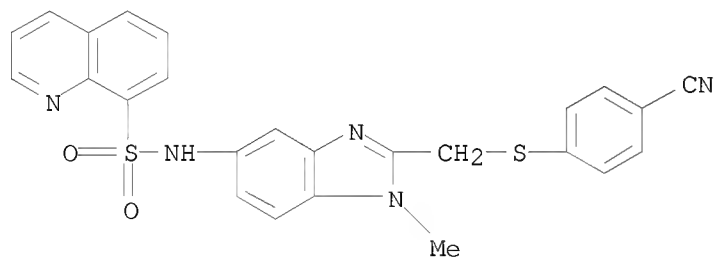
RN 256493-68-2 HCAPLUS

CN Glycine, N-[2-[[[4-(4-cyanophenyl)thio]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinesulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256493-69-3 HCAPLUS

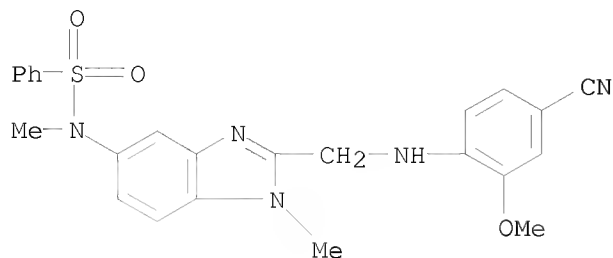
CN 8-Quinolinesulfonamide, N-[2-[[[4-(4-cyanophenyl)thio]methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 256493-80-8 HCAPLUS

CN Benzenesulfonamide, N-[2-[[[4-(4-cyano-2-methoxyphenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)

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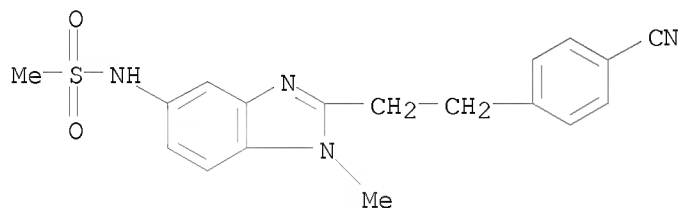


IT 256492-48-5P 256492-49-6P 256492-50-9P
256492-55-4P 256492-56-5P 256492-59-8P
256492-60-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of heterocyclalalkylbenzamidines and analogs as thrombin
inhibitors)

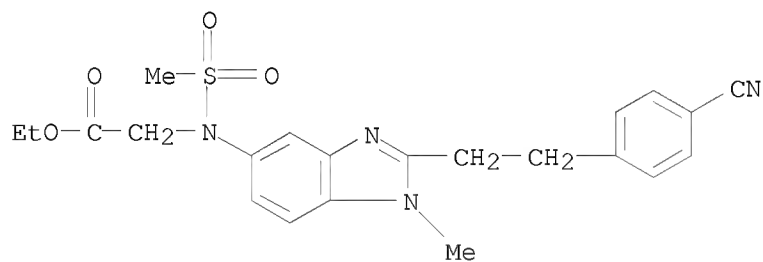
RN 256492-48-5 HCAPLUS

CN Methanesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-
5-yl]- (CA INDEX NAME)



RN 256492-49-6 HCAPLUS

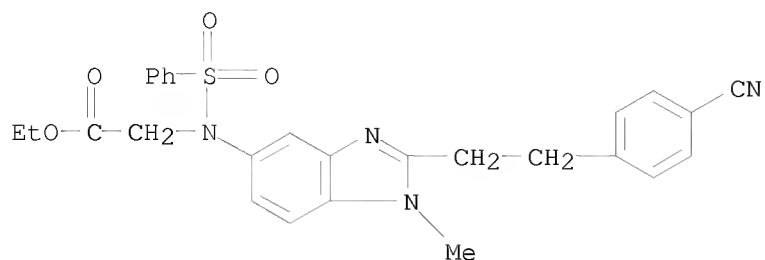
CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-
(methylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256492-50-9 HCAPLUS

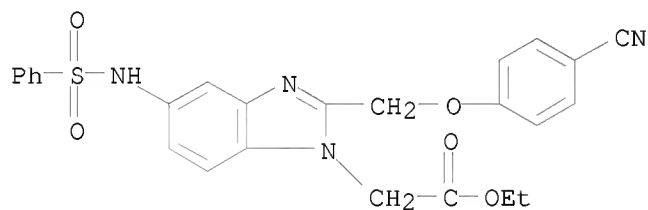
CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-
(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

10573054



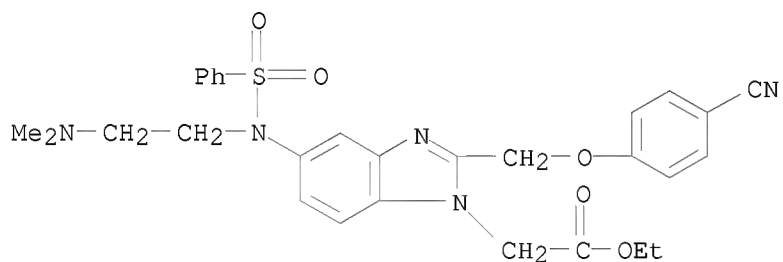
RN 256492-55-4 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenoxy)methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



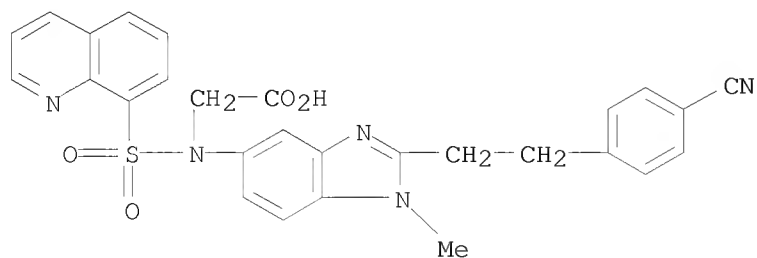
RN 256492-56-5 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenoxy)methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



RN 256492-59-8 HCAPLUS

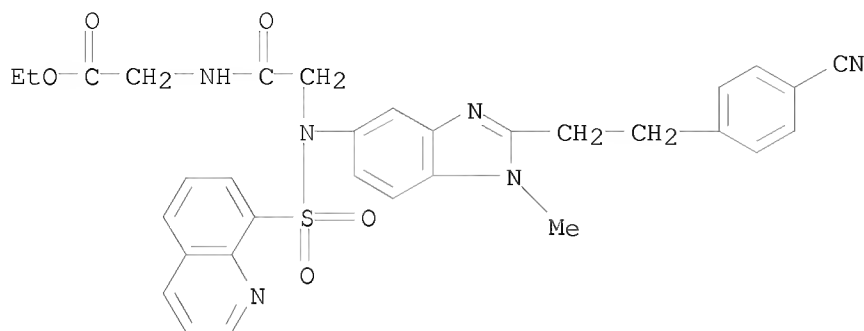
CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)



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RN 256492-60-1 HCAPLUS

CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD
(9 CITINGS)

L24 ANSWER 10 OF 10 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1992:21042 HCAPLUS

DOCUMENT NUMBER: 116:21042

ORIGINAL REFERENCE NO.: 116:3719a,3722a

TITLE: Preparation of biphenylmethylbenzimidazoles as
angiotensin II antagonists

INVENTOR(S): Narr, Berthold; Bomhard, Andreas; Hael, Norbert; Van
Meel, Jacques; Wienen, Wolfgang; Entzeroth, Michael

PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany

SOURCE: Eur. Pat. Appl., 172 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

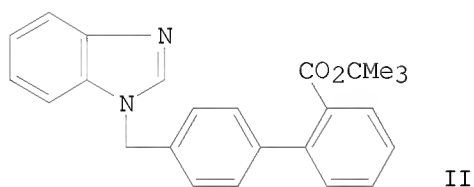
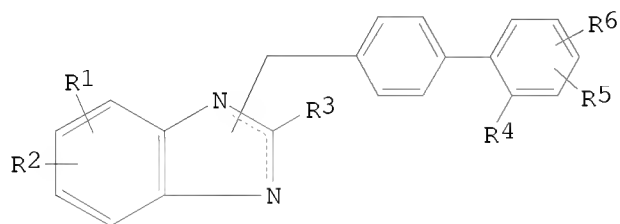
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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EP 392317	A2	19901017	EP 1990-106322	19900403 <--
EP 392317	A3	19910807		
EP 392317	B1	19960103		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 3911603	A1	19901018	DE 1989-3911603	19890408 <--
DE 3928177	A1	19910228	DE 1989-3928177	19890825 <--
AT 132491	T	19960115	AT 1990-106322	19900403 <--
ES 2088915	T3	19961001	ES 1990-106322	19900403 <--
CA 2014008	A1	19901008	CA 1990-2014008	19900406 <--
CA 2014008	C	19990907		
NO 9001571	A	19901009	NO 1990-1571	19900406 <--
NO 177533	B	19950626		
NO 177533	C	19951004		
HU 53619	A2	19901128	HU 1990-2116	19900406 <--
HU 219908	B	20010928		
JP 03063264	A	19910319	JP 1990-91952	19900406 <--

JP 07025739	B	19950322		
DD 293581	A5	19910905	DD 1990-339547	19900406 <--
FI 103044	B	19990415	FI 1990-1739	19900406 <--
FI 103044	B1	19990415		
IL 94049	A	19940530	IL 1990-94049	19900408 <--
AU 9053013	A	19901011	AU 1990-53013	19900409 <--
AU 629324	B2	19921001		
ZA 9002695	A	19911224	ZA 1990-2695	19900409 <--
RU 2026861	C1	19950120	RU 1992-5011164	19920330 <--
US 5541229	A	19960730	US 1994-227291	19940413 <--
US 5864043	A	19990126	US 1997-933919	19970923 <--
PRIORITY APPLN. INFO.:			DE 1989-3911603	A 19890408
			DE 1989-3928177	A 19890825
			US 1990-505967	B1 19900406
			US 1991-750175	B1 19910826
			US 1992-979400	B1 19921119
			US 1994-227291	A3 19940413
			US 1996-608353	B1 19960228
OTHER SOURCE(S):		CASREACT 116:21042; MARPAT 116:21042		
GI				



AB The title compds. [I; R1 = H, OH, F, Cl, Br, (substituted) alkyl, alkylcarbonylamino, alkoxy, amino, acyl, phenylalkoxy, alkylsulfonyl, etc.; R2 = R1, (substituted) 2-imidazolidinon-1-yl, 3,4,5,6-tetrahydro-2-pyrimidon-1-yl, tetrazolyl; R1R2 = atoms to complete a Ph or 1,3,3-trialkyl-2,3-dihydropyrrol-2-one group; R3 = H, F, Cl, Br, (substituted) (O-, S-, SO, SO2, imino-interrupted) alkyl, amino, alkenyl, aminocarbonyl, alkynyl, phenylalkyl, cycloalkyl, 5- or 6-membered heteroaryl, etc.; R4 = NH2, phthalimido, H2NCH2, cyano, etc.; R5 = H, F, Cl, Br; R6 = H; R5R6 = atoms to complete a Ph ring], were prepared Thus, tert-Bu 4'-(bromomethyl)biphenyl-2-carboxylate was added to a mixture of benzimidazole and KOCMe3 in Me2SO and the mixture was stirred 2 h to give 90.8% title compound II. I showed IC50 of 0.6-29.0 μ M.

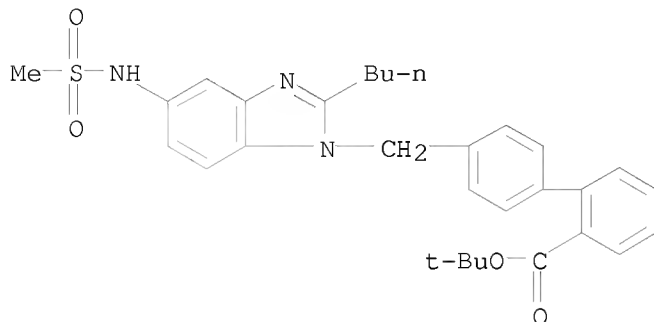
IT 133140-97-3P 133142-18-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as angiotensin II antagonists)

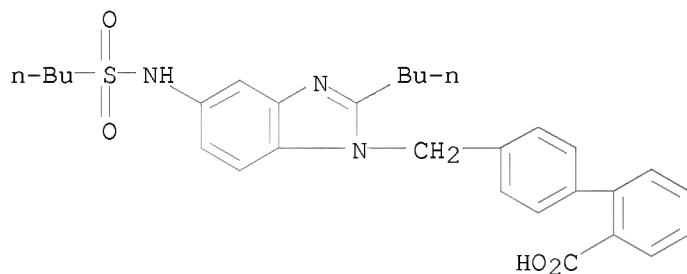
RN 133140-97-3 HCAPLUS

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CN [1,1'-Biphenyl]-2-carboxylic acid,
4'-[[2-butyl-5-[(methylsulfonyl)amino]-1H-benzimidazol-1-yl]methyl]-,
1,1-dimethylethyl ester (CA INDEX NAME)



RN 133142-18-4 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid,
4'-[[2-butyl-5-[(butylsulfonyl)amino]-1H-benzimidazol-1-yl]methyl]- (CA
INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS
RECORD (17 CITINGS)

=> d 118 ibib abs hitstr 11-20

L18 ANSWER 11 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:526062 HCAPLUS

DOCUMENT NUMBER: 135:107328

TITLE: Preparation of 1,2-diarylbenzimidazolealkanoates and
analogs for treatment of disorders mediated by
microglia activation

INVENTOR(S): Kuhnke, Joachim; Halfbrodt, Wolfgang; Moenning, Ursula

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 141 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

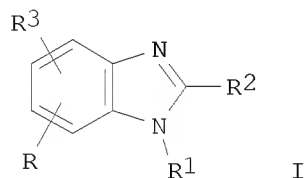
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001051473	A1	20010719	WO 2001-EP334	20010112 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2396227	A1	20010719	CA 2001-2396227	20010112 <--
BR 2001007628	A	20021008	BR 2001-7628	20010112 <--
EP 1246808	A1	20021009	EP 2001-915133	20010112 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2002004011	A2	20030528	HU 2002-4011	20010112 <--
HU 2002004011	A3	20030728		
JP 2003523961	T	20030812	JP 2001-551855	20010112 <--
EE 200200390	A	20031015	EE 2002-390	20010112 <--
NZ 519326	A	20050225	NZ 2001-519326	20010112
AU 782993	B2	20050915	AU 2001-42332	20010112
CN 1301975	C	20070228	CN 2001-803766	20010112
TW 287005	B	20070921	TW 2001-90100751	20010112
US 20020006948	A1	20020117	US 2001-759360	20010116 <--
US 7115645	B2	20061003		
IN 2002MN00672	A	20050304	IN 2002-MN672	20020524
MX 2002005742	A	20020918	MX 2002-5742	20020610 <--
BG 106821	A	20030131	BG 2002-106821	20020613 <--
NO 2002003362	A	20020913	NO 2002-3362	20020712 <--
NO 326408	B1	20081201		
ZA 2002006470	A	20040219	ZA 2002-6470	20020813
US 20060094770	A1	20060504	US 2005-299135	20051208 <--
US 7329679	B2	20080212		
US 20060205803	A1	20060914	US 2005-305521	20051216 <--
US 7345075	B2	20080318		
PRIORITY APPLN. INFO.:			DE 2000-10002898	A 20000114
			US 2000-178324P	P 20000127
			WO 2001-EP334	W 20010112
			US 2001-759360	A3 20010116

OTHER SOURCE(S): MARPAT 135:107328
GI



AB Title compds. [I; R = ZZ1R4; R1,R2 = (un)substituted (hetero)aryl; R3 = H, halo, substituted alkyl, alkoxy, etc.; R4 = CO2H, alkoxy, carbonyl, CONH2, SO3H, etc.; Z = O, (alkyl)imino, acylimino; Z1 = (heteroatom-interrupted)

alkyl(en)ylene, etc.] were prepared Thus, I (R1 = R2 = Ph, R3 = H) (II; R = 6-OH) was etherified by BrCH2CO2CHMe3 to give II (R = 6-OCH2CO2CHMe3).

Data for biol. activity of I were given.

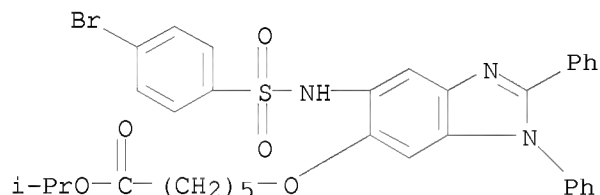
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 350232-57-4P 350232-59-6P 350232-60-9P
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 350234-53-6P 350234-54-7P 350234-55-8P
 350238-48-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1,2-diarylbenzimidazolealkanoates and analogs for treatment of disorders mediated by microglia activation)

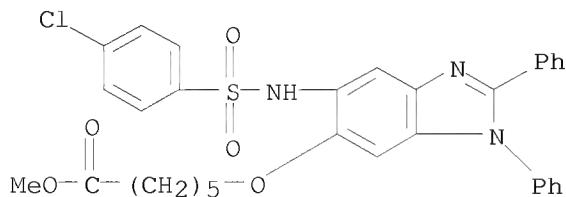
RN 350232-45-0 HCAPLUS

CN Hexanoic acid, 6-[[5-[[[(4-bromophenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]-, 1-methylethyl ester (CA INDEX NAME)



RN 350232-47-2 HCAPLUS

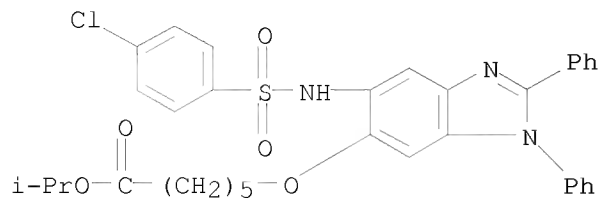
CN Hexanoic acid, 6-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)



RN 350232-48-3 HCAPLUS

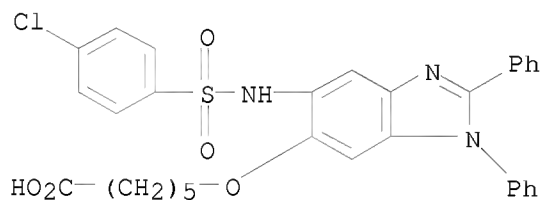
10573054

CN Hexanoic acid, 6-[[5-[[[4-chlorophenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]-, 1-methylethyl ester (CA INDEX NAME)



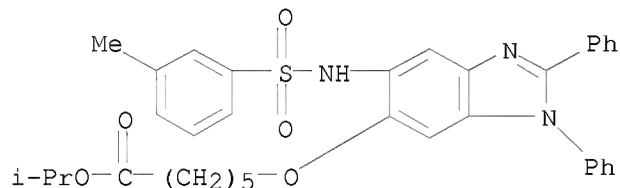
RN 350232-49-4 HCAPLUS

CN Hexanoic acid, 6-[[5-[[[4-chlorophenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]- (CA INDEX NAME)



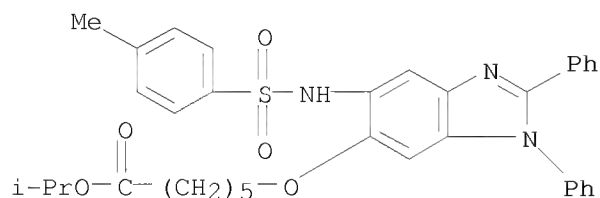
RN 350232-50-7 HCAPLUS

CN Hexanoic acid, 6-[[5-[[[3-methylphenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]-, 1-methylethyl ester (CA INDEX NAME)



RN 350232-51-8 HCAPLUS

CN Hexanoic acid, 6-[[5-[[[4-methylphenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]-, 1-methylethyl ester (CA INDEX NAME)

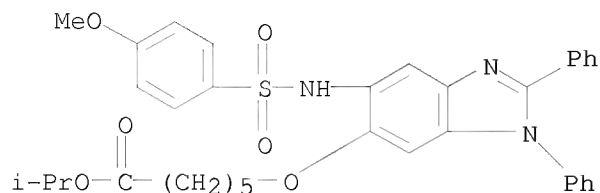


RN 350232-52-9 HCAPLUS

CN Hexanoic acid, 6-[[5-[[[4-methoxyphenyl)sulfonyl]amino]-1,2-diphenyl-1H-

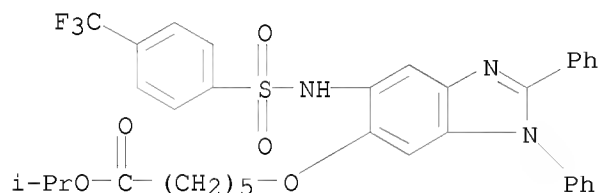
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benzimidazol-6-yl]oxy]-, 1-methylethyl ester (CA INDEX NAME)



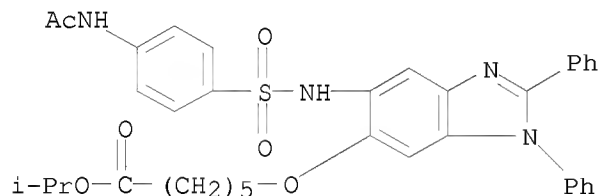
RN 350232-53-0 HCAPLUS

CN Hexanoic acid, 6-[[[1,2-diphenyl-5-[[[4-(trifluoromethyl)phenyl]sulfonyl]amino]-1H-benzimidazol-6-yl]oxy]-, 1-methylethyl ester (CA INDEX NAME)



RN 350232-55-2 HCAPLUS

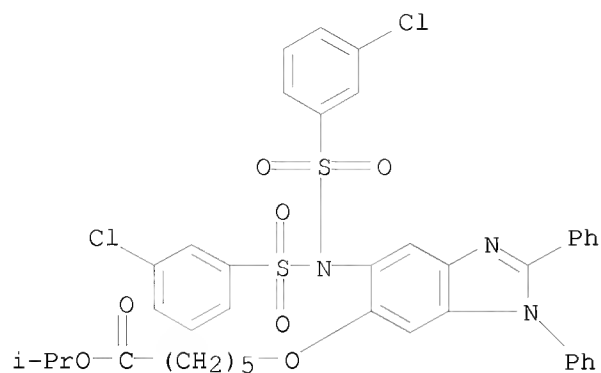
CN Hexanoic acid, 6-[[[5-[[[4-(acetamido)phenyl]sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]-, 1-methylethyl ester (CA INDEX NAME)



RN 350232-57-4 HCAPLUS

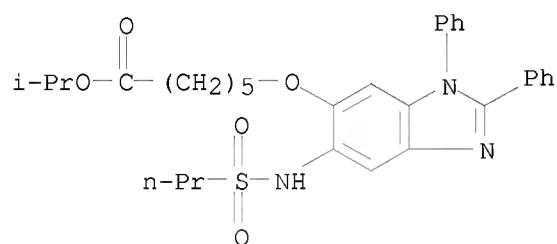
CN Hexanoic acid, 6-[[[5-[bis[(3-chlorophenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]-, 1-methylethyl ester (CA INDEX NAME)

10573054



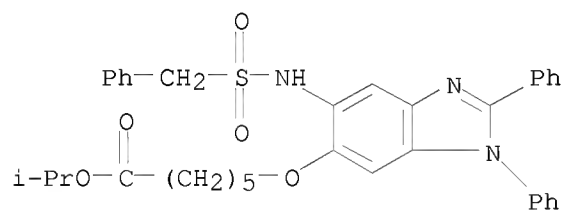
RN 350232-59-6 HCAPLUS

CN Hexanoic acid, 6-[[1,2-diphenyl-5-[(propylsulfonyl)amino]-1H-benzimidazol-6-yl]oxy]-, 1-methylethyl ester (CA INDEX NAME)



RN 350232-60-9 HCAPLUS

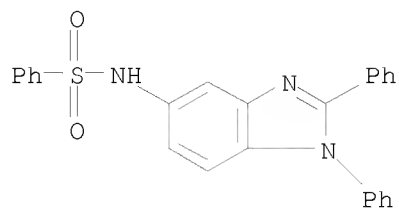
CN Hexanoic acid, 6-[[1,2-diphenyl-5-[(phenylmethyl)sulfonyl]amino]-1H-benzimidazol-6-yl]oxy]-, 1-methylethyl ester (CA INDEX NAME)



RN 350232-92-7 HCAPLUS

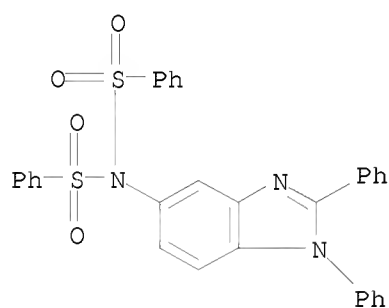
CN Benzenesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)- (CA INDEX NAME)

10573054



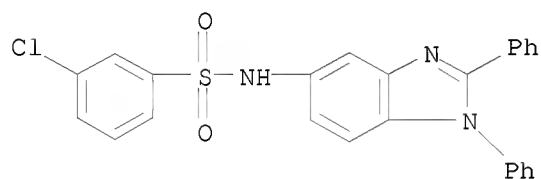
RN 350232-93-8 HCAPLUS

CN Benzenesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)-N-(phenylsulfonyl)- (CA INDEX NAME)



RN 350232-94-9 HCAPLUS

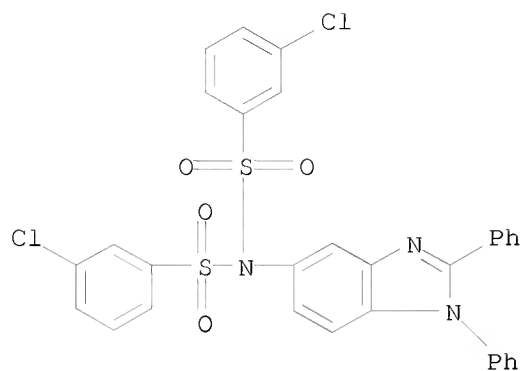
CN Benzenesulfonamide, 3-chloro-N-(1,2-diphenyl-1H-benzimidazol-5-yl)- (CA INDEX NAME)



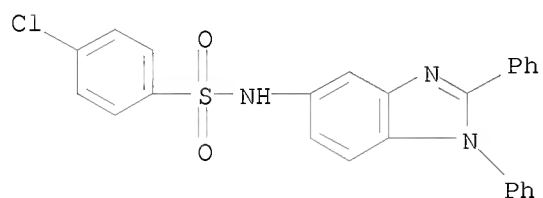
RN 350232-96-1 HCAPLUS

CN Benzenesulfonamide, 3-chloro-N-[(3-chlorophenyl)sulfonyl]-N-(1,2-diphenyl-1H-benzimidazol-5-yl)- (CA INDEX NAME)

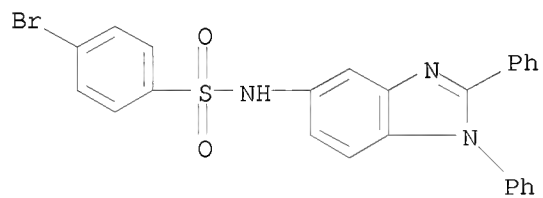
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RN 350232-98-3 HCAPLUS
CN Benzenesulfonamide, 4-chloro-N-(1,2-diphenyl-1H-benzimidazol-5-yl)- (CA INDEX NAME)

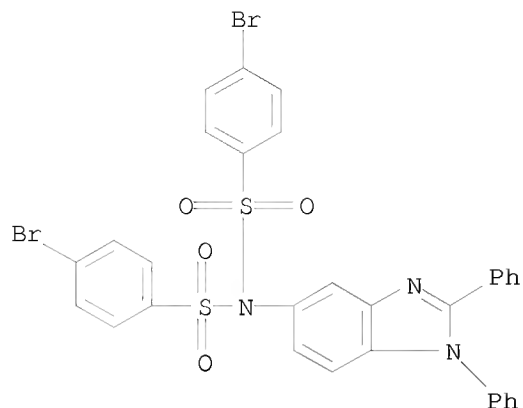


RN 350233-00-0 HCAPLUS
CN Benzenesulfonamide, 4-bromo-N-(1,2-diphenyl-1H-benzimidazol-5-yl)- (CA INDEX NAME)



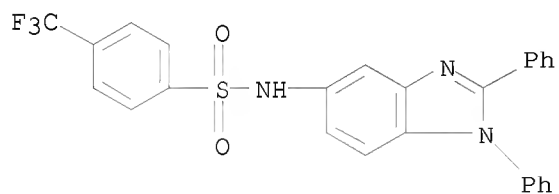
RN 350233-02-2 HCAPLUS
CN Benzenesulfonamide, 4-bromo-N-[(4-bromophenyl)sulfonyl]-N-(1,2-diphenyl-1H-benzimidazol-5-yl)- (CA INDEX NAME)

10573054



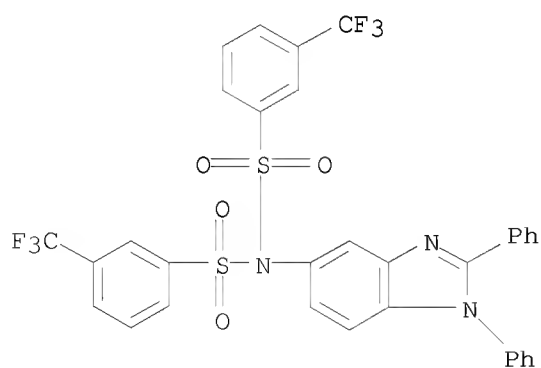
RN 350233-04-4 HCAPLUS

CN Benzenesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)-4-(trifluoromethyl)- (CA INDEX NAME)



RN 350233-06-6 HCAPLUS

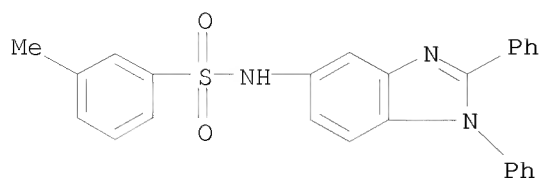
CN Benzenesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)-3-(trifluoromethyl)-N-[[3-(trifluoromethyl)phenyl]sulfonyl]- (CA INDEX NAME)



RN 350233-08-8 HCAPLUS

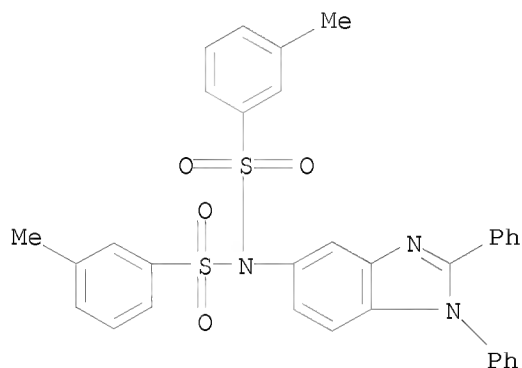
CN Benzenesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)-3-methyl- (CA INDEX NAME)

10573054



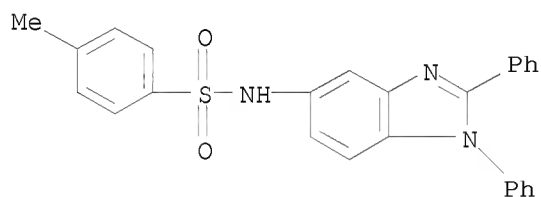
RN 350233-10-2 HCAPLUS

CN Benzenesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)-3-methyl-N-[(3-methylphenyl)sulfonyl]- (CA INDEX NAME)



RN 350233-12-4 HCAPLUS

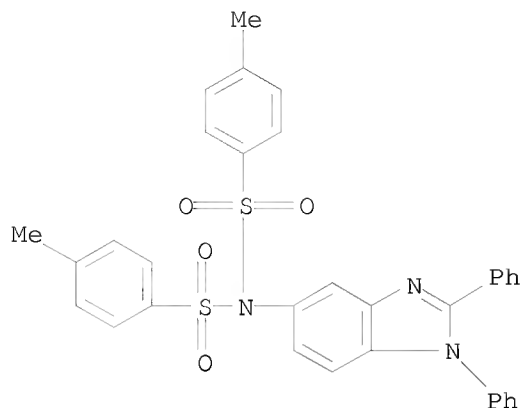
CN Benzenesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)-4-methyl- (CA INDEX NAME)



RN 350233-14-6 HCAPLUS

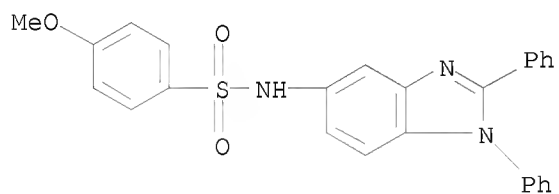
CN Benzenesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)-4-methyl-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

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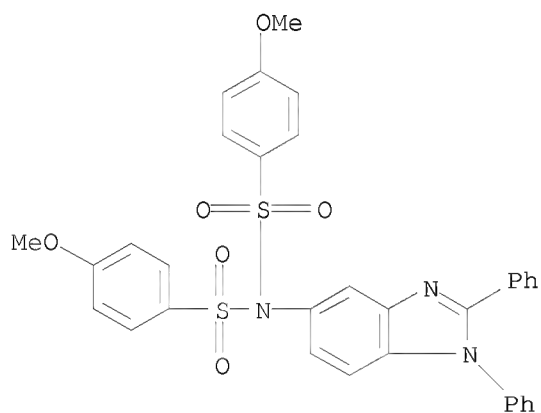
RN 350233-16-8 HCAPLUS

CN Benzenesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)-4-methoxy- (CA INDEX NAME)



RN 350233-18-0 HCAPLUS

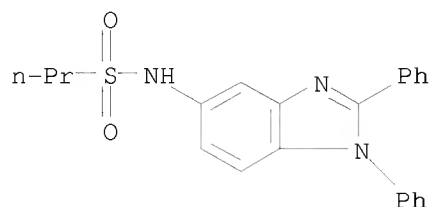
CN Benzenesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)-4-methoxy-N-[(4-methoxyphenyl)sulfonyl]- (CA INDEX NAME)



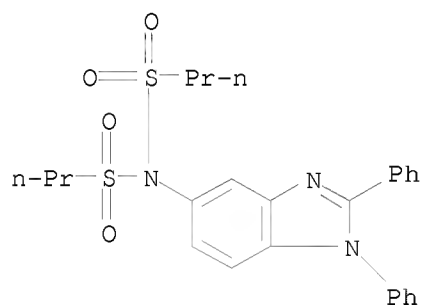
RN 350233-20-4 HCAPLUS

CN 1-Propanesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)- (CA INDEX NAME)

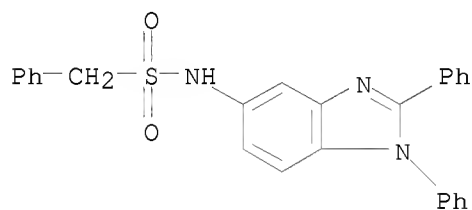
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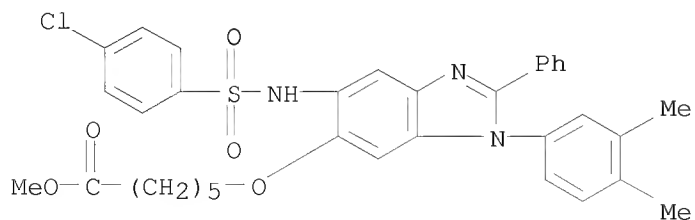
RN 350233-22-6 HCAPLUS
CN 1-Propanesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)-N-(propylsulfonyl)- (CA INDEX NAME)



RN 350233-24-8 HCAPLUS
CN Benzenemethanesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)- (CA INDEX NAME)



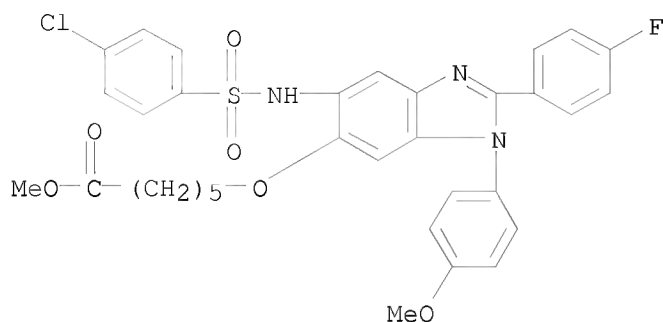
RN 350234-15-0 HCAPLUS
CN Hexanoic acid, 6-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-1-(3,4-dimethylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)



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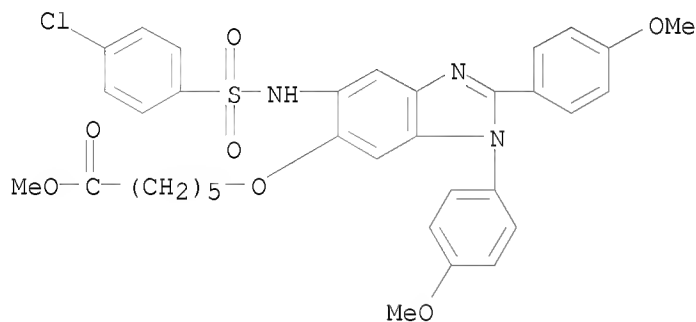
RN 350234-18-3 HCAPLUS

CN Hexanoic acid, 6-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-2-(4-fluorophenyl)-1-(4-methoxyphenyl)-1H-benzimidazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)



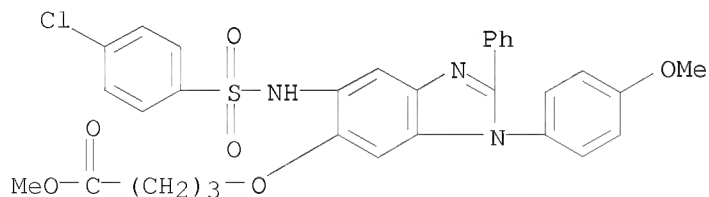
RN 350234-19-4 HCAPLUS

CN Hexanoic acid, 6-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-1,2-bis(4-methoxyphenyl)-1H-benzimidazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)



RN 350234-22-9 HCAPLUS

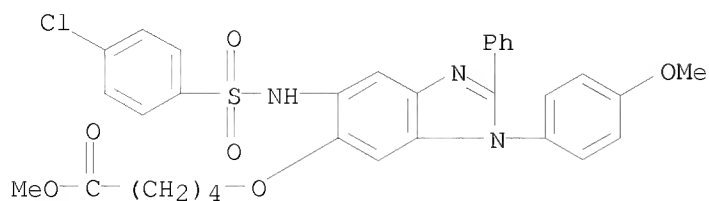
CN Butanoic acid, 4-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)



RN 350234-25-2 HCAPLUS

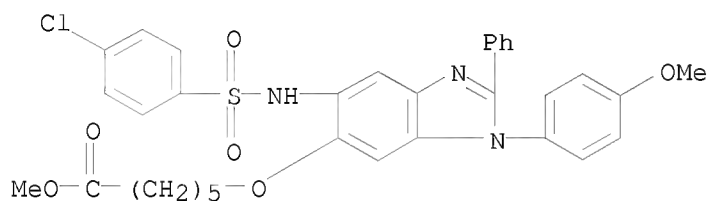
CN Pentanoic acid, 5-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)

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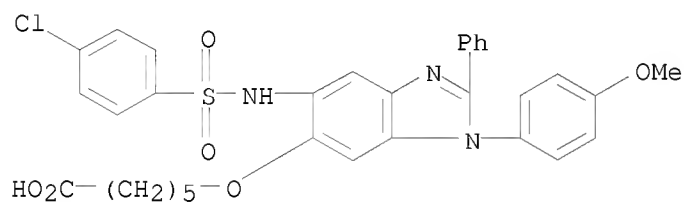
RN 350234-28-5 HCAPLUS

CN Hexanoic acid, 6-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)



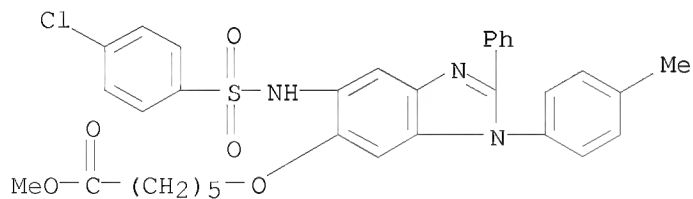
RN 350234-29-6 HCAPLUS

CN Hexanoic acid, 6-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]- (CA INDEX NAME)



RN 350234-31-0 HCAPLUS

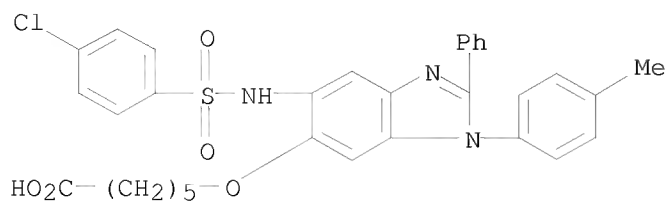
CN Hexanoic acid, 6-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-1-(4-methylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)



RN 350234-32-1 HCAPLUS

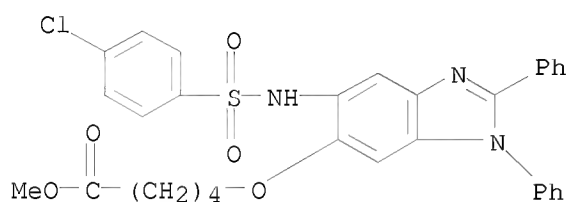
CN Hexanoic acid, 6-[[5-[[[(4-chlorophenyl)sulfonyl]amino]-1-(4-methylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]- (CA INDEX NAME)

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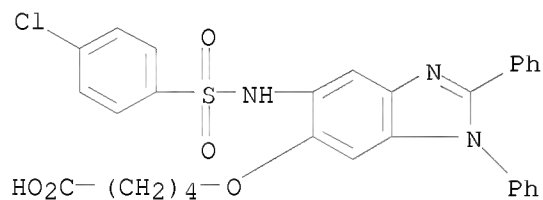
RN 350234-34-3 HCAPLUS

CN Pentanoic acid, 5-[5-[[(4-chlorophenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy|-, methyl ester (CA INDEX NAME)



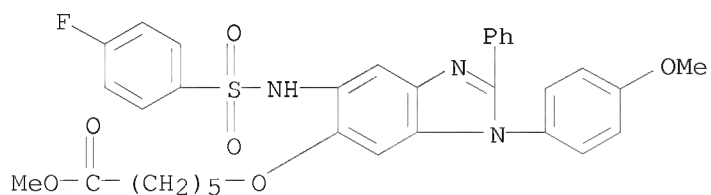
RN 350234-35-4 HCAPLUS

Pentanoic acid, 5-[1,5-[[4-(4-chlorophenyl)sulfonyl]amino]-1,2-diphenyl-1H-
 benzimidazol-6-yl]oxy]- (CA INDEX NAME)



RN 350234-36-5 HCAPLUS

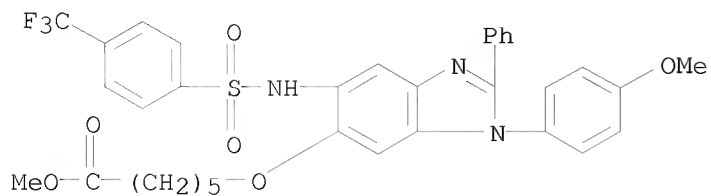
CN Hexanoic acid, 6-[[5-[[4-(4-fluorophenyl)sulfonyl]amino]-1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)



RN 350234-37-6 HCAPLUS

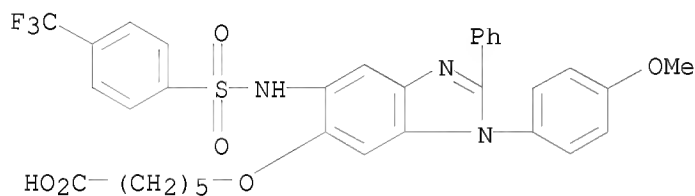
Hexanoic acid, 6-[[1-(4-methoxyphenyl)-2-phenyl-5-[[[4-(trifluoromethyl)phenyl]sulfonyl]amino]-1H-benzimidazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)

10573054



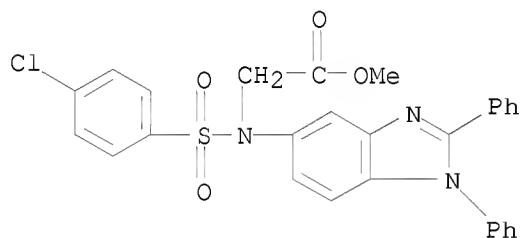
RN 350234-38-7 HCAPLUS

CN Hexanoic acid, 6-[[[1-(4-methoxyphenyl)-2-phenyl-5-[[[4-(trifluoromethyl)phenyl]sulfonyl]amino]-1H-benzimidazol-6-yl]oxy]- (CA INDEX NAME)



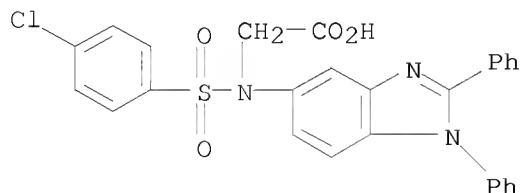
RN 350234-39-8 HCAPLUS

CN Glycine, N-[(4-chlorophenyl)sulfonyl]-N-(1,2-diphenyl-1H-benzimidazol-5-yl)-, methyl ester (CA INDEX NAME)



RN 350234-40-1 HCAPLUS

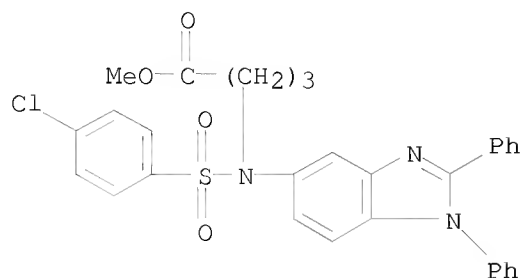
CN Glycine, N-[(4-chlorophenyl)sulfonyl]-N-(1,2-diphenyl-1H-benzimidazol-5-yl)- (CA INDEX NAME)



RN 350234-41-2 HCAPLUS

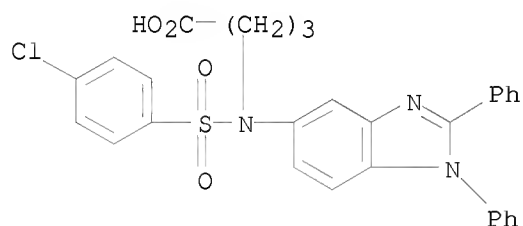
CN Butanoic acid, 4-[[[4-(4-chlorophenyl)sulfonyl](1,2-diphenyl-1H-benzimidazol-5-yl)amino]-, methyl ester (CA INDEX NAME)

10573054



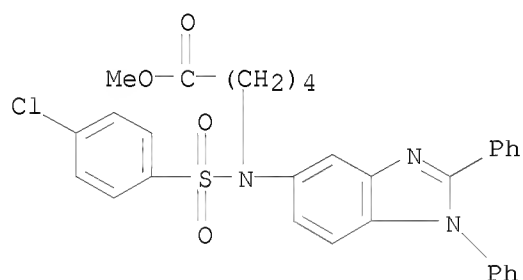
RN 350234-42-3 HCAPLUS

CN Butanoic acid, 4-[[[(4-chlorophenyl)sulfonyl](1,2-diphenyl-1H-benzimidazol-5-yl)amino]- (CA INDEX NAME)



RN 350234-43-4 HCAPLUS

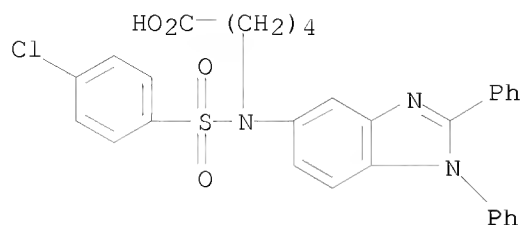
CN Pentanoic acid, 5-[[[(4-chlorophenyl)sulfonyl](1,2-diphenyl-1H-benzimidazol-5-yl)amino]-, methyl ester (CA INDEX NAME)



RN 350234-44-5 HCAPLUS

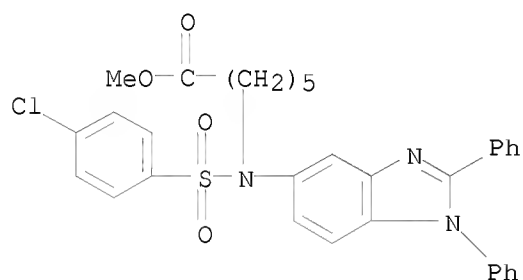
CN Pentanoic acid, 5-[[[(4-chlorophenyl)sulfonyl](1,2-diphenyl-1H-benzimidazol-5-yl)amino]- (CA INDEX NAME)

10573054



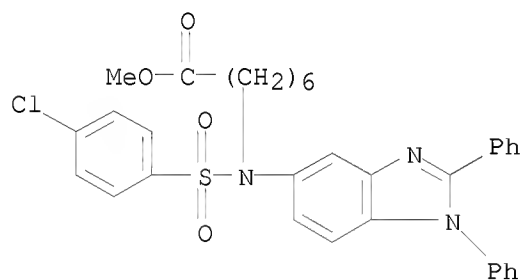
RN 350234-45-6 HCAPLUS

CN Hexanoic acid, 6-[[[4-chlorophenyl)sulfonyl](1,2-diphenyl-1H-benzimidazol-5-yl)amino]-, methyl ester (CA INDEX NAME)



RN 350234-46-7 HCAPLUS

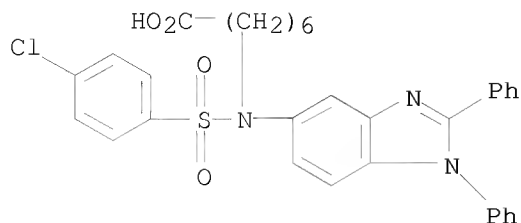
CN Heptanoic acid, 7-[[[4-chlorophenyl)sulfonyl](1,2-diphenyl-1H-benzimidazol-5-yl)amino]-, methyl ester (CA INDEX NAME)



RN 350234-47-8 HCAPLUS

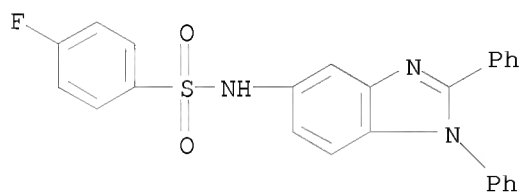
CN Heptanoic acid, 7-[[[4-chlorophenyl)sulfonyl](1,2-diphenyl-1H-benzimidazol-5-yl)amino]- (CA INDEX NAME)

10573054



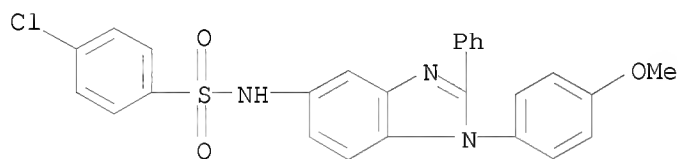
RN 350234-48-9 HCAPLUS

CN Benzenesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)-4-fluoro- (CA INDEX NAME)



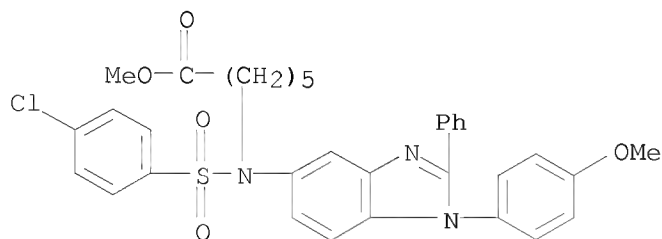
RN 350234-53-6 HCAPLUS

CN Benzenesulfonamide, 4-chloro-N-[1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



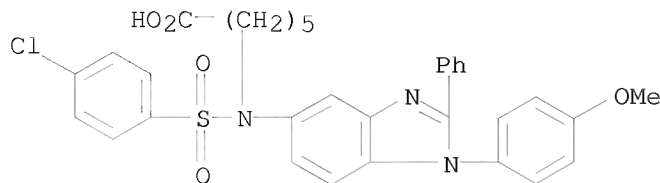
RN 350234-54-7 HCAPLUS

CN Hexanoic acid, 6-[[[4-chlorophenyl)sulfonyl][1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-5-yl]amino]-, methyl ester (CA INDEX NAME)



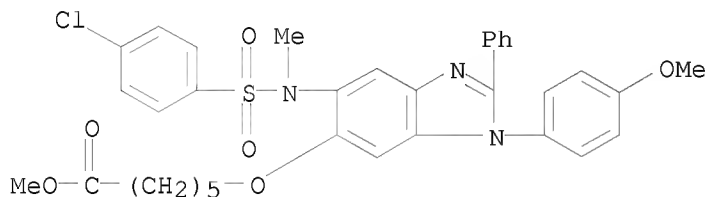
RN 350234-55-8 HCAPLUS

CN Hexanoic acid, 6-[[[4-chlorophenyl)sulfonyl][1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-5-yl]amino]- (CA INDEX NAME)



RN 350238-48-1 HCAPLUS

CN Hexanoic acid, 6-[[5-[[[(4-chlorophenyl)sulfonyl]methylamino]-1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:489367 HCAPLUS

DOCUMENT NUMBER: 135:76874

TITLE: Preparation of heterocyclic compounds as remedies for hepatitis C

INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito

PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan

SOURCE: PCT Int. Appl., 438 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

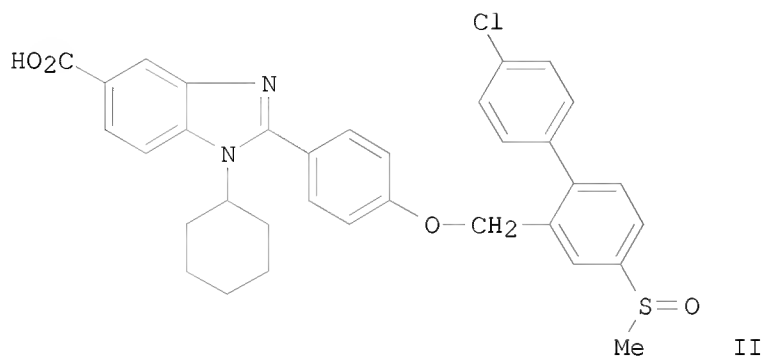
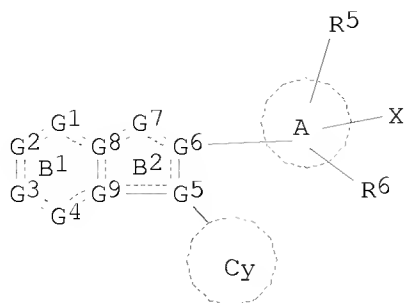
FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047883	A1	20010705	WO 2000-JP9181	20001222 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

10573054

CA 2363274	A1	20010705	CA 2000-2363274	20001222	<--
EP 1162196	A1	20011212	EP 2000-987728	20001222	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
BR 2000008525	A	20020102	BR 2000-8525	20001222	<--
TR 200103147	T1	20020621	TR 2001-3147	20001222	<--
NZ 514403	A	20021025	NZ 2000-514403	20001222	<--
HU 2002002263	A2	20021228	HU 2002-2263	20001222	<--
HU 2002002263	A3	20030228			
AU 763356	B2	20030717	AU 2001-24017	20001222	<--
RU 2223761	C2	20040220	RU 2001-126283	20001222	
CN 1623984	A	20050608	CN 2004-10055872	20001222	
NO 2001004134	A	20011022	NO 2001-4134	20010824	<--
US 20030050320	A1	20030313	US 2001-939374	20010824	<--
US 6770666	B2	20040803			
MX 2001008724	A	20020208	MX 2001-8724	20010828	<--
ZA 2001007870	A	20020925	ZA 2001-7870	20010928	<--
US 7112600	B1	20060926	US 2002-180558	20020626	<--
US 20040097438	A1	20040520	US 2003-615329	20030708	<--
US 7285551	B2	20071023			
US 20070032497	A1	20070208	US 2005-93208	20050328	<--
PRIORITY APPLN. INFO.:			JP 1999-369008	A	19991227
			WO 2000-JP9181	W	20001222
			JP 2000-391904	T	20001225
			JP 2001-193786	T	20010626
			US 2001-939374	A2	20010824
			JP 2001-351537	T	20011116
			US 2002-180558	A3	20020626
OTHER SOURCE(S):			MARPAT 135:76874		
GI					



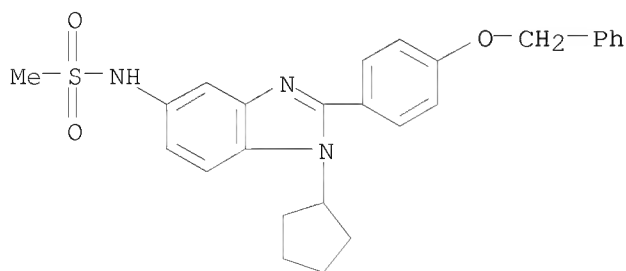
AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, etc.; R1 - R4 = H, nitro, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = C3-C8 cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, cyano, etc.] are prepared The benzimidazole derivative II in vitro showed IC₅₀ of 0.011 μ M against hepatitis C virus polymerase. A formulation is given.

IT 347165-60-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as remedies for hepatitis C)

RN 347165-60-0 HCAPLUS

CN Methanesulfonamide, N-[1-cyclopentyl-2-[4-(phenylmethoxy)phenyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 49 THERE ARE 49 CAPLUS RECORDS THAT CITE THIS RECORD (64 CITINGS)
 REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 13 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:224233 HCAPLUS

DOCUMENT NUMBER: 134:252337

TITLE: Preparation of
 N-[(amindinophenethyl)benzimidazolyl]benzenesulfonamid
 es and analogs as tryptase inhibitors

INVENTOR(S): Anderskewitz, Ralf; Braun, Christine; Briem, Hans;
 Disse, Bernd; Hoenke, Christoph; Jennewein, Hans
 Michael; Speck, Georg

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 28 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

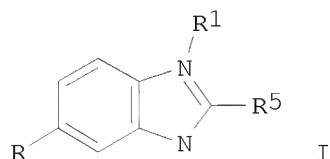
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19945810	A1	20010329	DE 1999-19945810	19990924 <--
CA 2382892	A1	20010405	CA 2000-2382892	20000921 <--
WO 2001023359	A1	20010405	WO 2000-EP9236	20000921 <--
W: AE, AU, BG, BR, CA, CN, CZ, EE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6413990	B1	20020702	US 2000-666769	20000921 <--
EP 1220845	A1	20020710	EP 2000-969275	20000921 <--
EP 1220845	B1	20030813		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
JP 2003510309	T	20030318	JP 2001-526513	20000921 <--
AT 247092	T	20030815	AT 2000-969275	20000921 <--
MX 2002002623	A	20021023	MX 2002-2623	20020311 <--
PRIORITY APPLN. INFO.:				
			DE 1999-19945810	A 19990924
			US 1999-157389P	P 19991001
			WO 2000-EP9236	W 20000921

OTHER SOURCE(S): MARPAT 134:252337

GI



AB Title compds. (I; R5 = CH₂CH₂C₆H₄R₂-4)[II; R = NR₄SO₂R₃; R₁ = (cyclo)alkyl, (un)substituted phenylalkyl, etc.; R₂ = C(:NH)NH₂ or CH₂NH₂; R₃ = (un)substituted Ph, -naphthyl, -(benzo)thienyl, etc.; R₄ = H, aminoalkyl, ureidoalkyl, etc.] were prepared Thus, 2-fluoro-5-nitroaniline was aminated and the product cyclocondensed with 4-(NC)C₆H₄CH₂CH₂CO₂H to give, after reduction, II (R₁ = Me)(III; R = NH₂, R₂ = cyano) which was amidated and the product converted in 4 steps to III [R = 4-(MeO₂C)C₆H₄SO₂N(CH₂CH₂NEt₂), R₂ = C(:NH)NH₂]. Data for biol. activity of I were given.

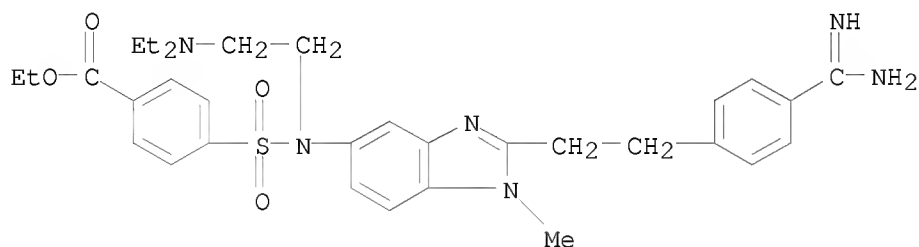
IT 331449-43-5P 331449-44-6P 331449-45-7P
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 331449-59-3P 331449-60-6P 331449-61-7P
 331449-62-8P 331449-63-9P 331449-64-0P
 331449-65-1P 331449-66-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-[(amindinophenethyl)benzimidazolyl]benzenesulfonamides and analogs as tryptase inhibitors)

RN 331449-43-5 HCAPLUS

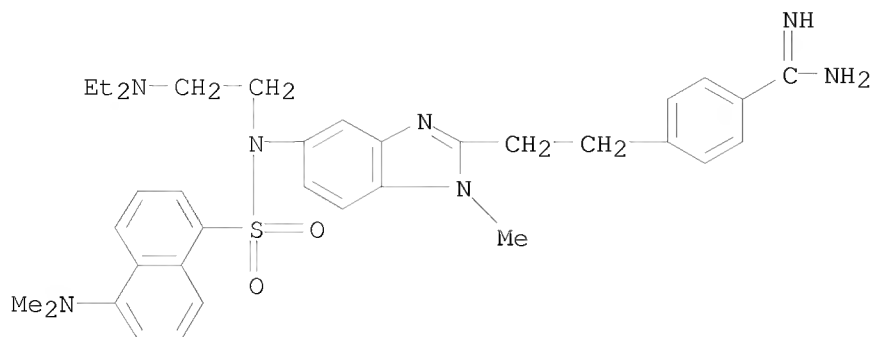
CN Benzoic acid, 4-[[[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl][2-(diethylamino)ethyl]amino]sulfonyl]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 331449-44-6 HCAPLUS

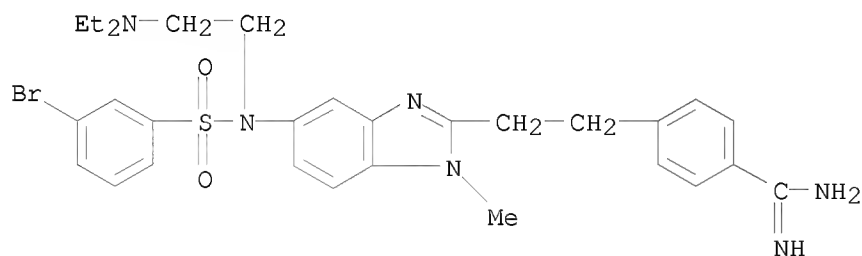
CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl][5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 331449-45-7 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[[3-(bromophenyl)sulfonyl][2-(diethylamino)ethyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

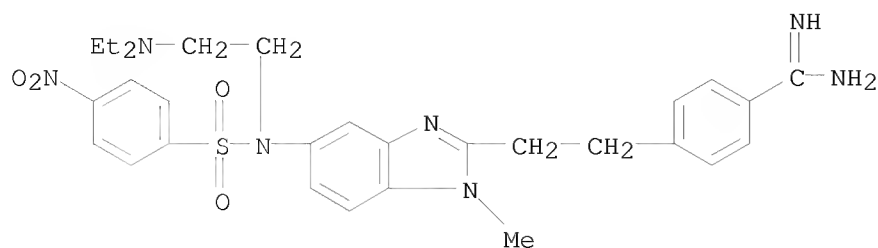


● HCl

RN 331449-46-8 HCAPLUS

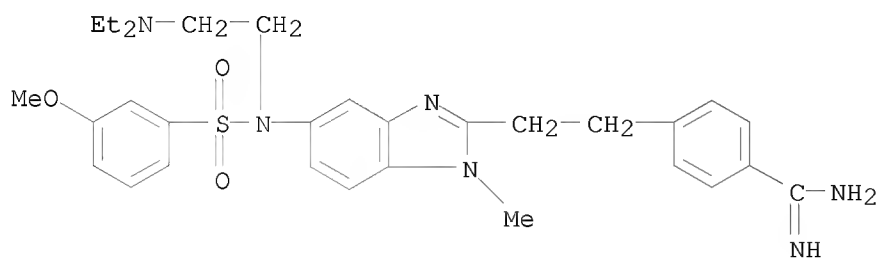
CN Benzenecarboximidamide, 4-[2-[5-[[[2-(diethylamino)ethyl][4-nitrophenyl)sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

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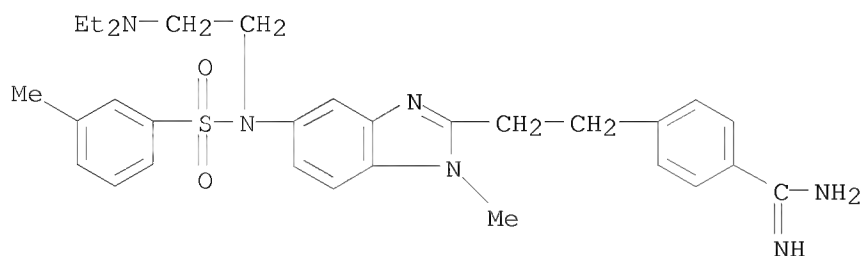
RN 331449-47-9 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl][(3-methoxyphenyl)sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



RN 331449-48-0 HCAPLUS

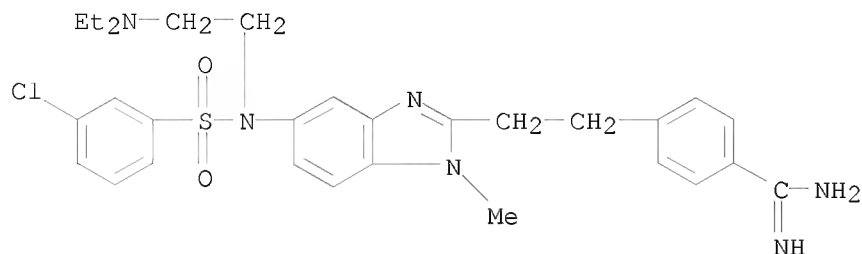
CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl][(3-methylphenyl)sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



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RN 331449-49-1 HCAPLUS

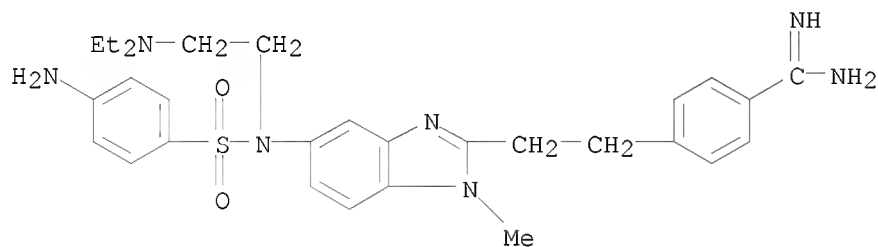
CN Benzenecarboximidamide, 4-[2-[5-[[(3-chlorophenyl)sulfonyl] [2-(diethylamino)ethyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 331449-50-4 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[(4-aminophenyl)sulfonyl] [2-(diethylamino)ethyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:4) (CA INDEX NAME)

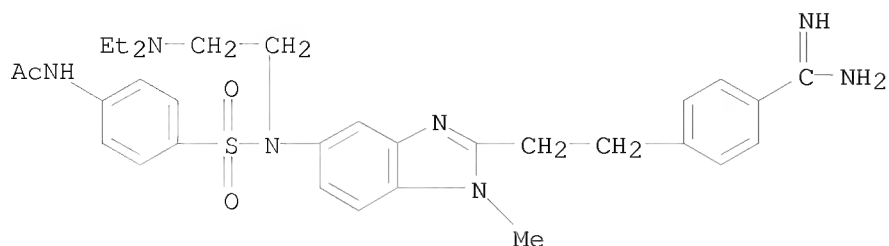


● 4 HCl

RN 331449-51-5 HCAPLUS

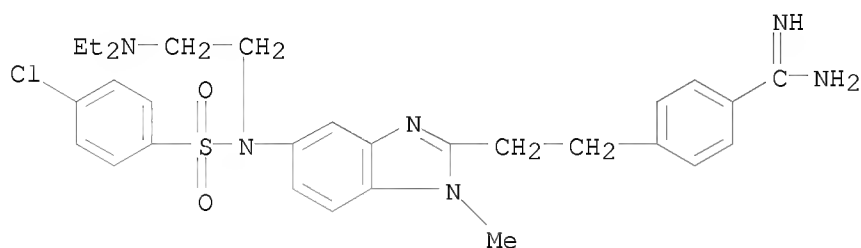
CN Acetamide, N-[4-[[[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl] [2-(diethylamino)ethyl]amino]sulfonyl]phenyl]- (CA INDEX NAME)

10573054



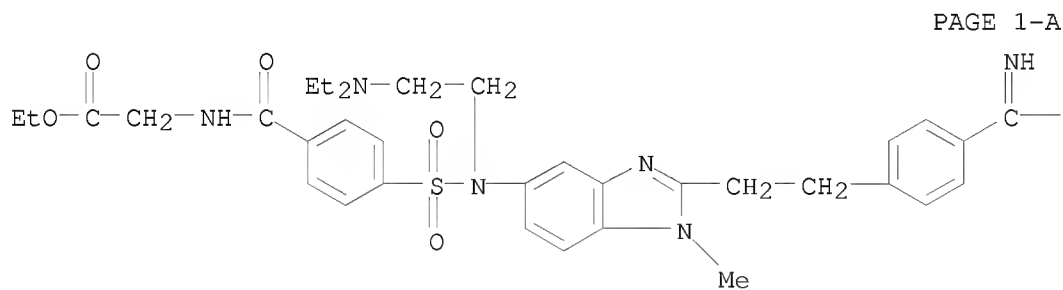
RN 331449-52-6 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[4-(chlorophenyl)sulfonyl][2-(diethylamino)ethyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



RN 331449-53-7 HCAPLUS

CN Glycine, N-[4-[[[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl][2-(diethylamino)ethyl]amino]sulfonyl]benzoyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



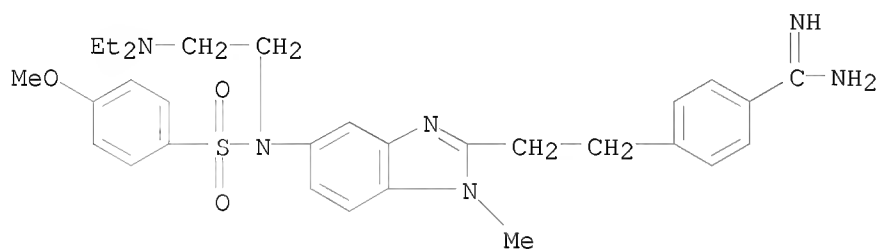
PAGE 1-A

● HCl

—NH₂

RN 331449-54-8 HCAPLUS

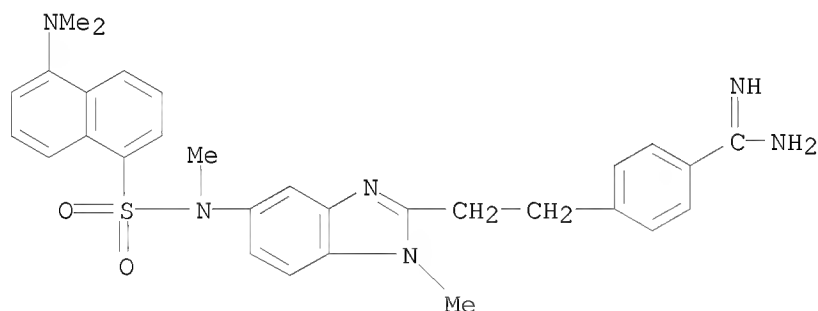
CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl][(4-methoxyphenyl)sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:3) (CA INDEX NAME)



● 3 HCl

RN 331449-55-9 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]methylamino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 331449-57-1 HCAPLUS

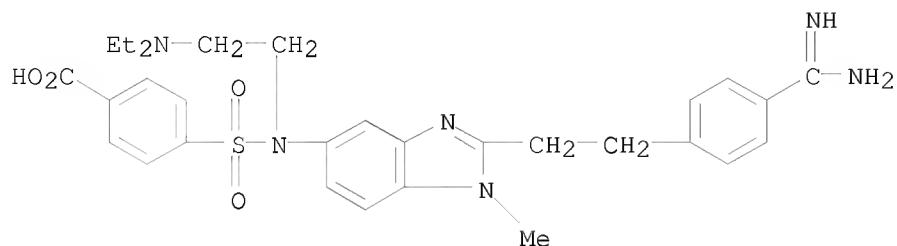
CN Benzoic acid, 4-[[[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl][2-(diethylamino)ethyl]amino]sulfonyl]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

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CM 1

CRN 331449-56-0

CMF C30 H36 N6 O4 S

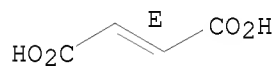


CM 2

CRN 110-17-8

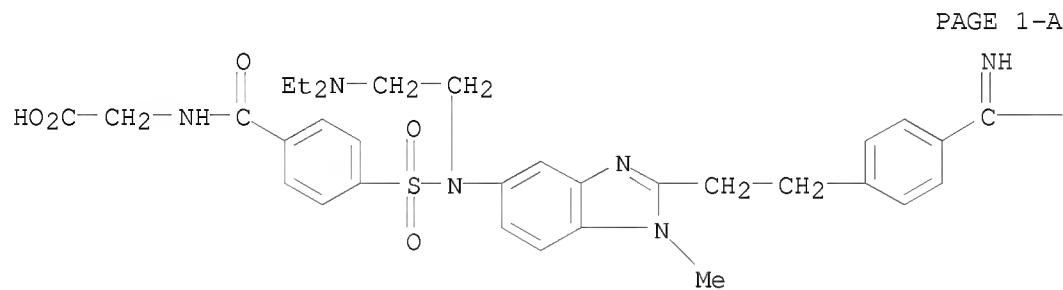
CMF C4 H4 O4

Double bond geometry as shown.



RN 331449-58-2 HCAPLUS

CN Glycine, N-[4-[[[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl][2-(diethylamino)ethyl]amino]sulfonyl]benzoyl]- (CA INDEX NAME)



PAGE 1-A

PAGE 1-B

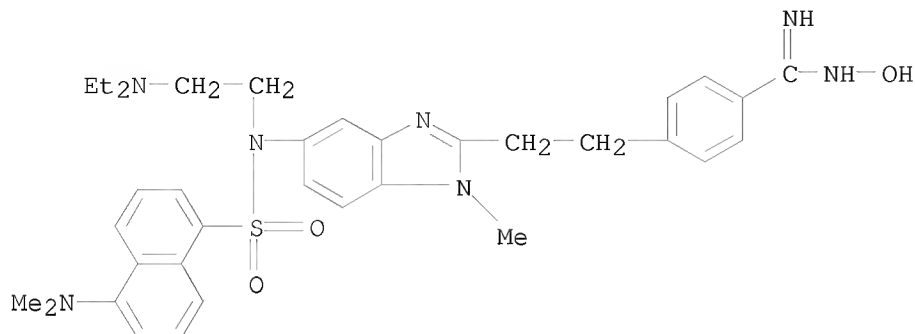
—NH₂

RN 331449-59-3 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[2-(diethylamino)ethyl][5-

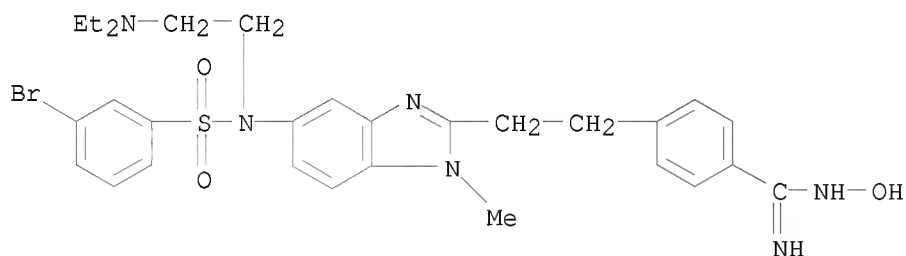
10573054

(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)



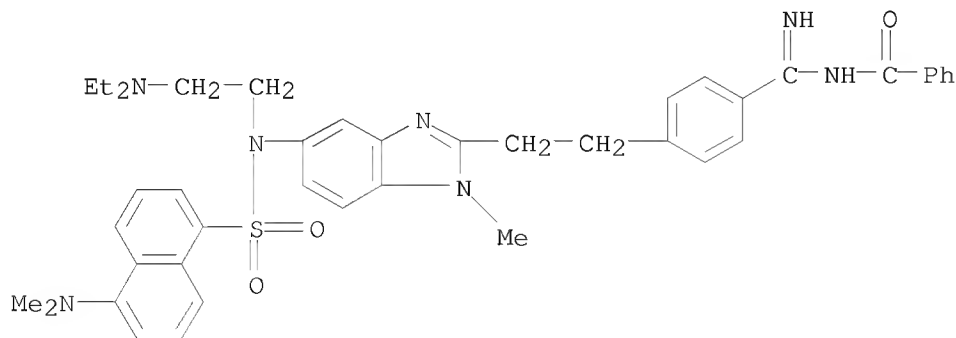
RN 331449-60-6 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[3-(bromophenyl)sulfonyl][2-(diethylamino)ethyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)



RN 331449-61-7 HCAPLUS

CN Benzamide, N-[[4-[2-[5-[[2-(diethylamino)ethyl][5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]phenyl]iminomethyl]- (CA INDEX NAME)

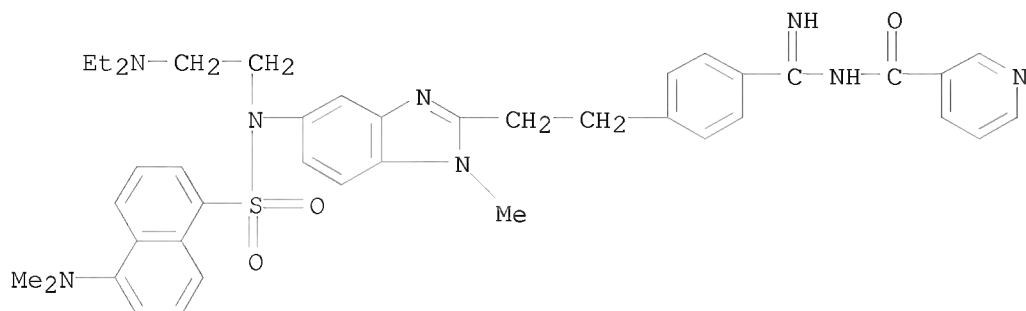


RN 331449-62-8 HCAPLUS

CN 3-Pyridinecarboxamide, N-[[4-[2-[5-[[2-(diethylamino)ethyl][5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]phenyl]iminomethyl]- (CA INDEX NAME)

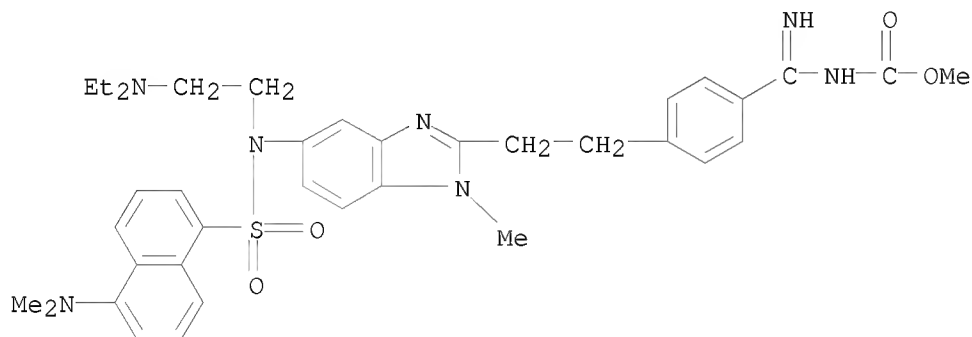
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(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]phenyl]iminomethyl]- (CA INDEX NAME)



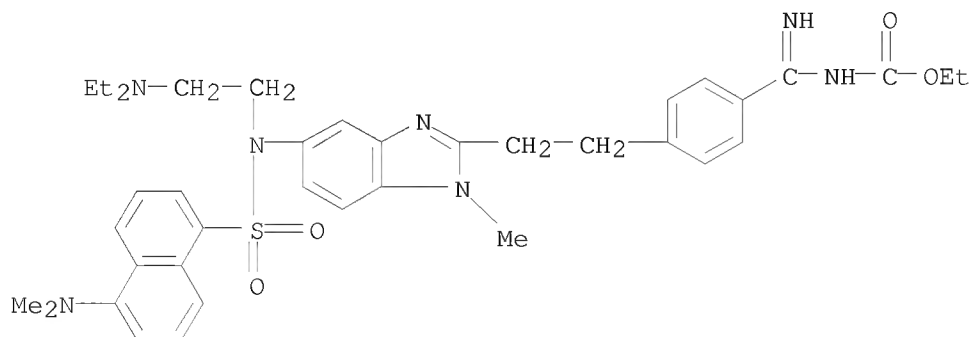
RN 331449-63-9 HCAPLUS

CN Carbamic acid, [[4-[2-[5-[[2-(diethylamino)ethyl]][5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]phenyl]iminomethyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 331449-64-0 HCAPLUS

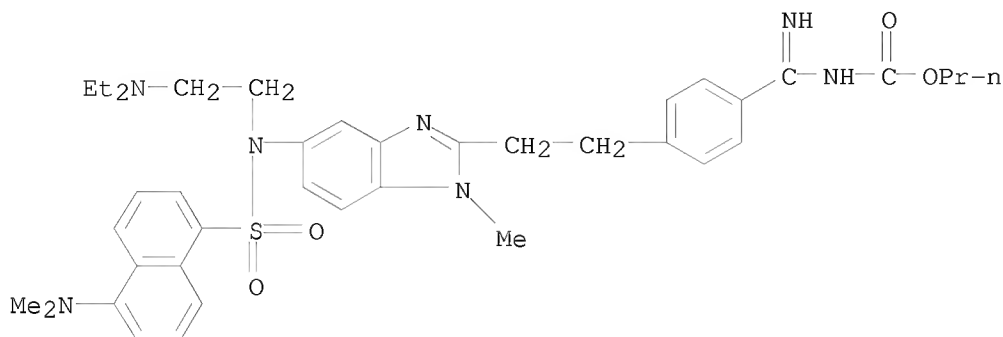
CN Carbamic acid, [[4-[2-[5-[[2-(diethylamino)ethyl]][5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]phenyl]iminomethyl]-, ethyl ester (9CI) (CA INDEX NAME)



10573054

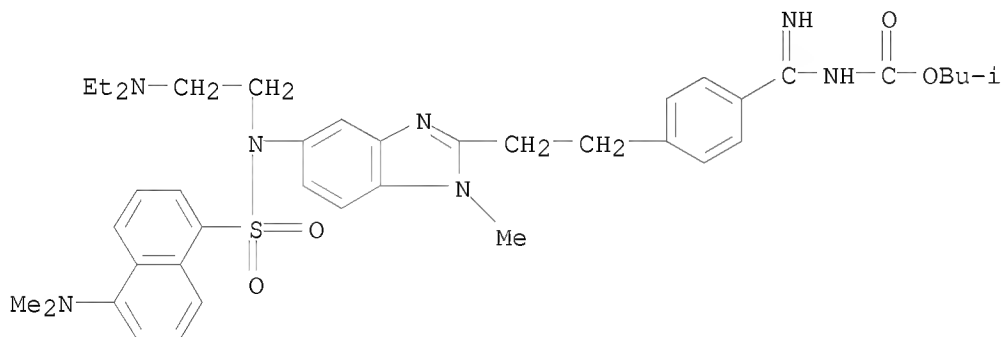
RN 331449-65-1 HCAPLUS

CN Carbamic acid, [[4-[2-[5-[[2-(diethylamino)ethyl][5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]phenyl]iminomethyl]-, propyl ester (9CI) (CA INDEX NAME)



RN 331449-66-2 HCAPLUS

CN Carbamic acid, [[4-[2-[5-[[2-(diethylamino)ethyl][5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]phenyl]iminomethyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)



IT 331449-72-0 331449-73-1

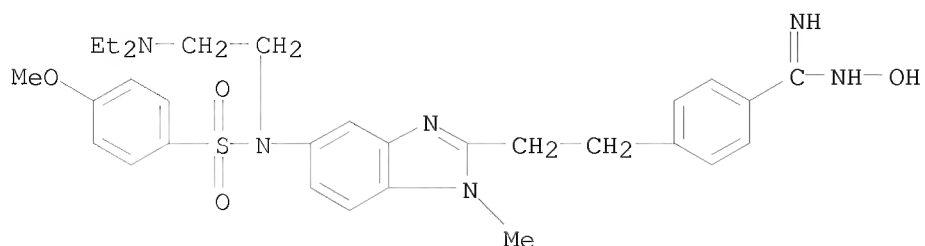
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of N-[(amindinophenethyl)benzimidazolyl]benzenesulfonamides and analogs as tryptase inhibitors)

RN 331449-72-0 HCAPLUS

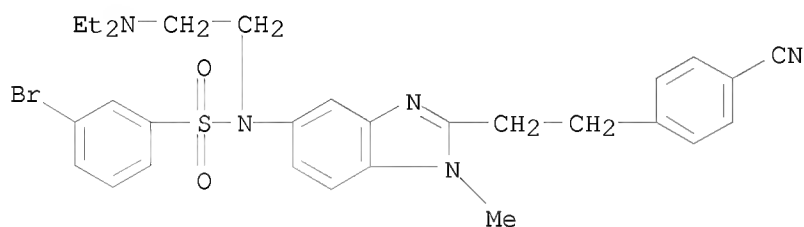
CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl][4-methoxyphenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)

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RN 331449-73-1 HCAPLUS

CN Benzenesulfonamide, 3-bromo-N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(diethylamino)ethyl]- (CA INDEX NAME)



IT 331449-67-3P 331449-68-4P 331449-69-5P

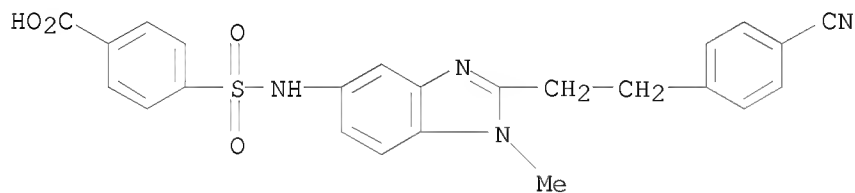
331449-70-8P 331449-71-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-[(amindinophenethyl)benzimidazolyl]benzenesulfonamides and analogs as tryptase inhibitors)

RN 331449-67-3 HCAPLUS

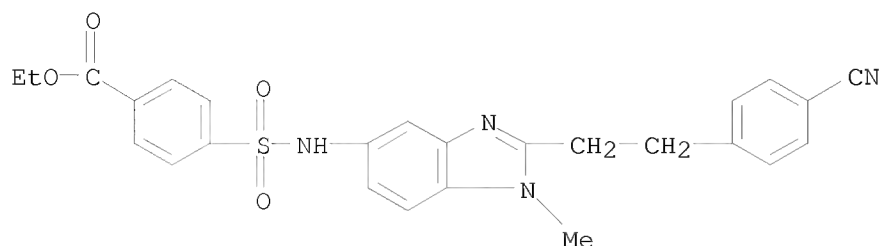
CN Benzoic acid, 4-[[[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]amino]sulfonyl]- (CA INDEX NAME)



RN 331449-68-4 HCAPLUS

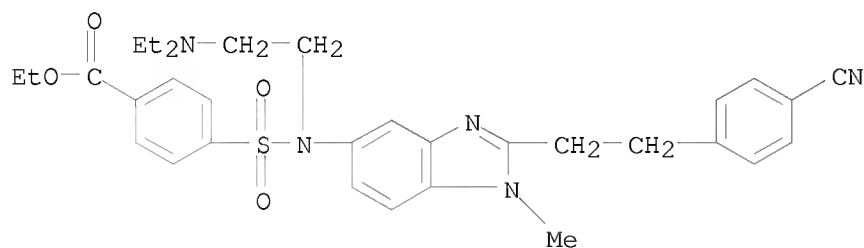
CN Benzoic acid, 4-[[[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]amino]sulfonyl]-, ethyl ester (CA INDEX NAME)

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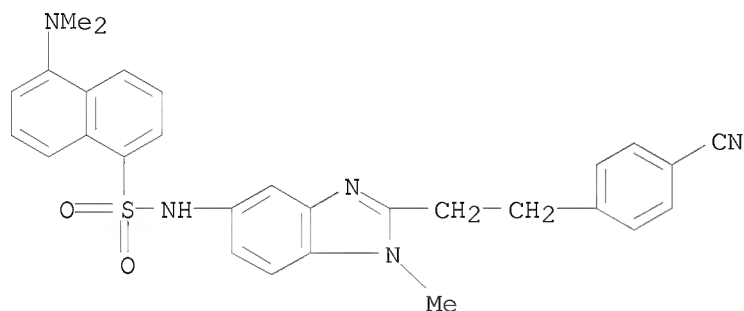
RN 331449-69-5 HCAPLUS

CN Benzoic acid, 4-[[[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl][2-(diethylamino)ethyl]amino]sulfonyl]-, ethyl ester (CA INDEX NAME)



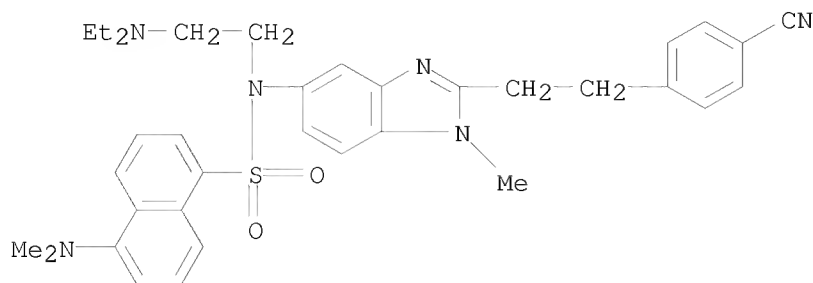
RN 331449-70-8 HCAPLUS

CN 1-Naphthalenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-5-(dimethylamino)- (CA INDEX NAME)



RN 331449-71-9 HCAPLUS

CN 1-Naphthalenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(diethylamino)ethyl]-5-(dimethylamino)- (CA INDEX NAME)



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ACCESSION NUMBER: 2001:224232 HCAPLUS

DOCUMENT NUMBER: 134:266307

TITLE: Preparation of
2-arylethyl-5-arylsulfonamidobenzimidazoles as
tryptase inhibitors.

INVENTOR(S): Anderskewitz, Ralf; Braun, Christine; Briem, Hans;
Disse, Bernd; Hoenke, Christoph; Jennewein, Hans
Michael; Speck, Georg

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 36 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

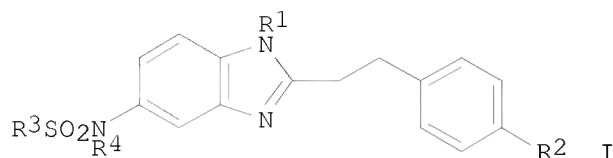
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19945787	A1	20010329	DE 1999-19945787	19990924 <--
CA 2379557	A1	20010405	CA 2000-2379557	20000921 <--
CA 2379557	C	20080916		
WO 2001023360	A1	20010405	WO 2000-EP9237	20000921 <--
W: AE, AU, BG, BR, CA, CN, CZ, EE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6365584	B1	20020402	US 2000-666765	20000921 <--
EP 1220844	A1	20020710	EP 2000-960686	20000921 <--
EP 1220844	B1	20030409		
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JP 2003510310	T	20030318	JP 2001-526514	20000921 <--
AT 236887	T	20030415	AT 2000-960686	20000921 <--
ES 2192543	T3	20031016	ES 2000-960686	20000921 <--
MX 2002002622	A	20021024	MX 2002-2622	20020301 <--
PRIORITY APPLN. INFO.:				
			DE 1999-19945787	A 19990924
			US 1999-157278P	P 19991001
			WO 2000-EP9237	W 20000921

OTHER SOURCE(S): MARPAT 134:266307

GI



AB Title compds. [I; R1 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, phenylalkyl, heterocyclyl, heterocyclylalkyl; R2 = C(:NH)NH2, CH2NH2; R3 = Ph, PhCH2, naphthyl, furyl, benzofuryl, thienyl, benzothienyl; R4 = H, (substituted) alkyl, heterocyclyl, heterocyclylalkyl, etc.], were prepared Thus, N-[3-amino-4-(3,5-bistrifluoromethylbenzylamino)phenyl]benzenesulfonamide (preparation given), p-cyanophenylpropionic acid, and POCl3 were heated together for 2 h at 100-120° to give 71.5% N-[2-[2-(4-cyanophenyl)ethyl]-1-(3,5-bistrifluoromethylbenzyl)benzimidazol-5-yl]benzenesulfonamide. This was stirred with HCl in EtOH at 0-5° and the residue after distillation of EtOH was treated with NH3 in EtOH to give 70.3% N-[2-[2-(4-amidinophenyl)ethyl]-1-(3,5-bistrifluoromethylbenzyl)benzimidazol-5-yl]benzenesulfonamide. I inhibited tryptase with IC50 = 0.0066-0.412 μ M.

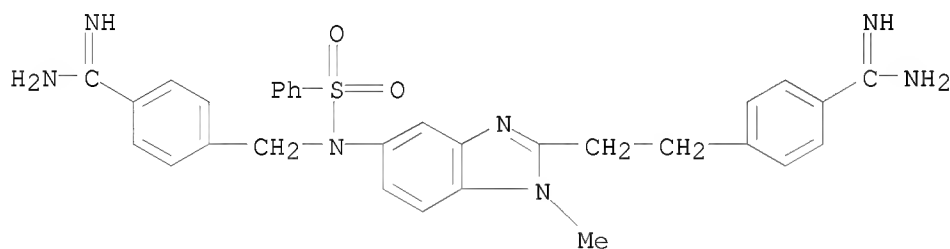
IT 1099086-44-8 1099086-51-7

RL: PRPH (Prophetic)

(Preparation of 2-arylethyl-5-arylsulfonamidobenzimidazoles as tryptase inhibitors.)

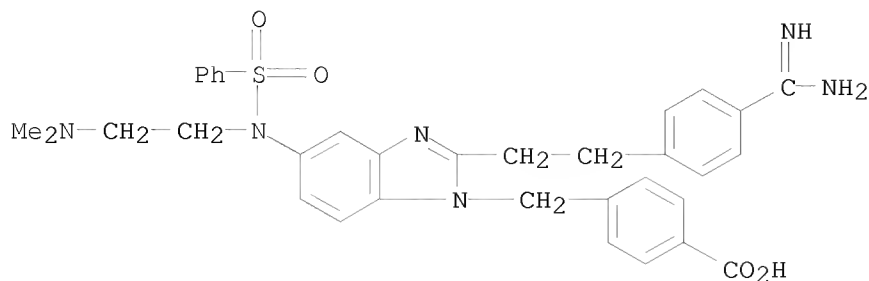
RN 1099086-44-8 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED



RN 1099086-51-7 HCAPLUS

CN Benzoic acid, 4-[[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

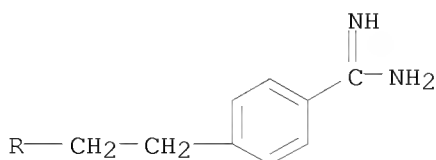
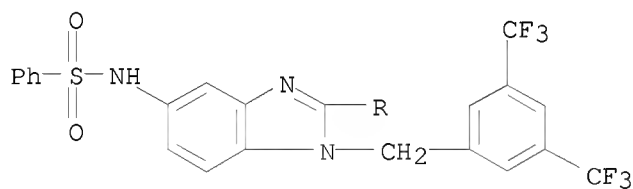


IT	331766-13-3P	331766-14-4P	331766-15-5P
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	331766-22-4P	331766-23-5P	331766-24-6P
	331766-25-7P	331766-26-8P	331766-27-9P
	331766-28-0P	331766-29-1P	331766-30-4P
	331766-31-5P	331766-32-6P	331766-33-7P
	331766-34-8P	331766-35-9P	331766-36-0P
	331766-37-1P	331766-38-2P	331766-39-3P
	331766-40-6P	331766-41-7P	331766-42-8P
	331766-43-9P	331766-44-0P	331766-45-1P
	331766-46-2P	331766-47-3P	331766-48-4P
	331766-49-5P	331766-50-8P	

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylethylarylsulfonamidobenzimidazoles as tryptase inhibitors)

RN 331766-13-3 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[1-[[3,5-bis(trifluoromethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1)
(CA INDEX NAME)

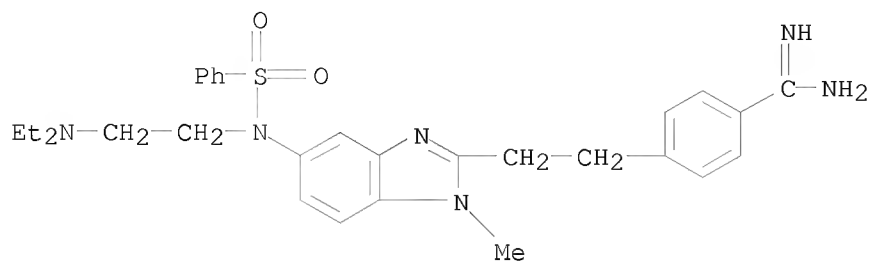


● HC1

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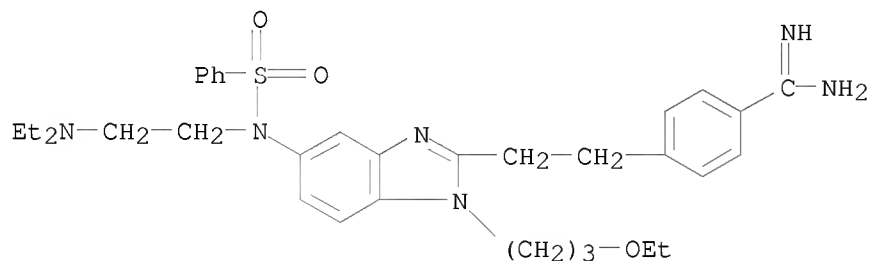
RN 331766-14-4 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:2) (CA INDEX NAME)

 $\bullet 2 \text{ HCl}$

RN 331766-15-5 HCAPLUS

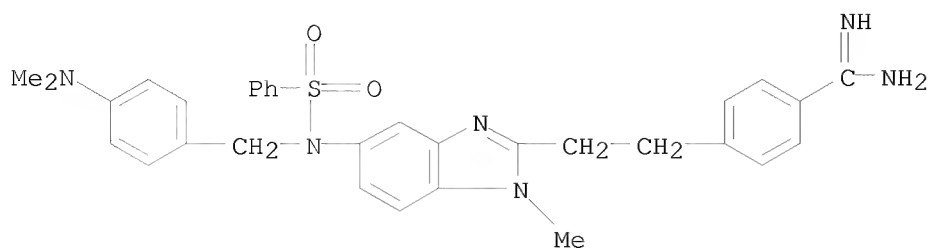
CN Benzenecarboximidamide, 4-[2-[5-[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-(3-ethoxypropyl)-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:2) (CA INDEX NAME)


$$\bullet 2 \text{ HCl}$$

RN 331766-16-6 HCAPLUS

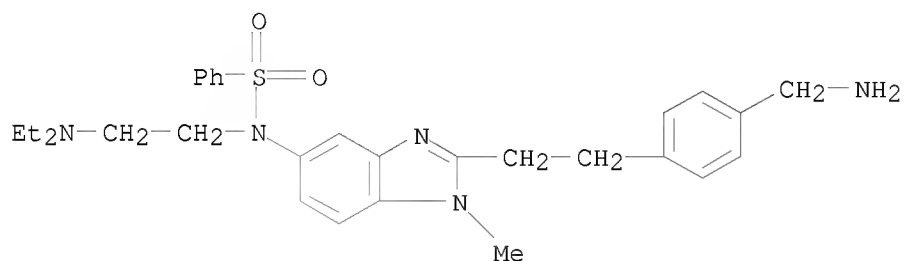
CN Benzenecarboximidamide, 4-[2-[5-[[[4-(dimethylamino)phenyl]methyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:2) (CA INDEX NAME)

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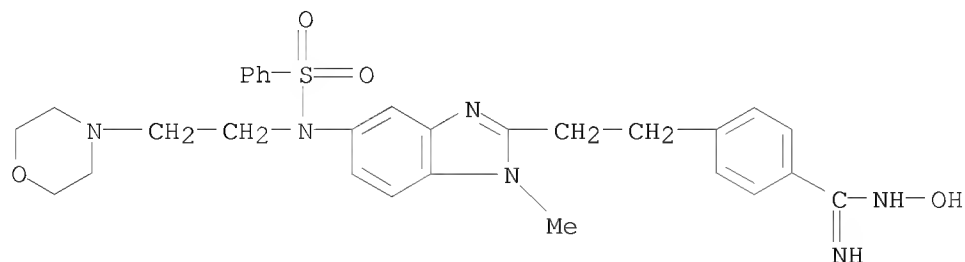
● 2 HCl

RN 331766-17-7 HCAPLUS
CN Benzenesulfonamide, N-[2-[2-[4-(aminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(diethylamino)ethyl]-, hydrochloride (1:2) (CA INDEX NAME)

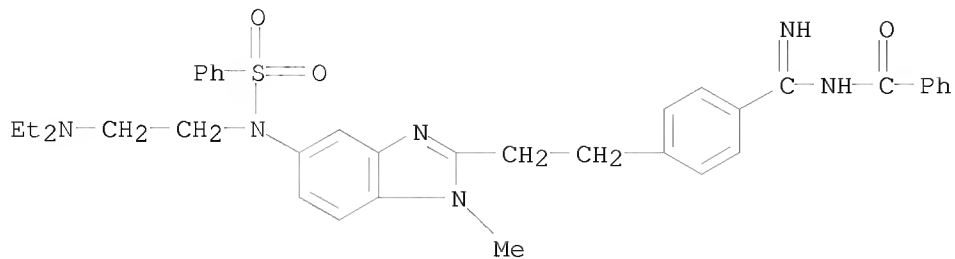


● 2 HCl

RN 331766-18-8 HCAPLUS
CN Benzenecarboximidamide, N-hydroxy-4-[2-[1-methyl-5-[[2-(4-morpholinyl)ethyl] (phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

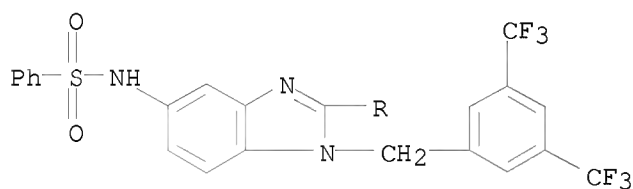


RN 331766-19-9 HCAPLUS
CN Benzamide, N-[[4-[2-[5-[[2-(diethylamino)ethyl] (phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]phenyl]iminomethyl]- (CA INDEX NAME)



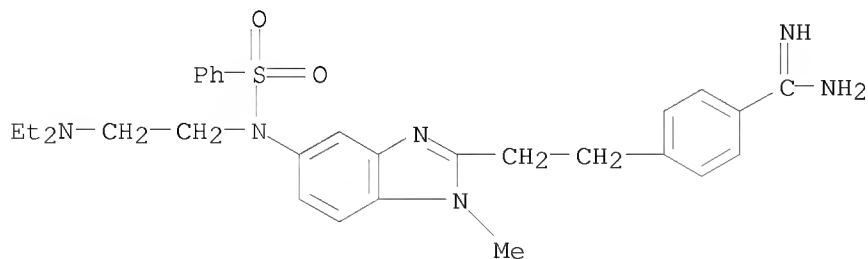
RN 331766-20-2 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[1-[[3,5-bis(trifluoromethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



RN 331766-21-3 HCAPLUS

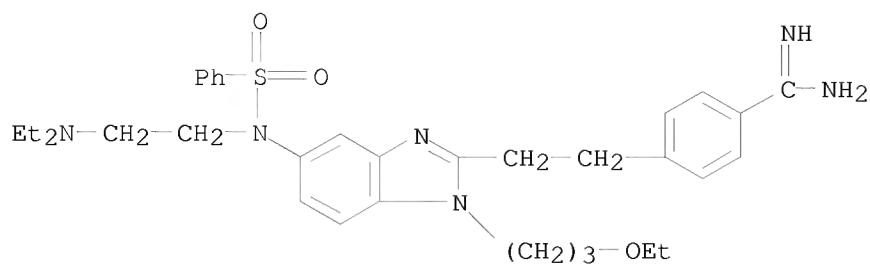
CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



RN 331766-22-4 HCAPLUS

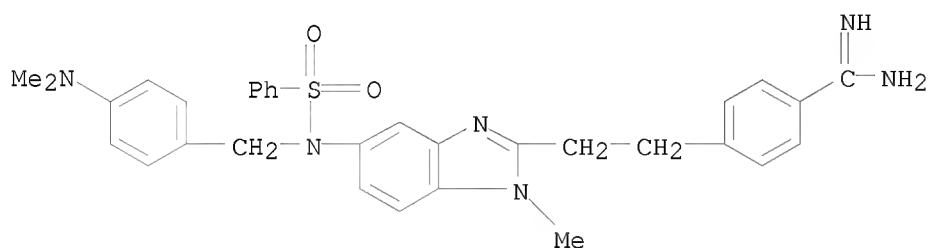
CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-(3-ethoxypropyl)-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

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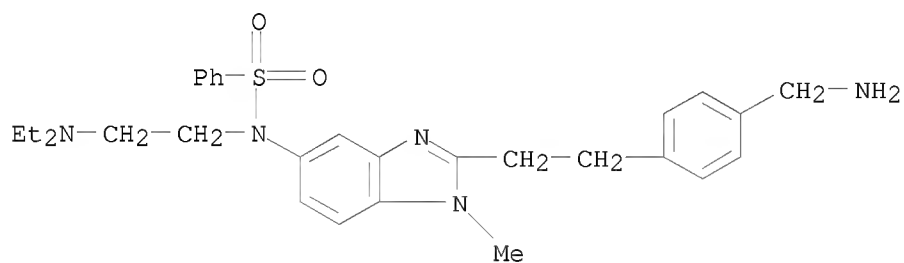
RN 331766-23-5 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[[4-(dimethylamino)phenyl]methyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



RN 331766-24-6 HCAPLUS

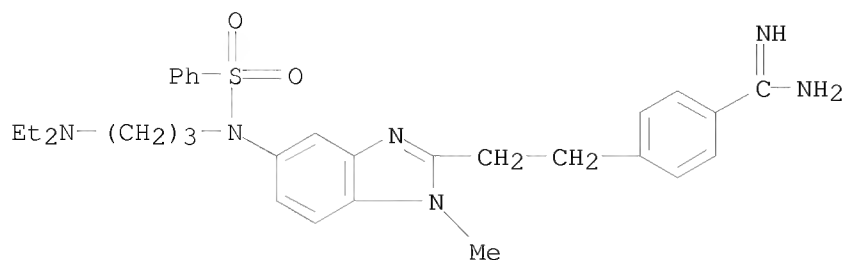
CN Benzenesulfonamide, N-[2-[2-[4-(aminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(diethylamino)ethyl]- (CA INDEX NAME)



RN 331766-25-7 HCAPLUS

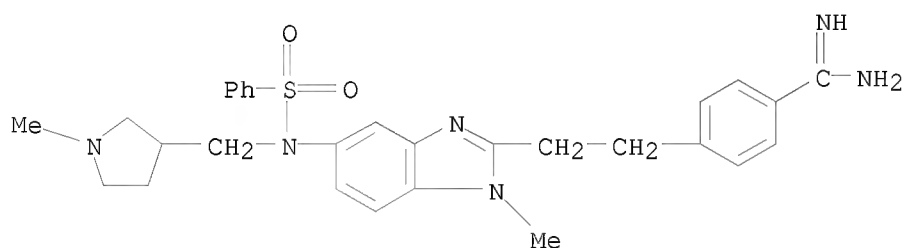
CN Benzenecarboximidamide, 4-[2-[5-[[[3-(diethylamino)propyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

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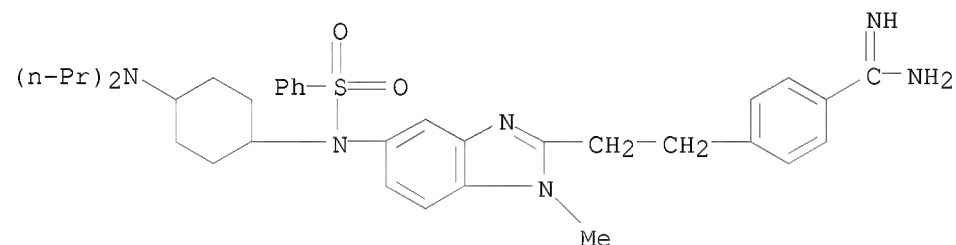
RN 331766-26-8 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[[1-methyl-3-pyrrolidinyl)methyl](phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



RN 331766-27-9 HCAPLUS

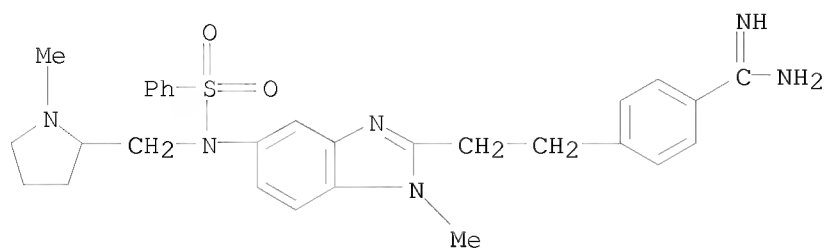
CN Benzenecarboximidamide, 4-[2-[5-[[4-(dipropylamino)cyclohexyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



RN 331766-28-0 HCAPLUS

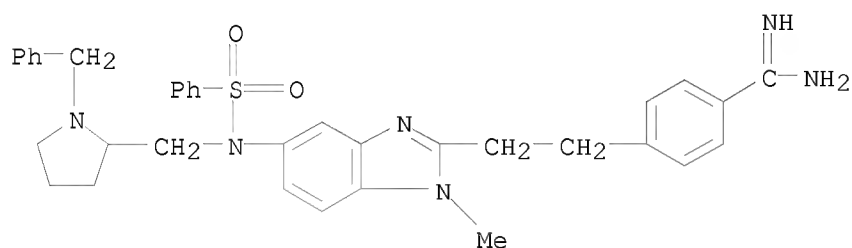
CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[[1-methyl-2-pyrrolidinyl)methyl](phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

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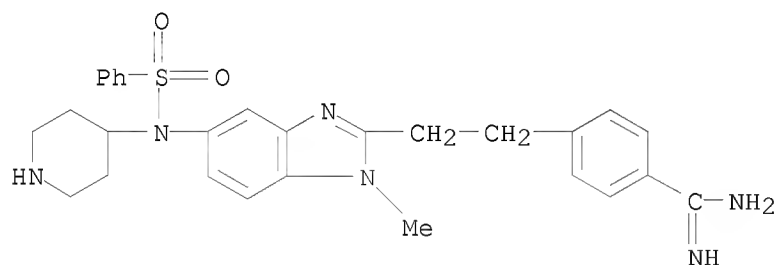
RN 331766-29-1 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[[1-(phenylmethyl)-2-pyrrolidinyl]methyl](phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



RN 331766-30-4 HCAPLUS

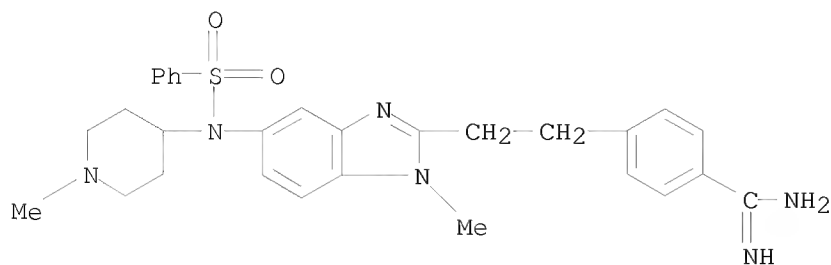
CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[(phenylsulfonyl)-4-piperidinylamino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



RN 331766-31-5 HCAPLUS

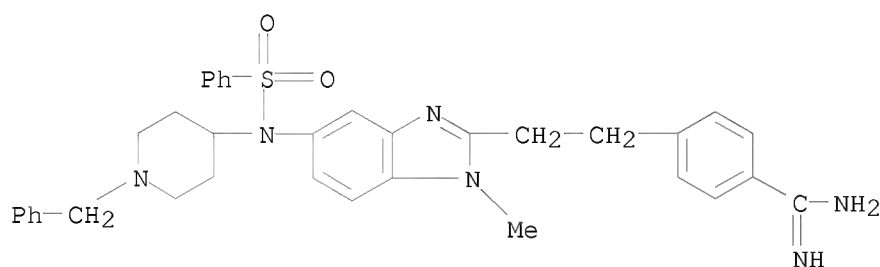
CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[(1-methyl-4-piperidinyl)(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

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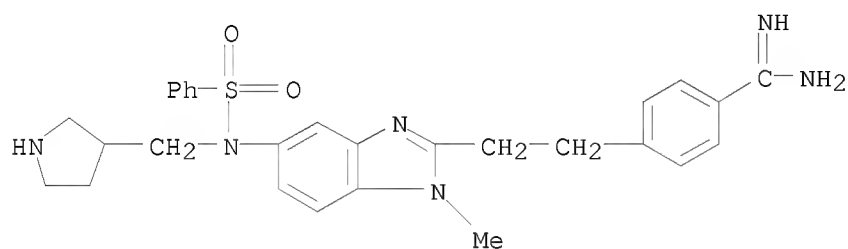
RN 331766-32-6 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[[1-(phenylmethyl)-4-piperidinyl] (phenylsulfonyl) amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



RN 331766-33-7 HCAPLUS

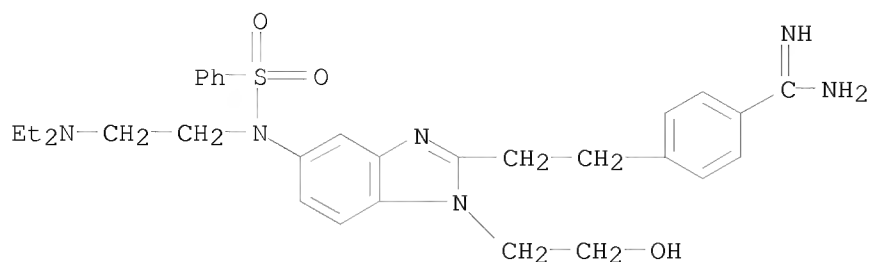
CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[(phenylsulfonyl) (3-pyrrolidinylmethyl) amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



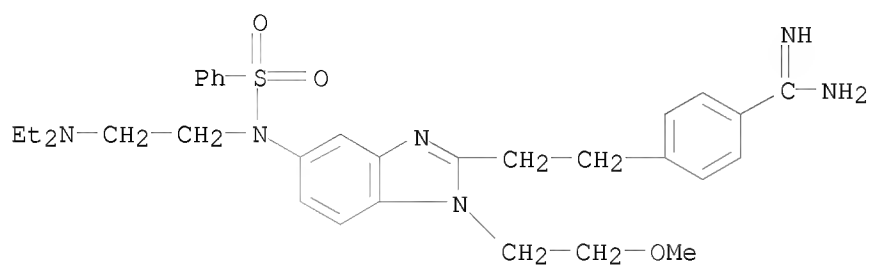
RN 331766-34-8 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl] (phenylsulfonyl) amino]-1-(2-hydroxyethyl)-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

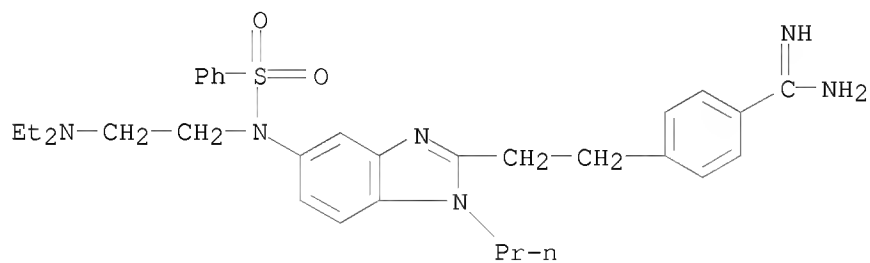
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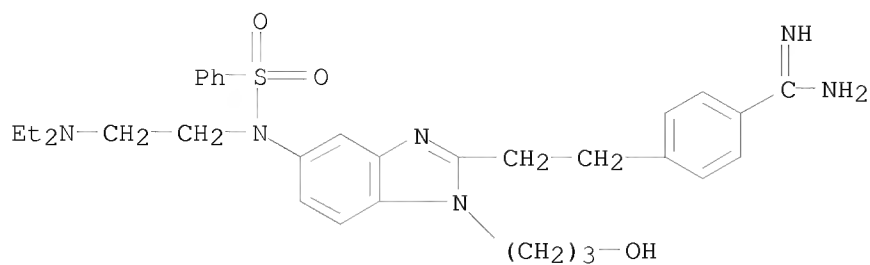
RN 331766-35-9 HCAPLUS
CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-(2-methoxyethyl)-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



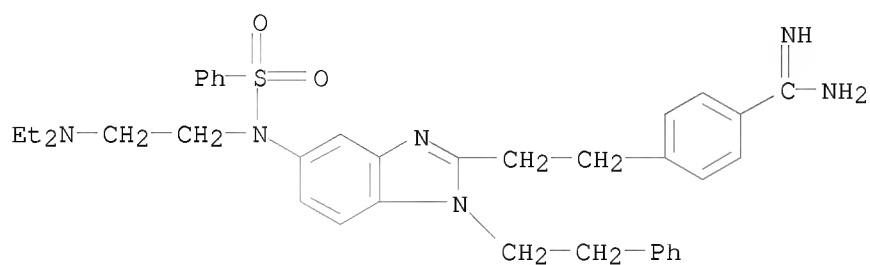
RN 331766-36-0 HCAPLUS
CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-propyl-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



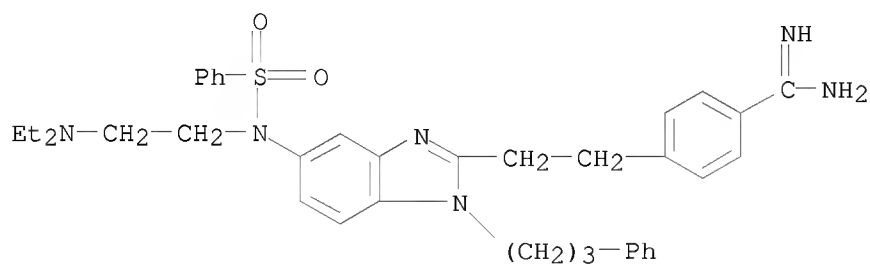
RN 331766-37-1 HCAPLUS
CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-(3-hydroxypropyl)-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



RN 331766-38-2 HCAPLUS
 CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-(2-phenylethyl)-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

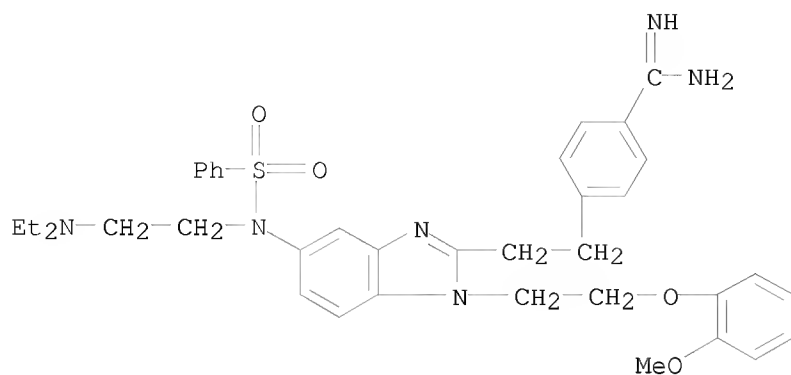


RN 331766-39-3 HCAPLUS
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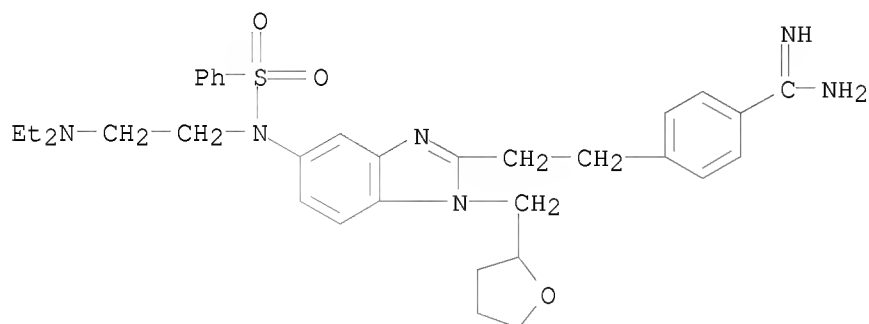


RN 331766-40-6 HCAPLUS
 CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-[2-(2-methoxyphenoxy)ethyl]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

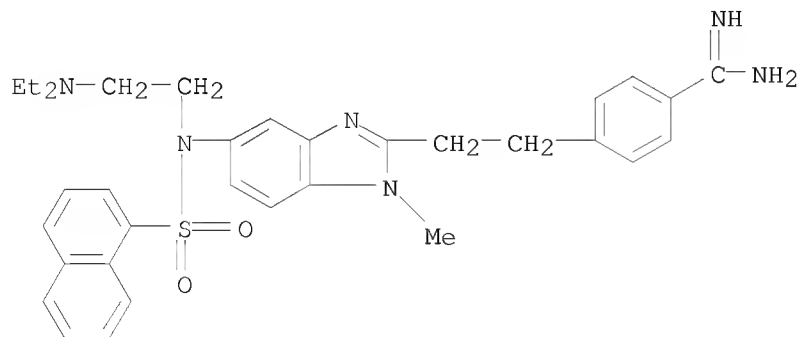
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RN 331766-41-7 HCAPLUS
 CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-[(tetrahydro-2-furanyl)methyl]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



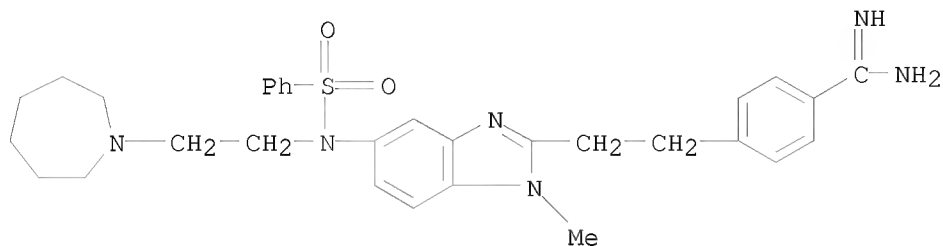
RN 331766-42-8 HCAPLUS
 CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](1-naphthalenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



RN 331766-43-9 HCAPLUS

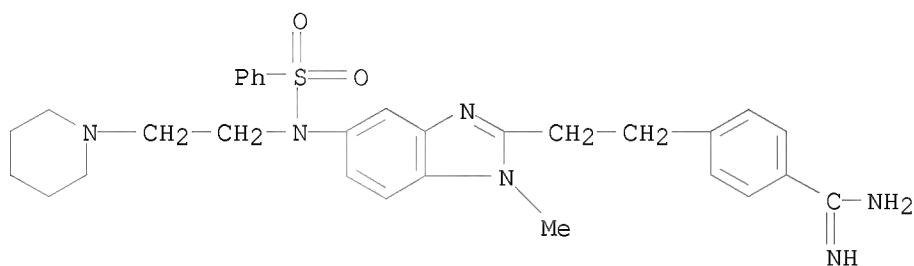
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CN Benzenecarboximidamide, 4-[2-[5-[[2-(hexahydro-1H-azepin-1-yl)ethyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



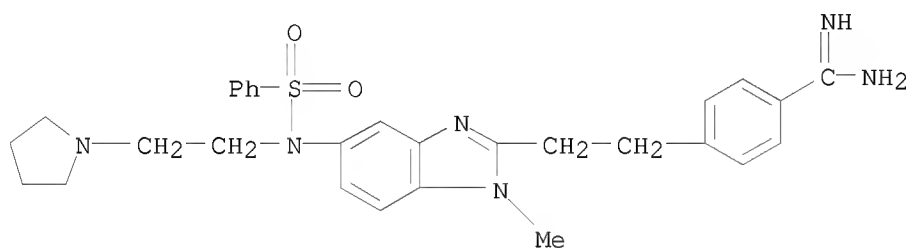
RN 331766-44-0 HCAPLUS

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RN 331766-45-1 HCAPLUS

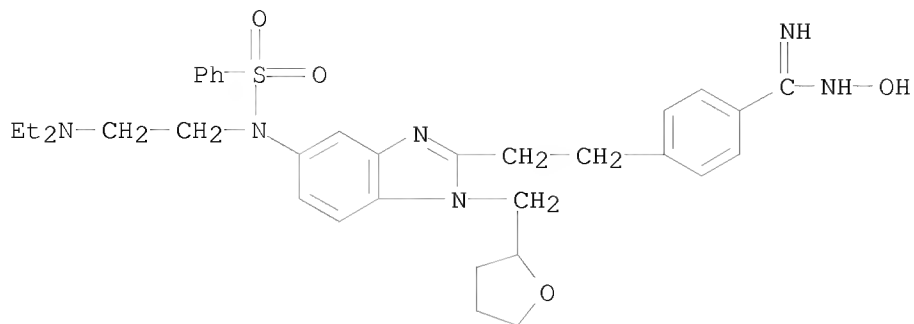
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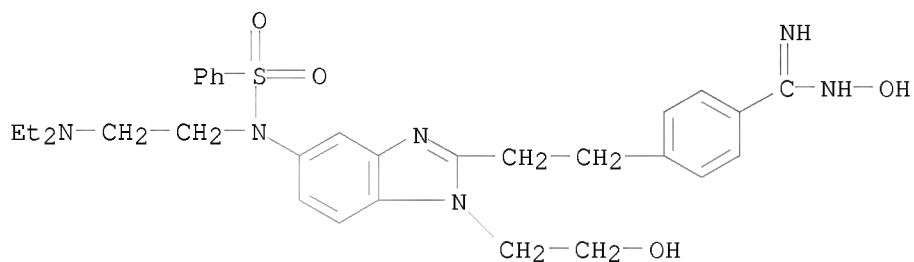
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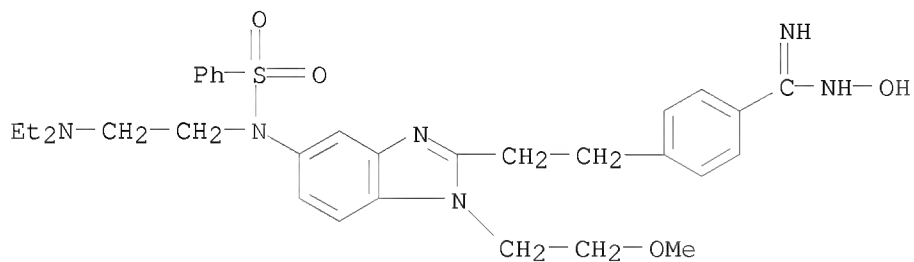
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RN 331766-47-3 HCAPLUS
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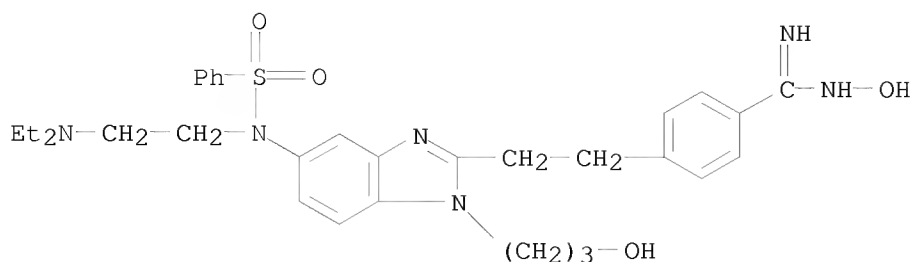


RN 331766-48-4 HCAPLUS
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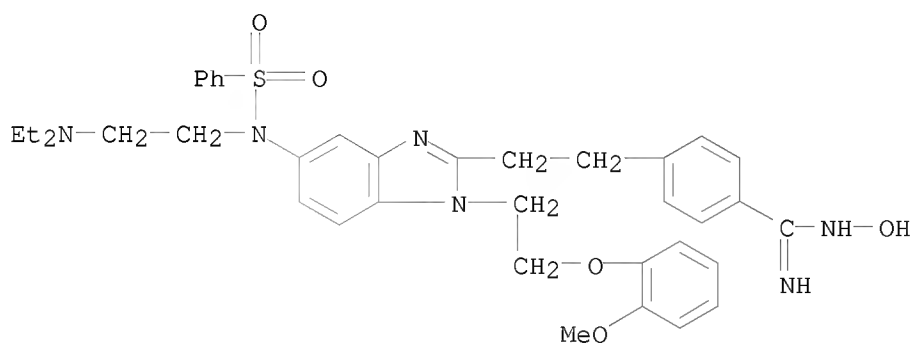


RN 331766-49-5 HCAPLUS
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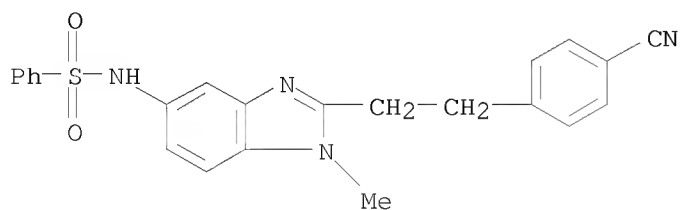
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RN 331766-50-8 HCAPLUS
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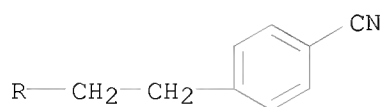
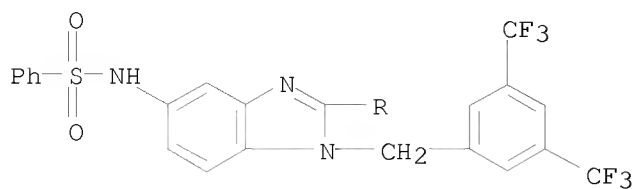


IT 256493-19-3P 331766-54-2P 331766-55-3P
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 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of arylethylarylsulfonamidobenzimidazoles as tryptase inhibitors)
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 CN Benzenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



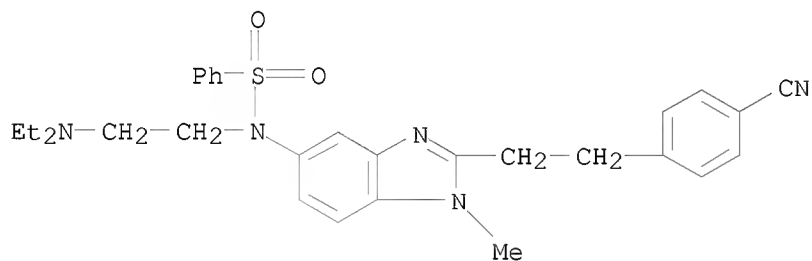
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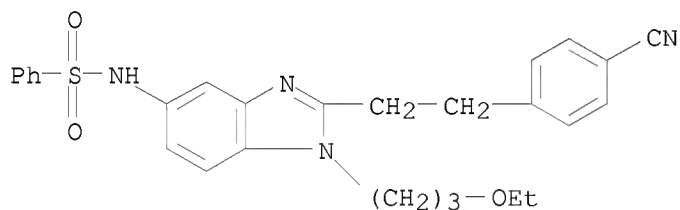
RN 331766-55-3 HCAPLUS

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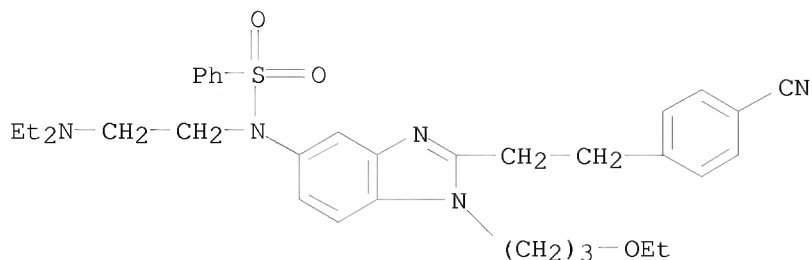
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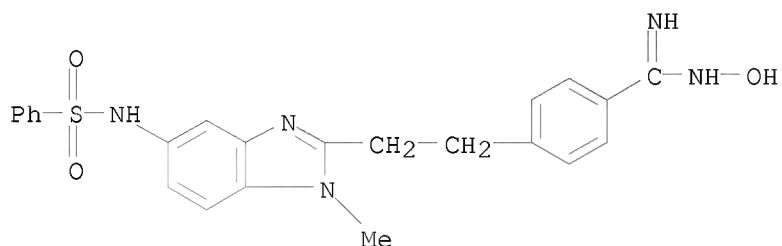
RN 331766-60-0 HCAPLUS

CN Benzenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-(3-ethoxypropyl)-1H-benzimidazol-5-yl]-N-[2-(diethylamino)ethyl]- (CA INDEX NAME)



RN 331766-62-2 HCAPLUS

CN Benzenecarboximidamide, N-hydroxy-4-[2-[1-methyl-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)



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ACCESSION NUMBER: 2000:83221 HCAPLUS

DOCUMENT NUMBER: 132:137386

TITLE: Preparation of heterocyclalalkylbenzamidines and analogs as thrombin inhibitors

INVENTOR(S): Hael, Norbert; Ries, Uwe; Priepke, Henning; Mihm, Gerhard; Wienen, Wolfgang; Stassen, Jean Marie; Binder, Klaus; Zimmermann, Rainer

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 58 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19834751	A1	20000203	DE 1998-19834751	19980801 <--
US 6121308	A	20000919	US 1999-359487	19990722 <--
CA 2337825	A1	20000217	CA 1999-2337825	19990727 <--
CA 2337825	C	20080923		
WO 2000008014	A1	20000217	WO 1999-EP5371	19990727 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
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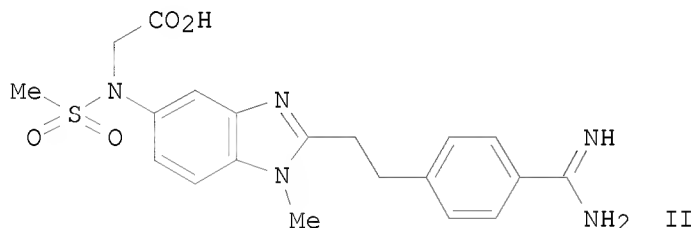
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JP 2002522432	T	20020723	JP 2000-563647	19990727 <--
AT 268763	T	20040615	AT 1999-938353	19990727
ES 2223177	T3	20050216	ES 1999-938353	19990727
MX 2001000399	A	20010622	MX 2001-399	20010111 <--

PRIORITY APPLN. INFO.: DE 1998-19834751 A 19980801
 US 1998-98838P P 19980902
 WO 1999-EP5371 W 19990727

OTHER SOURCE(S): MARPAT 132:137386
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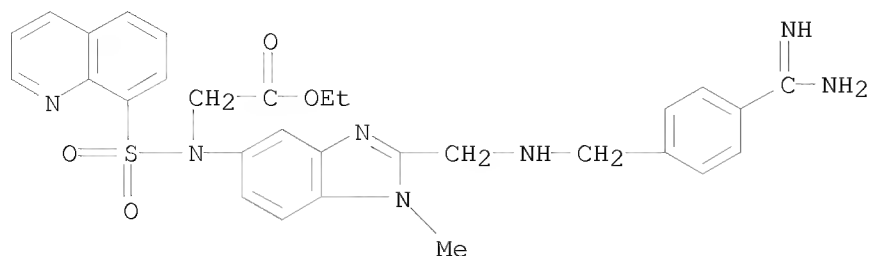
AB RaZ2Z1ZR [I; R = cyano or C(:NH)NHRb; Ra = (alkyl)amino, phenylalkoxy, NR4COR3, etc.; Rb = H, OH, alkyl, metabolically labile group; Z = (un)substituted (hetero)arylene; Z1 = (alkyl-substituted) CH2CH2, -OCH2, -CH2O, -NHCH2, etc.; Z2 = indole-, benzimidazole-, benzoxazole-n,2-diyl, quinolinediyl, etc.; n = 4-7] were prepared Thus, 2-methylamino-5-nitroaniline was cyclocondensed with HO2CCH2CH2C6H4(CN)-4 and the reduced product N-substituted by, successively, MeSO2Cl and BrCH2CO2Et to give, after aminolysis and saponification, title compound II.

Data

for biol. activity of I were given.

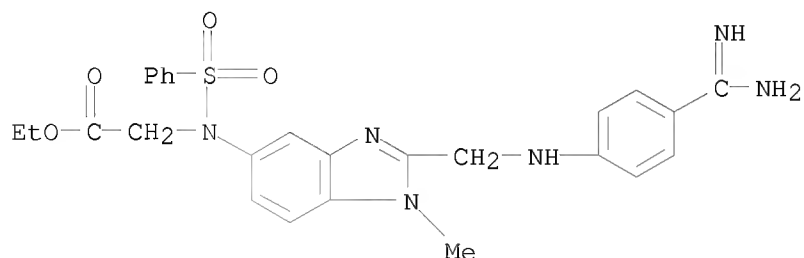
IT 256491-63-1P 256491-69-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of heterocyclylalkylbenzamidines and analogs as thrombin inhibitors)

RN 256491-63-1 HCAPLUS
 CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256491-69-7 HCAPLUS

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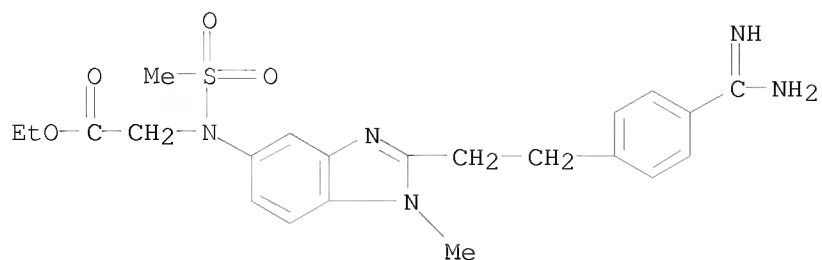
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of heterocyclalalkylbenzamidines and analogs as thrombin inhibitors)

RN 256491-14-2 HCAPLUS

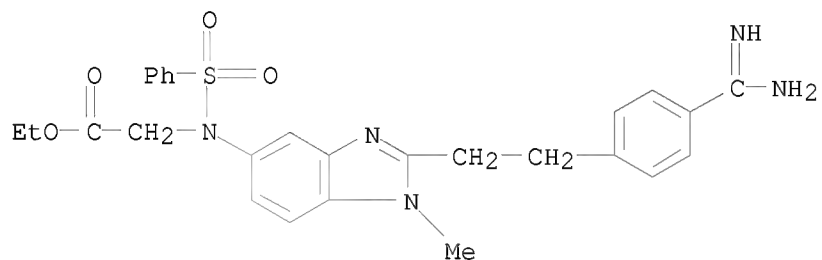
CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(methylsulfonyl)-, ethyl ester (CA INDEX NAME)

10573054



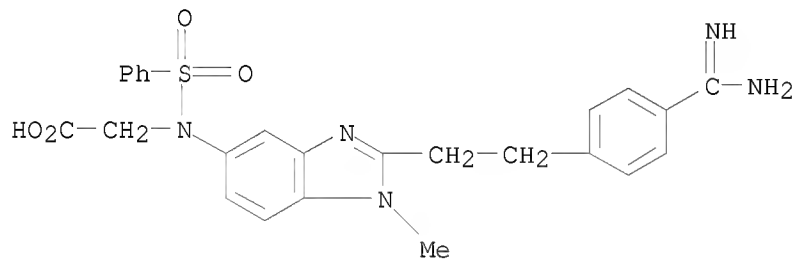
RN 256491-15-3 HCAPLUS

CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256491-16-4 HCAPLUS

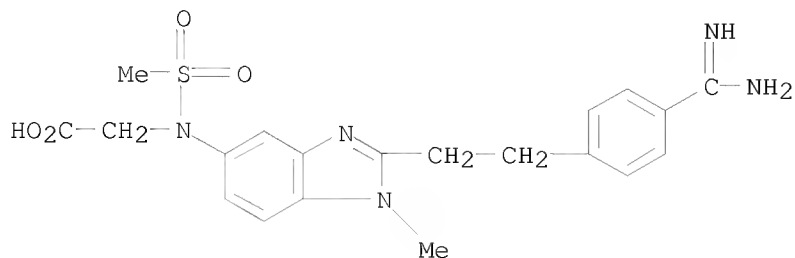
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RN 256491-17-5 HCAPLUS

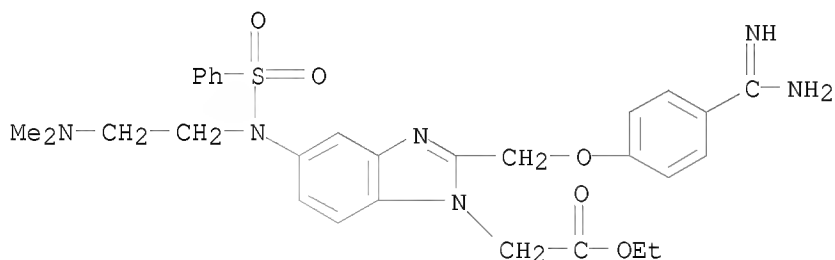
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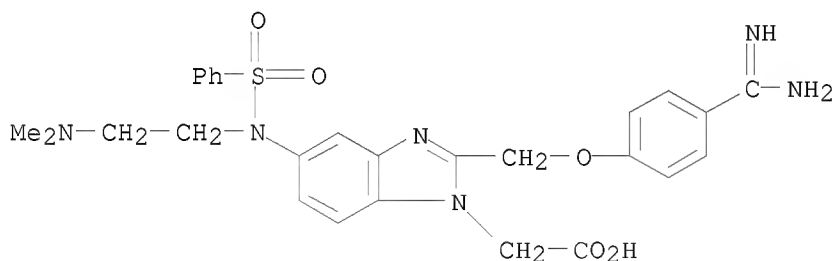
RN 256491-18-6 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenoxy]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



RN 256491-20-0 HCAPLUS

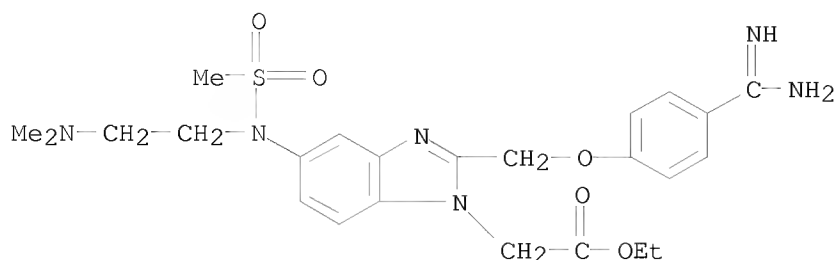
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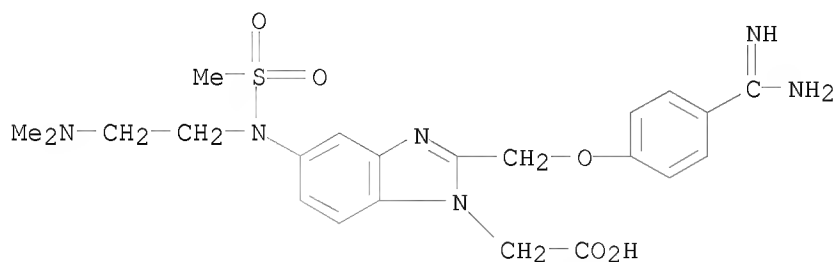
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10573054



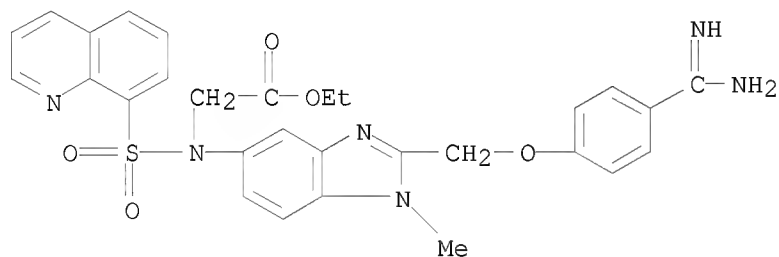
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RN 256491-25-5 HCAPLUS

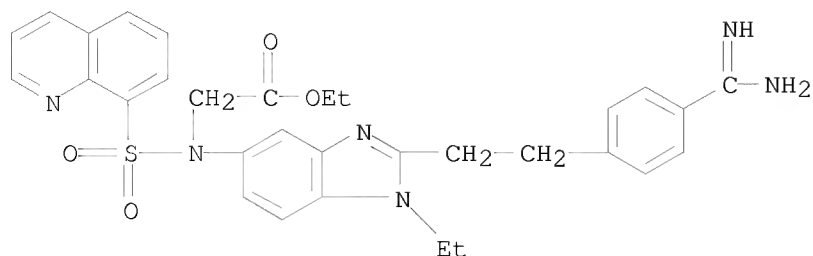
CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256491-26-6 HCAPLUS

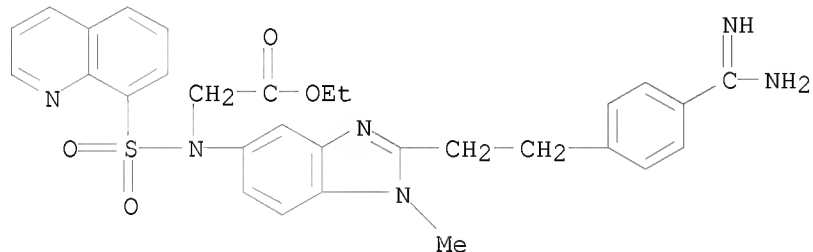
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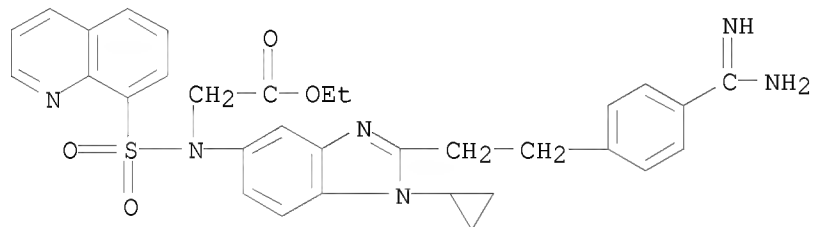
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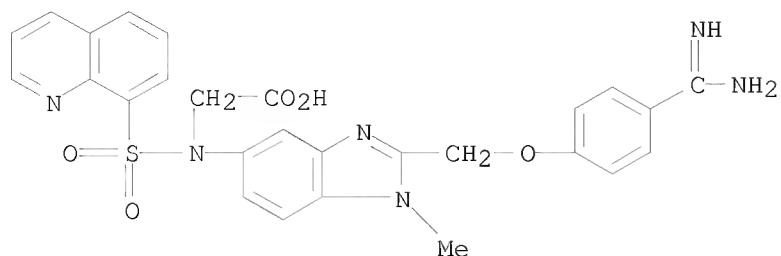
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RN 256491-29-9 HCAPLUS

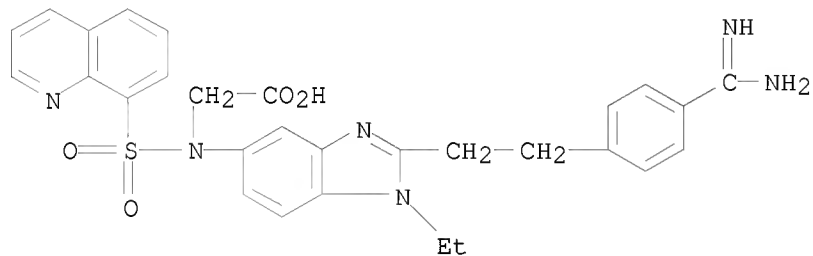
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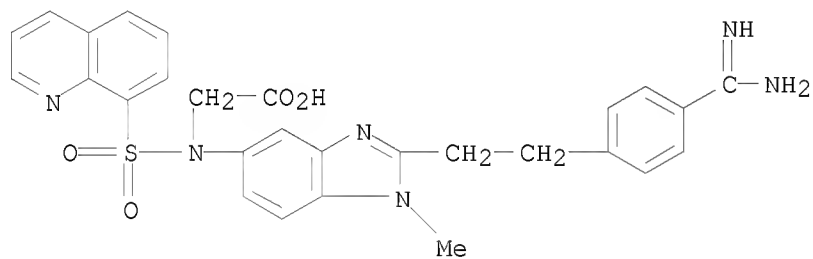
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CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-ethyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)



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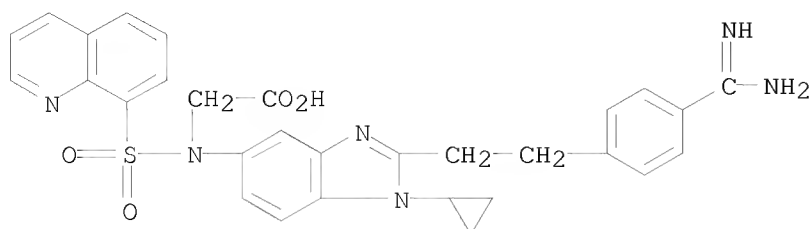
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RN 256491-33-5 HCAPLUS

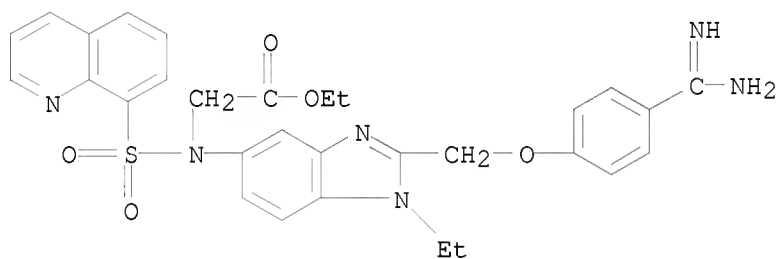
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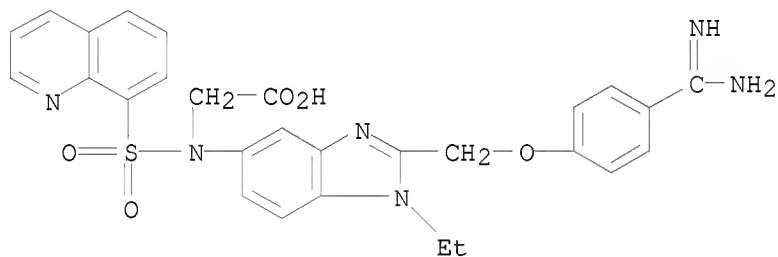
RN 256491-34-6 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-ethyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256491-35-7 HCAPLUS

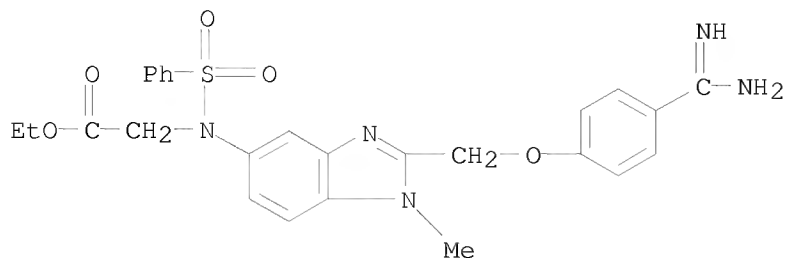
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RN 256491-36-8 HCAPLUS

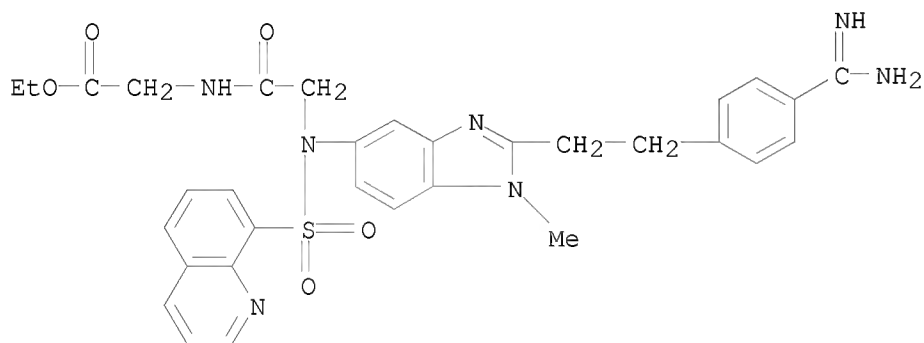
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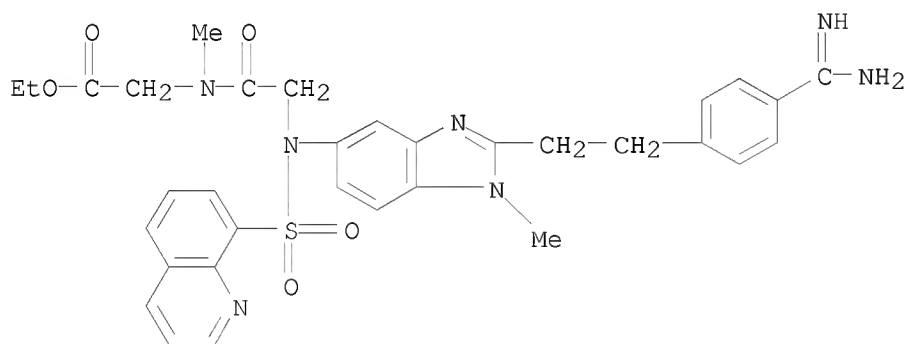
RN 256491-37-9 HCAPLUS

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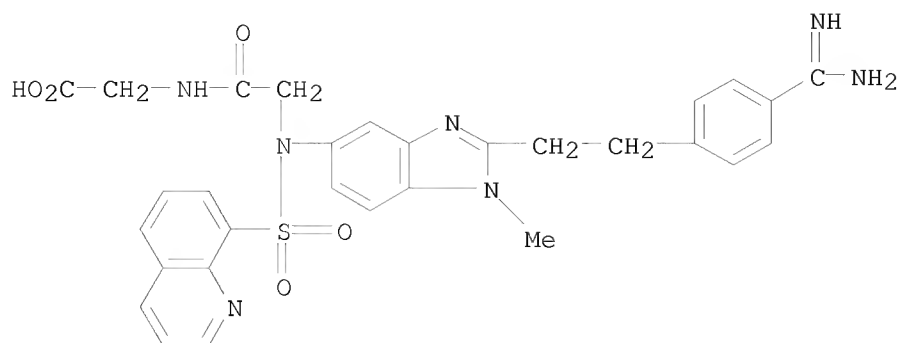
RN 256491-38-0 HCAPLUS

CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-N-methyl-, ethyl ester (CA INDEX NAME)



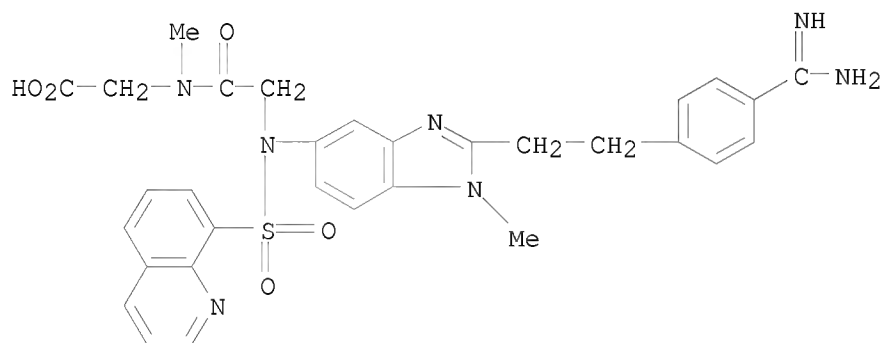
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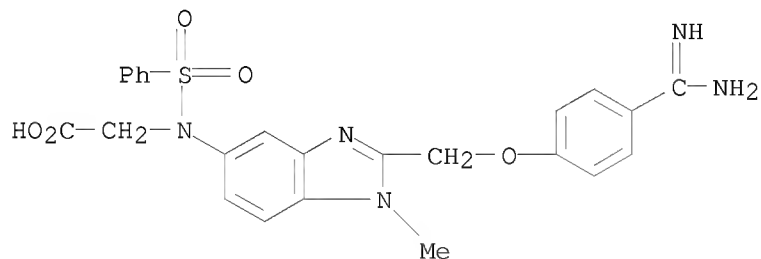
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CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-N-methyl- (CA INDEX NAME)



RN 256491-41-5 HCAPLUS

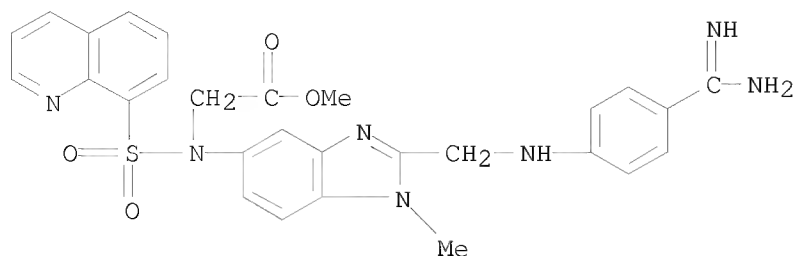
CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)- (CA INDEX NAME)



RN 256491-42-6 HCAPLUS

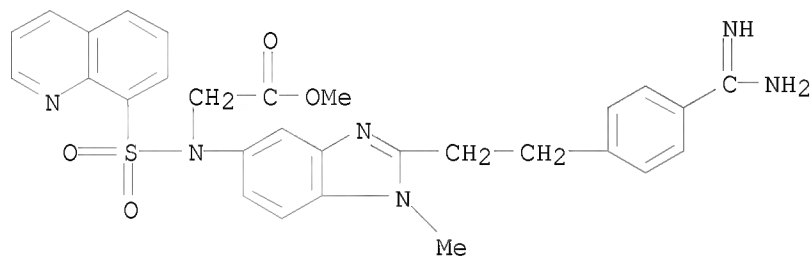
CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

10573054



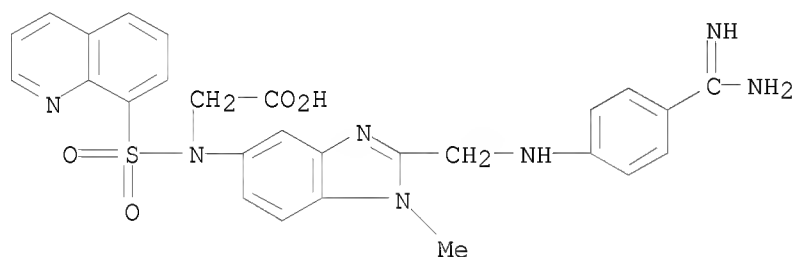
RN 256491-43-7 HCAPLUS

CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 256491-44-8 HCAPLUS

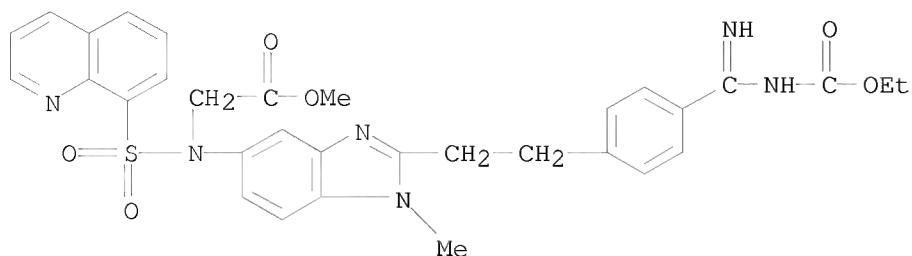
CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)



RN 256491-45-9 HCAPLUS

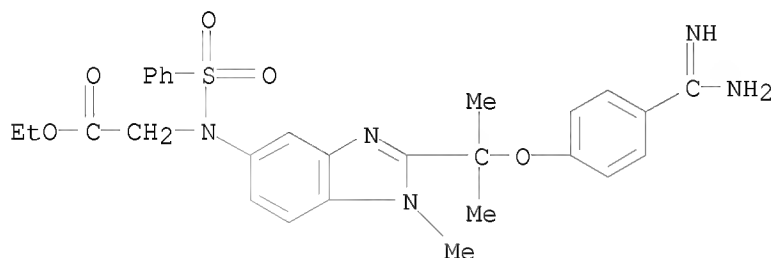
CN Glycine, N-[2-[2-[4-[(ethoxycarbonyl)amino]iminomethyl]phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

10573054



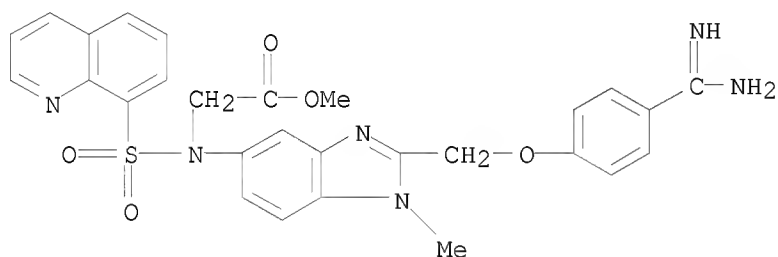
RN 256491-46-0 HCAPLUS

CN Glycine, N-[2-[1-[4-(aminoiminomethyl)phenoxy]-1-methylethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)



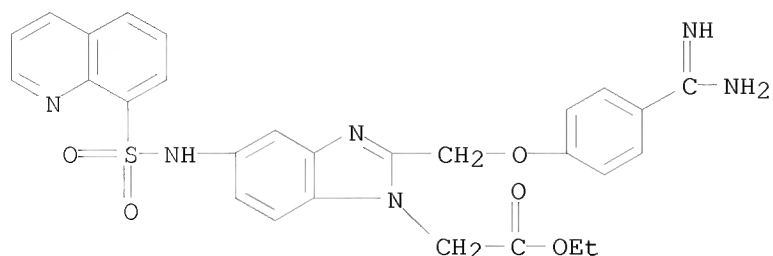
RN 256491-48-2 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)



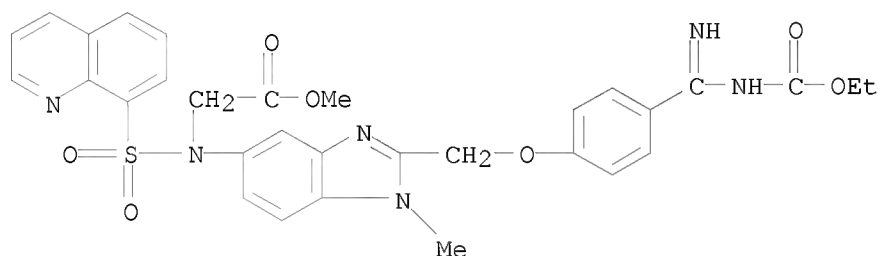
RN 256491-49-3 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenoxy]methyl]-5-[(8-quinolinylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



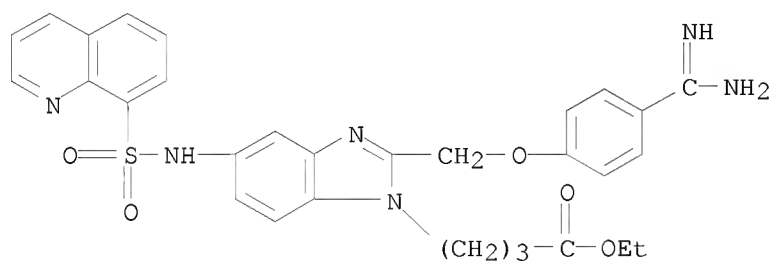
RN 256491-50-6 HCAPLUS

CN Glycine, N-[2-[[4-[(ethoxycarbonyl)amino]iminomethyl]phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 256491-51-7 HCAPLUS

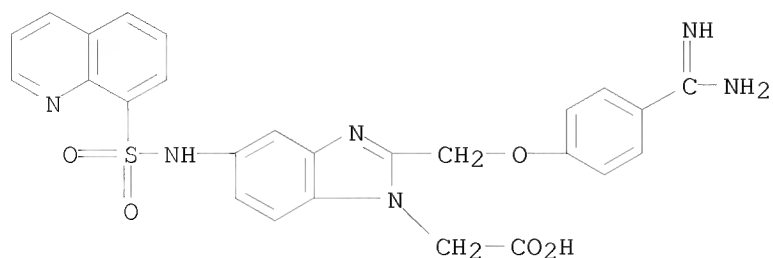
CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenoxy]methyl]-5-[(8-quinolinylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



RN 256491-52-8 HCAPLUS

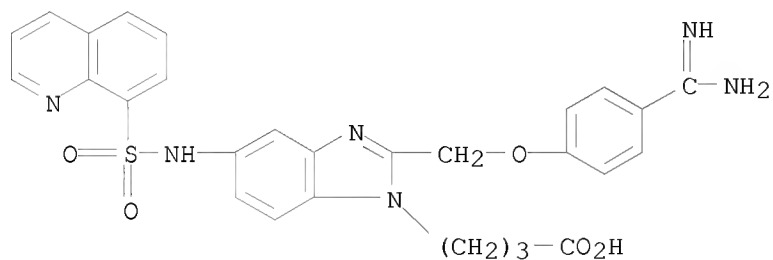
CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenoxy]methyl]-5-[(8-quinolinylsulfonyl)amino]- (CA INDEX NAME)

10573054



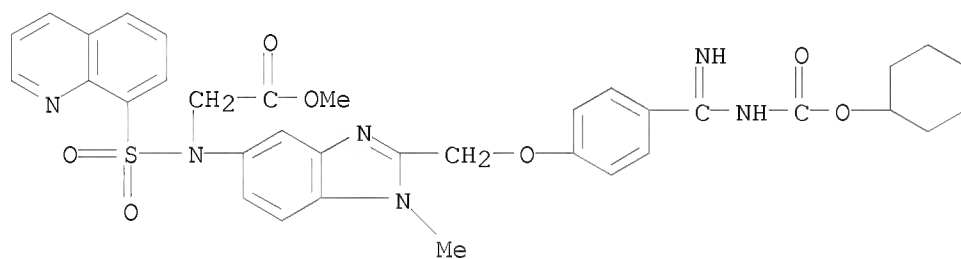
RN 256491-53-9 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenoxy]methyl]-5-[(8-quinolinylsulfonyl)amino]- (CA INDEX NAME)



RN 256491-54-0 HCAPLUS

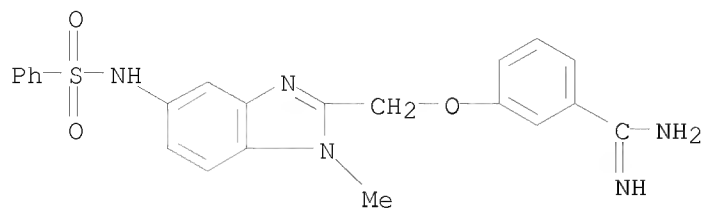
CN Glycine, N-[2-[[4-[[[(cyclohexyloxy)carbonyl]amino]iminomethyl]phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 256491-55-1 HCAPLUS

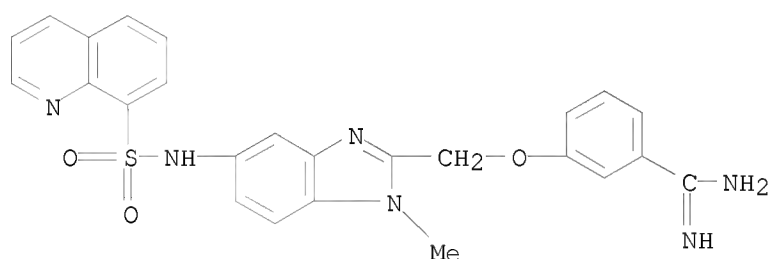
CN Benzenecarboximidamide, 3-[[1-methyl-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methoxy]- (CA INDEX NAME)

10573054



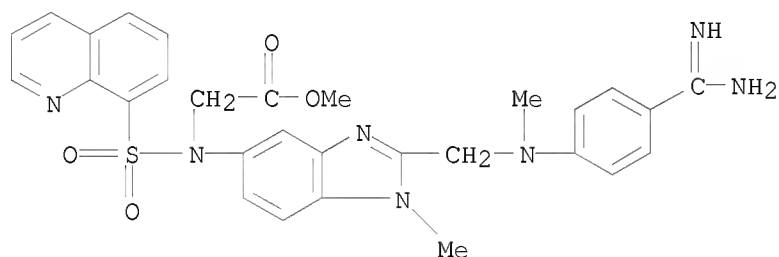
RN 256491-56-2 HCAPLUS

CN Benzenecarboximidamide, 3-[[1-methyl-5-[(8-quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]methoxy]- (CA INDEX NAME)



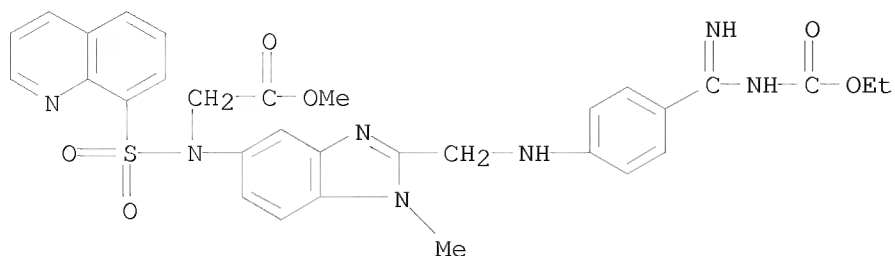
RN 256491-57-3 HCAPLUS

CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]methylamino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)



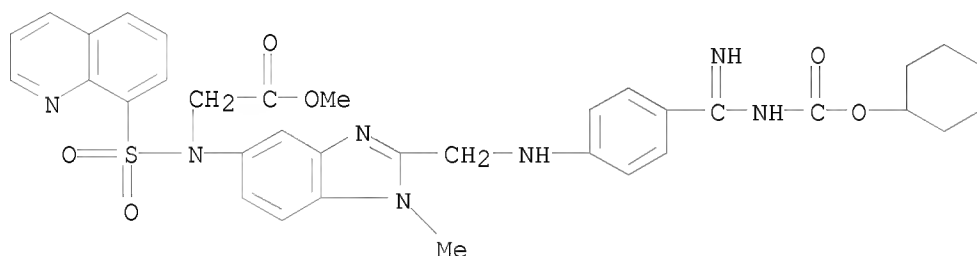
RN 256491-58-4 HCAPLUS

CN Glycine, N-[2-[[[4-[[[(ethoxycarbonyl)amino]iminomethyl]phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)



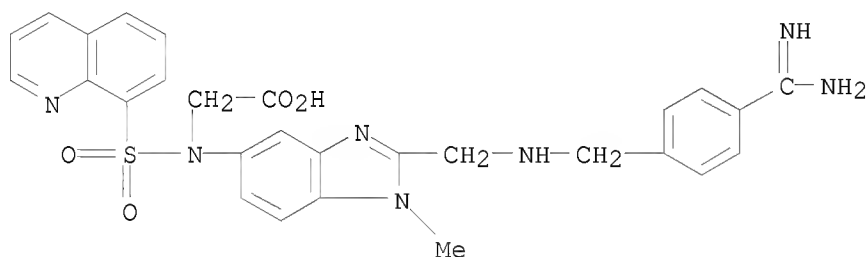
RN 256491-59-5 HCAPLUS

CN Glycine, N-[2-[[[4-[[[(cyclohexyloxy)carbonyl]amino]iminomethyl]phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 256491-64-2 HCAPLUS

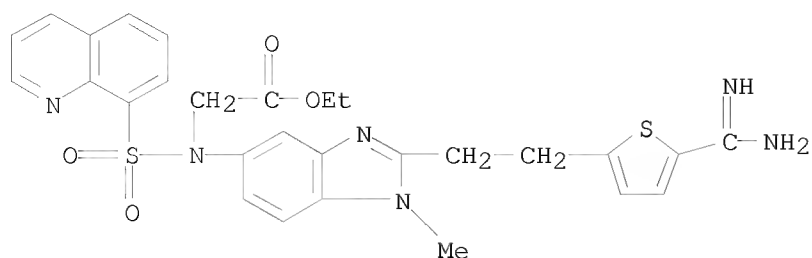
CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)



RN 256491-67-5 HCAPLUS

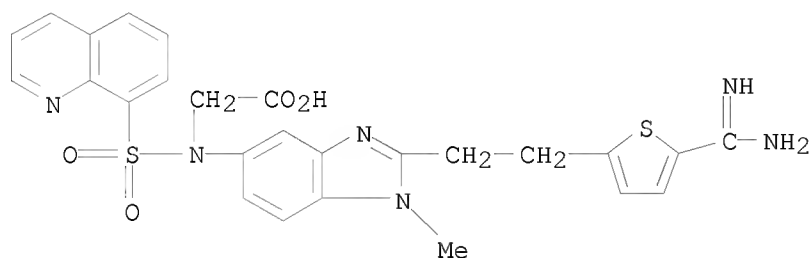
CN Glycine, N-[2-[2-[5-(aminoiminomethyl)-2-thienyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

10573054



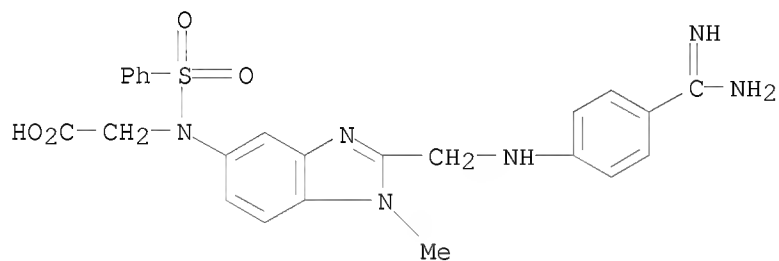
RN 256491-68-6 HCAPLUS

CN Glycine, N-[2-[2-[5-(aminoiminomethyl)-2-thienyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)



RN 256491-70-0 HCAPLUS

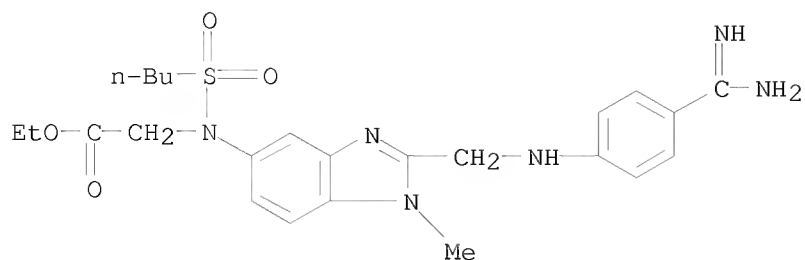
CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)- (CA INDEX NAME)



RN 256491-72-2 HCAPLUS

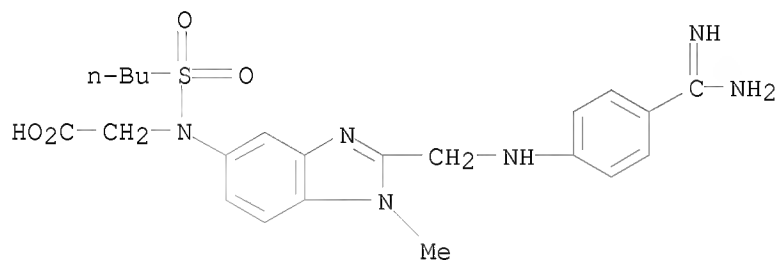
CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(butylsulfonyl)-, ethyl ester (CA INDEX NAME)

10573054



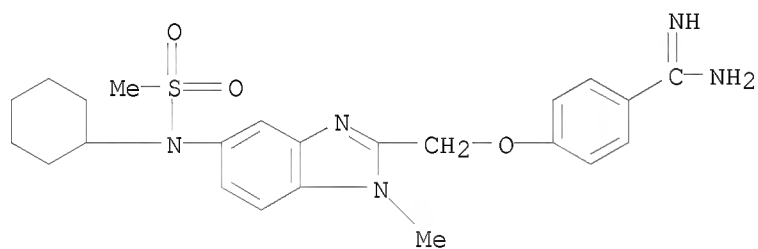
RN 256491-75-5 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(butylsulfonyl)- (CA INDEX NAME)



RN 256491-78-8 HCAPLUS

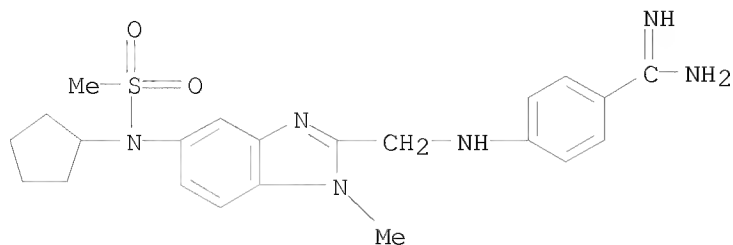
CN Benzenecarboximidamide, 4-[[5-[cyclohexyl(methylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methoxy]- (CA INDEX NAME)



RN 256491-80-2 HCAPLUS

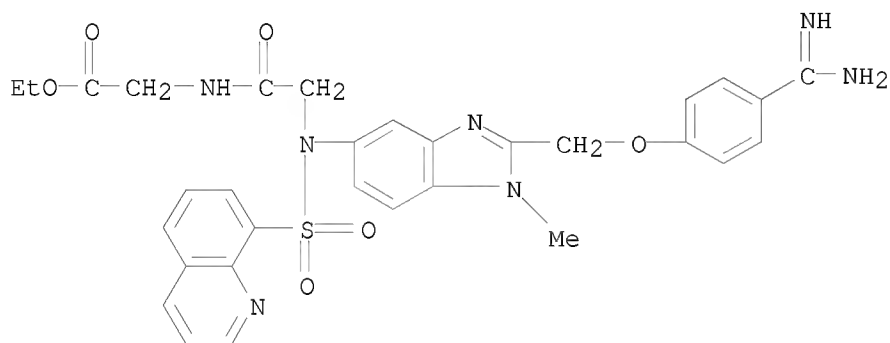
CN Benzenecarboximidamide, 4-[[5-[cyclopentyl(methylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]amino]- (CA INDEX NAME)

10573054



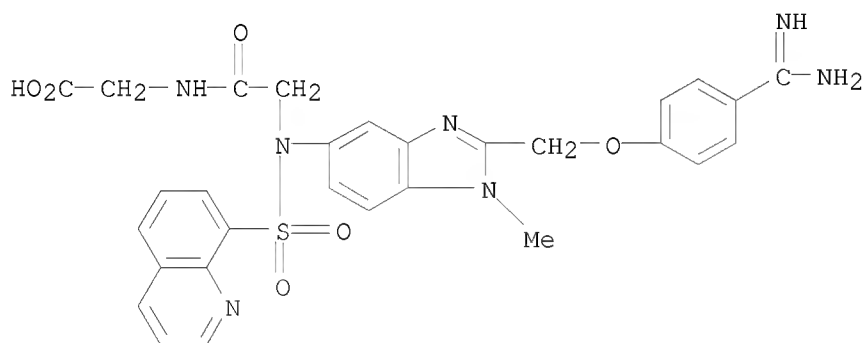
RN 256491-81-3 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester (CA INDEX NAME)



RN 256491-82-4 HCAPLUS

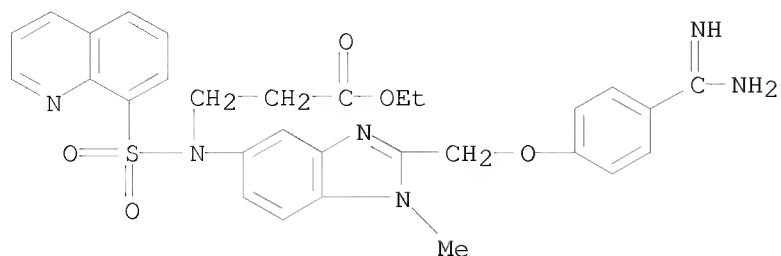
CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl- (CA INDEX NAME)



RN 256491-83-5 HCAPLUS

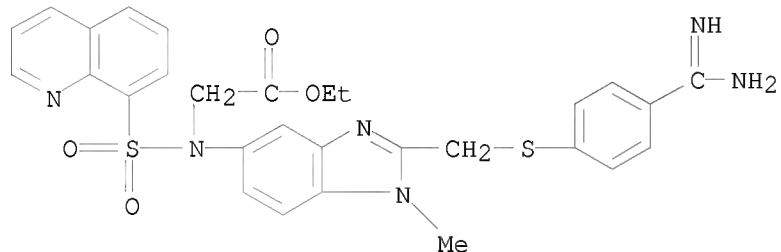
CN β -Alanine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

10573054



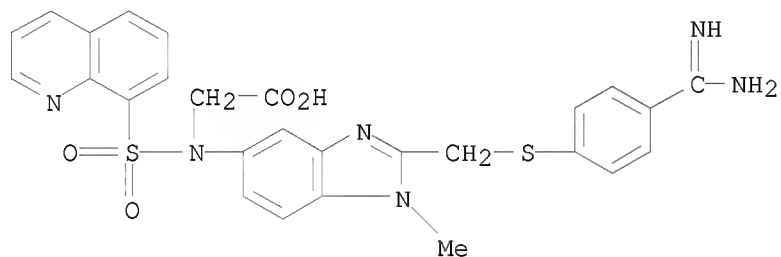
RN 256492-12-3 HCAPLUS

CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]thio]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256492-13-4 HCAPLUS

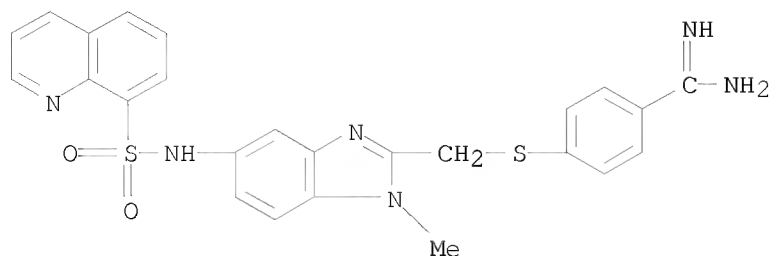
CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]thio]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)



RN 256492-14-5 HCAPLUS

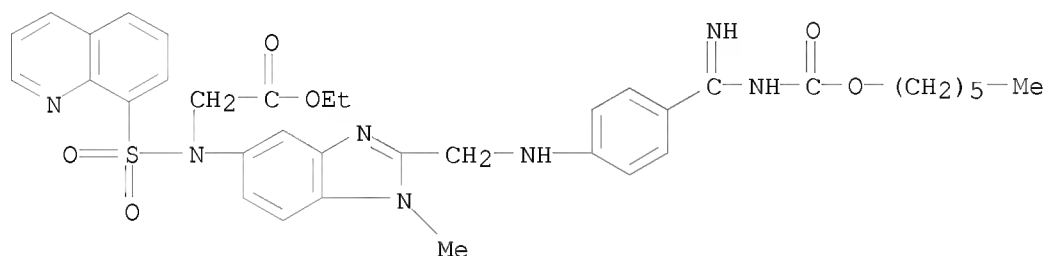
CN Benzenecarboximidamide, 4-[[[1-methyl-5-[(8-quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]thio]- (CA INDEX NAME)

10573054



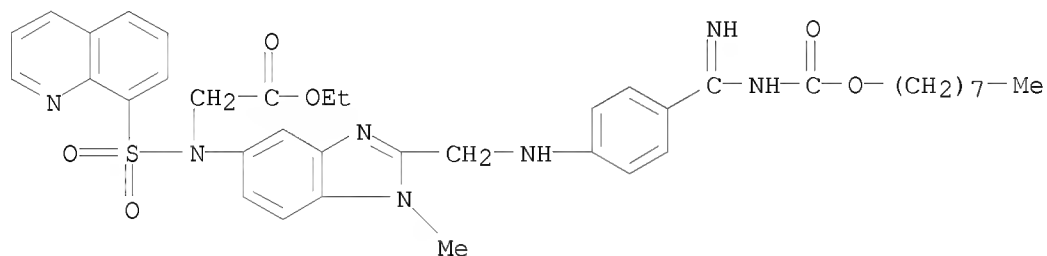
RN 256492-41-8 HCAPLUS

CN Glycine, N-[2-[[[4-[[[(hexyloxy)carbonyl]amino]iminomethyl]phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256492-42-9 HCAPLUS

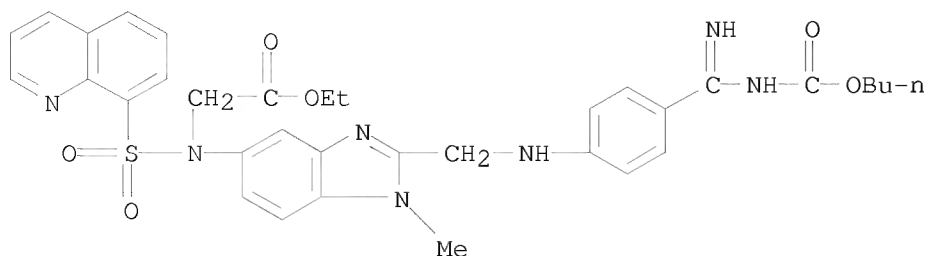
CN Glycine, N-[2-[[[4-[imino[[[(octyloxy)carbonyl]amino]methyl]phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



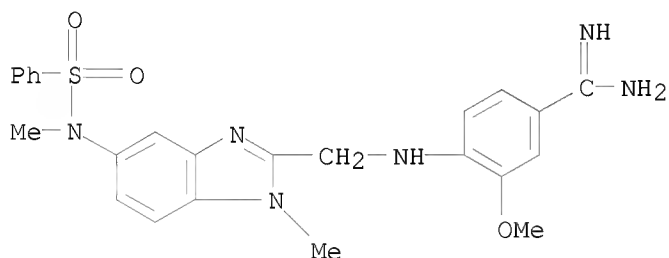
RN 256492-43-0 HCAPLUS

CN Glycine, N-[2-[[[4-[[[(butoxycarbonyl)amino]iminomethyl]phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

10573054



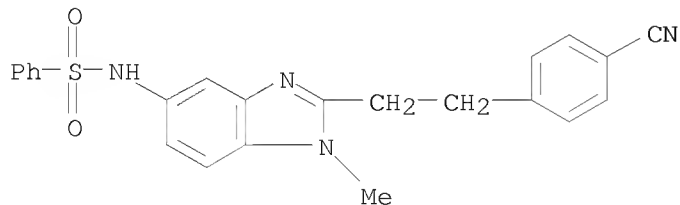
RN 256492-44-1 HCAPLUS
 CN Benzenecarboximidamide, 3-methoxy-4-[[[1-methyl-5-[methyl(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]amino]- (CA INDEX NAME)



IT 256493-19-3 256493-21-7 256493-23-9
 256493-24-0 256493-25-1 256493-26-2
 256493-27-3 256493-28-4 256493-29-5
 256493-30-8 256493-31-9 256493-32-0
 256493-33-1 256493-35-3 256493-36-4
 256493-37-5 256493-38-6 256493-39-7
 256493-40-0 256493-42-2 256493-44-4
 256493-45-5 256493-48-8 256493-51-3
 256493-53-5 256493-54-6 256493-55-7
 256493-68-2 256493-69-3 256493-80-8

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of heterocyclalalkylbenzamidines and analogs as thrombin inhibitors)

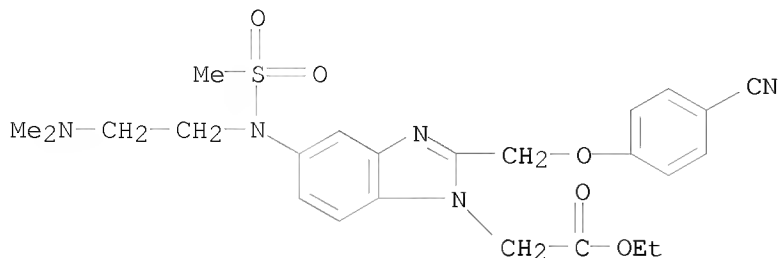
RN 256493-19-3 HCAPLUS
 CN Benzenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 256493-21-7 HCAPLUS

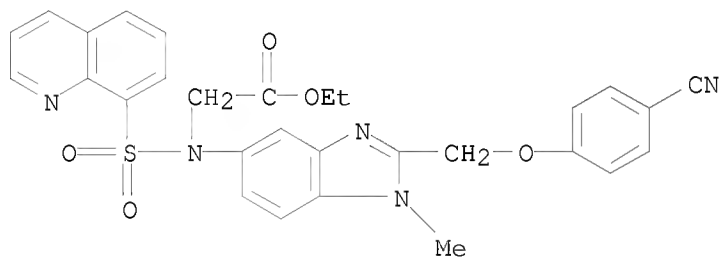
10573054

CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenoxy)methyl]-5-[[2-(dimethylamino)ethyl](methylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



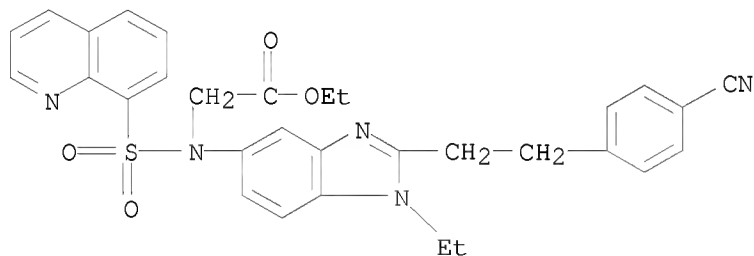
RN 256493-23-9 HCAPLUS

CN Glycine, N-[2-[(4-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256493-24-0 HCAPLUS

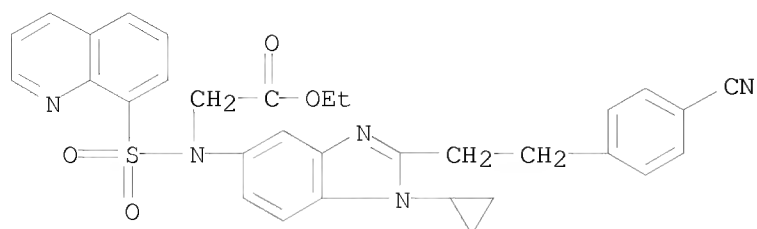
CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-ethyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256493-25-1 HCAPLUS

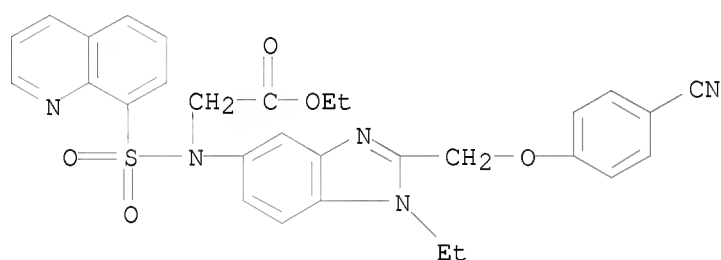
CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-cyclopropyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

10573054



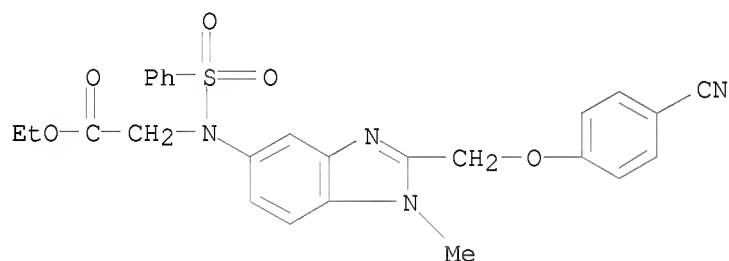
RN 256493-26-2 HCAPLUS

CN Glycine, N-[2-[(4-cyanophenoxy)methyl]-1-ethyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256493-27-3 HCAPLUS

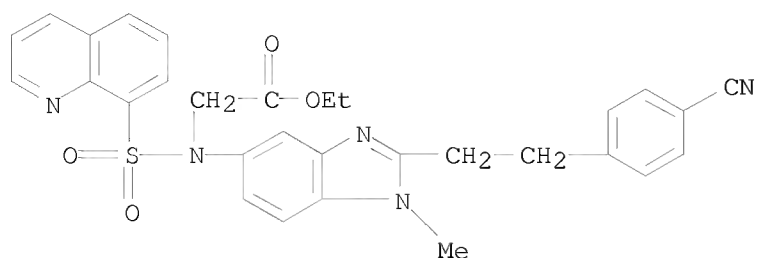
CN Glycine, N-[2-[(4-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256493-28-4 HCAPLUS

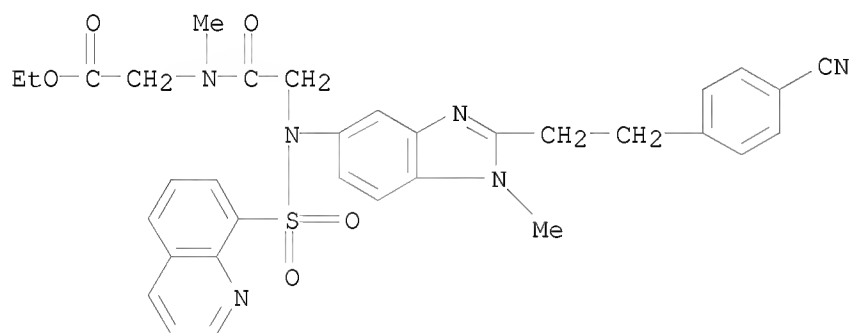
CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

10573054



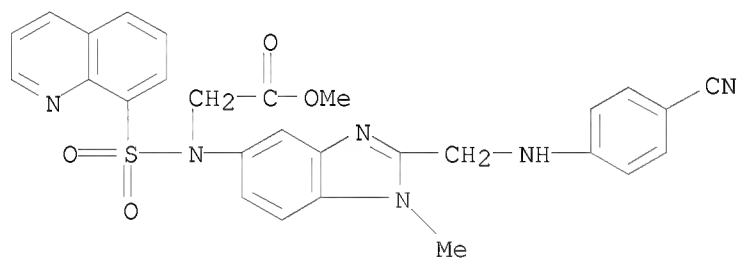
RN 256493-29-5 HCAPLUS

CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-N-methyl-, ethyl ester (CA INDEX NAME)



RN 256493-30-8 HCAPLUS

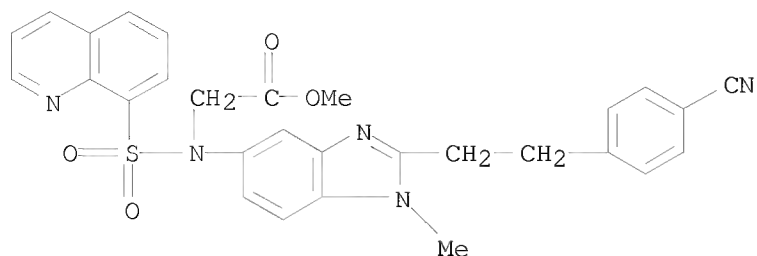
CN Glycine, N-[2-[[4-(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 256493-31-9 HCAPLUS

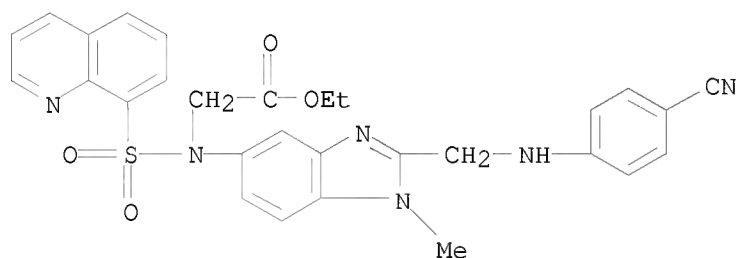
CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

10573054



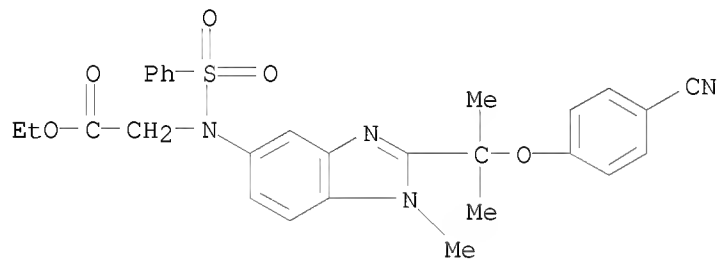
RN 256493-32-0 HCAPLUS

CN Glycine, N-[2-[[4-cyanophenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256493-33-1 HCAPLUS

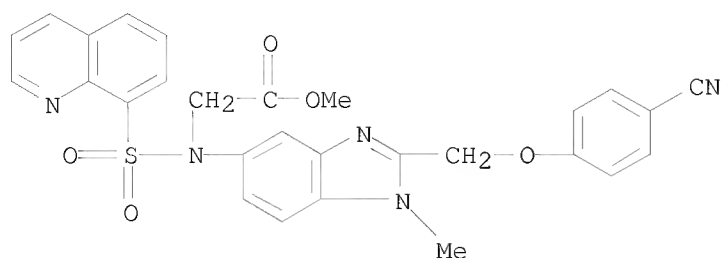
CN Glycine, N-[2-[1-(4-cyanophenoxy)-1-methylethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256493-35-3 HCAPLUS

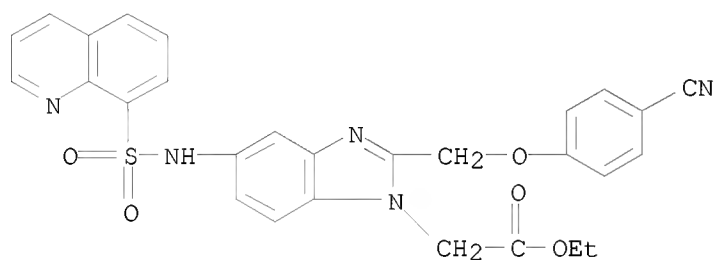
CN Glycine, N-[2-[(4-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

10573054



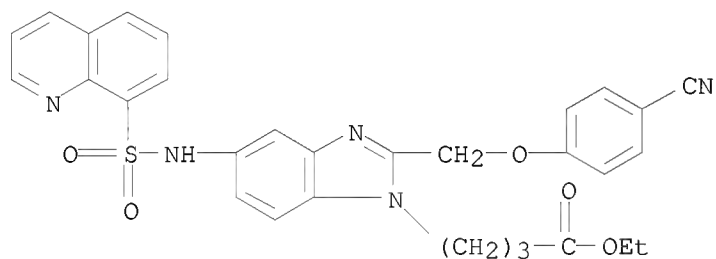
RN 256493-36-4 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenoxy)methyl]-5-[(8-quinolinylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



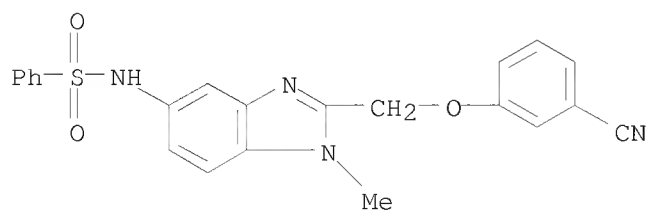
RN 256493-37-5 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[(4-cyanophenoxy)methyl]-5-[(8-quinolinylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



RN 256493-38-6 HCAPLUS

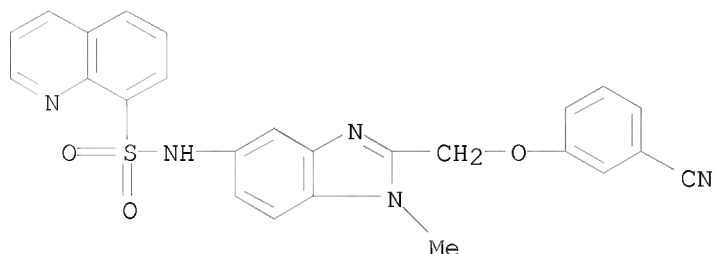
CN Benzenesulfonamide, N-[2-[(3-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



10573054

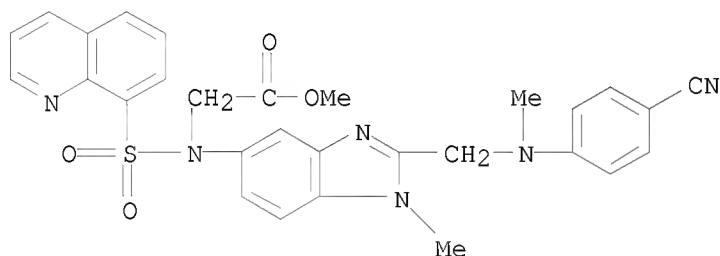
RN 256493-39-7 HCAPLUS

CN 8-Quinolinesulfonamide, N-[2-[(3-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



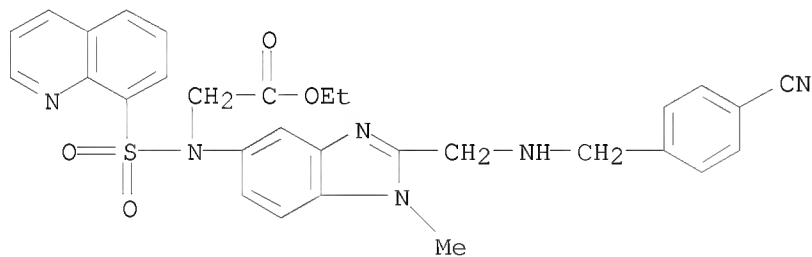
RN 256493-40-0 HCAPLUS

CN Glycine, N-[2-[[4-(4-cyanophenyl)methylamino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 256493-42-2 HCAPLUS

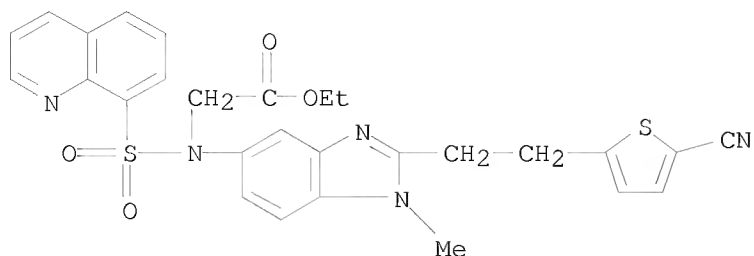
CN Glycine, N-[2-[[[4-(4-cyanophenyl)methyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256493-44-4 HCAPLUS

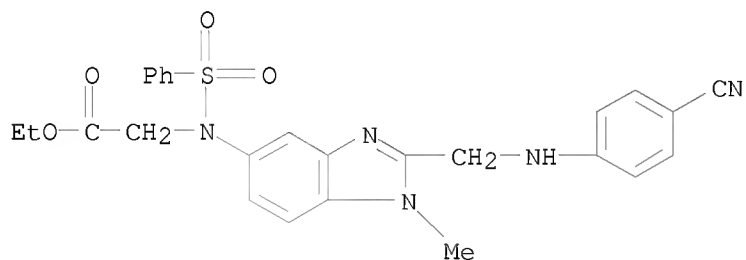
CN Glycine, N-[2-[2-(5-cyano-2-thienyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

10573054



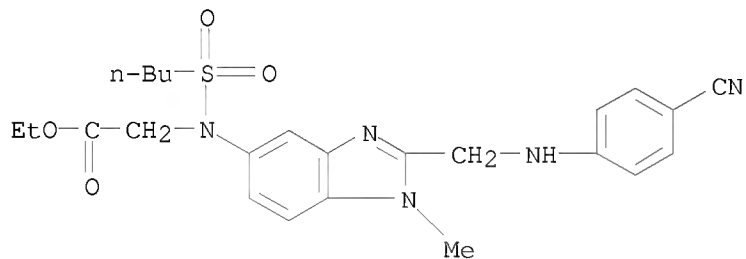
RN 256493-45-5 HCAPLUS

CN Glycine, N-[2-[[4-(2-(1-methyl-1H-benzimidazol-5-yl)-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256493-48-8 HCAPLUS

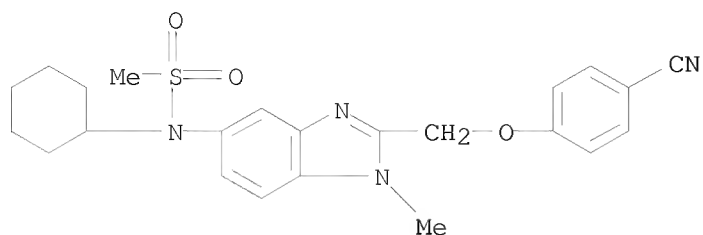
CN Glycine, N-(butylsulfonyl)-N-[2-[[4-(2-(1-methyl-1H-benzimidazol-5-yl)-N-(butylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256493-51-3 HCAPLUS

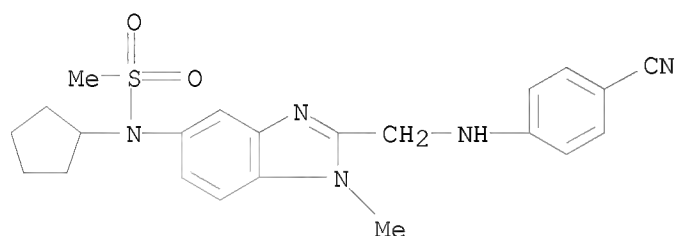
CN Methanesulfonamide, N-[2-[[4-(2-(1-methyl-1H-benzimidazol-5-yl)-N-cyclohexyl- (CA INDEX NAME)

10573054



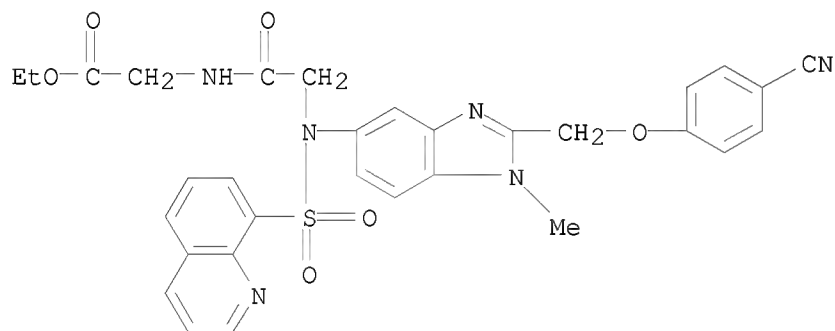
RN 256493-53-5 HCAPLUS

CN Methanesulfonamide, N-[2-[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-cyclopentyl- (CA INDEX NAME)



RN 256493-54-6 HCAPLUS

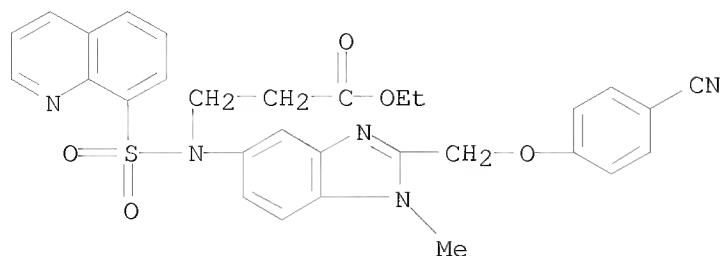
CN Glycine, N-[2-[(4-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester (CA INDEX NAME)



RN 256493-55-7 HCAPLUS

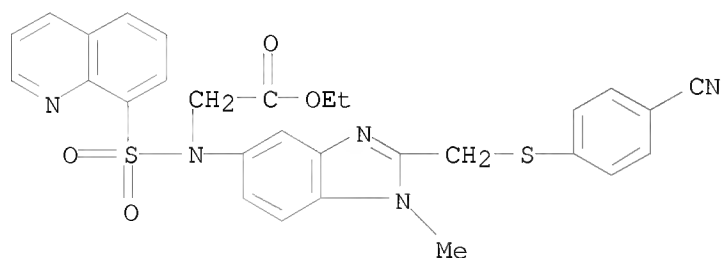
CN β -Alanine, N-[2-[(4-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

10573054



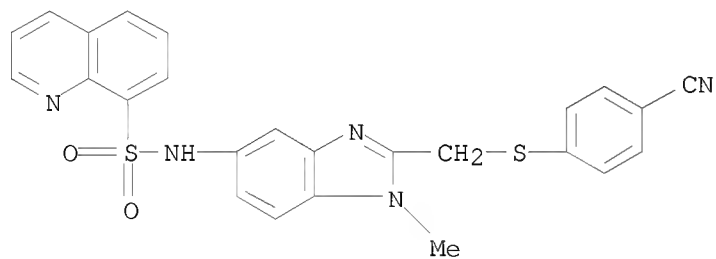
RN 256493-68-2 HCAPLUS

CN Glycine, N-[2-[[[(4-cyanophenyl)thio]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



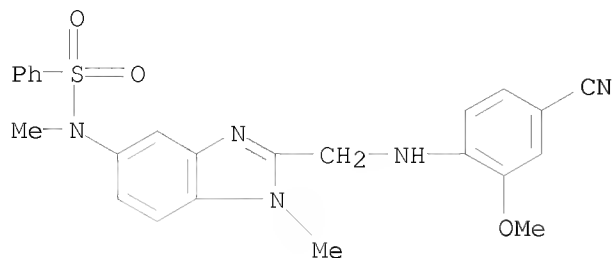
RN 256493-69-3 HCAPLUS

CN 8-Quinolinesulfonamide, N-[2-[[[(4-cyanophenyl)thio]methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 256493-80-8 HCAPLUS

CN Benzenesulfonamide, N-[2-[[[(4-cyano-2-methoxyphenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)

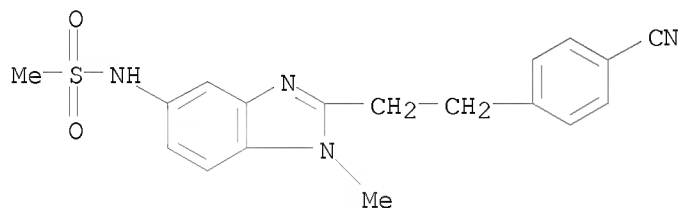


IT 256492-48-5P 256492-49-6P 256492-50-9P
 256492-55-4P 256492-56-5P 256492-59-8P
 256492-60-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of heterocyclalalkylbenzamidines and analogs as thrombin
 inhibitors)

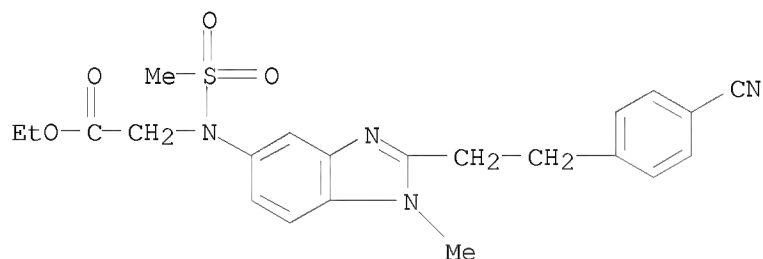
RN 256492-48-5 HCAPLUS

CN Methanesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-
 5-yl]- (CA INDEX NAME)



RN 256492-49-6 HCAPLUS

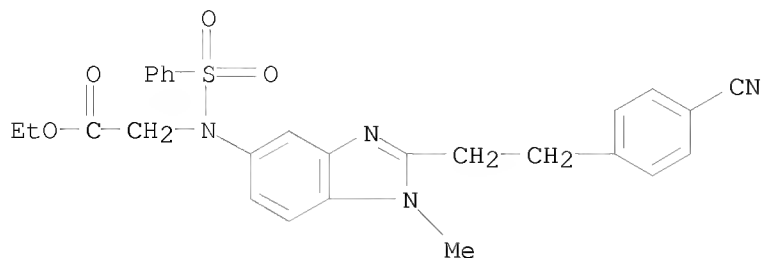
CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-
 (methylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 256492-50-9 HCAPLUS

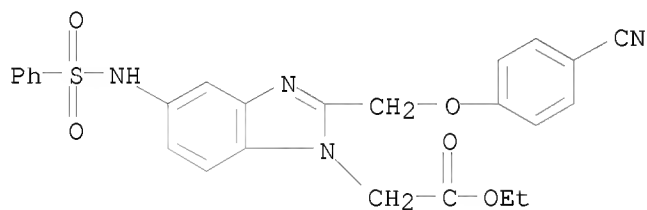
CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-
 (phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

10573054



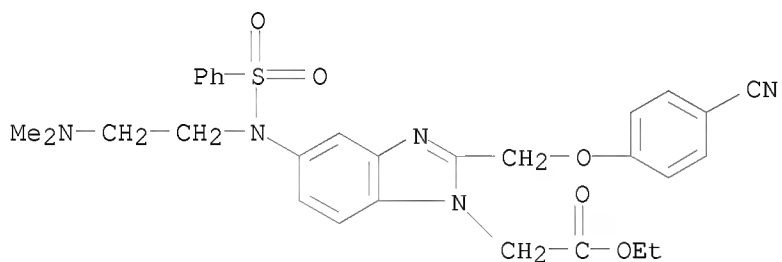
RN 256492-55-4 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenoxy)methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



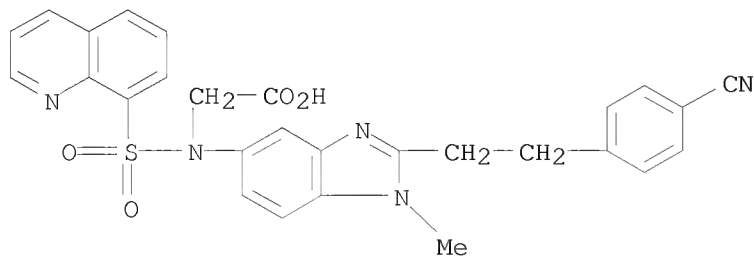
RN 256492-56-5 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenoxy)methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



RN 256492-59-8 HCAPLUS

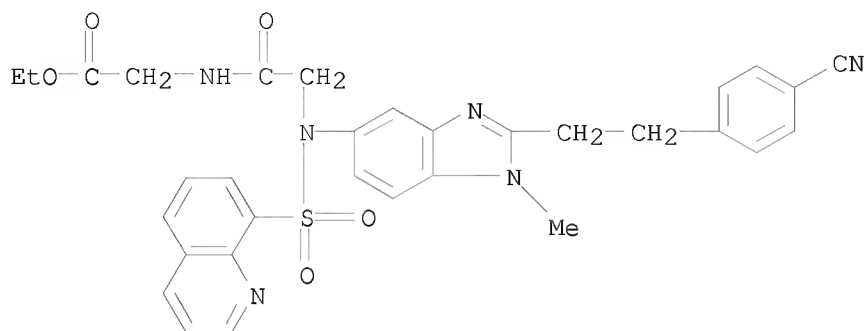
CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)



10573054

RN 256492-60-1 HCAPLUS

CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD
(9 CITINGS)

L18 ANSWER 16 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:505930 HCAPLUS

DOCUMENT NUMBER: 131:157761

TITLE: 5-Membered heterocyclic condensed benzo derivatives,
their preparation, and their use as drugs

INVENTOR(S): Ries, Uwe; Haeu, Norbert; Mihm, Gerhard; Priepke,
Henning; Binder, Klaus; Stassen, Jean Marie; Wienen,
Wolfgang; Zimmermann, Rainer

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 94 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19804085	A1	19990805	DE 1998-19804085	19980203 <--
CA 2319494	A1	19990812	CA 1999-2319494	19990128 <--
WO 9940072	A1	19990812	WO 1999-EP537	19990128 <--
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 9927201	A	19990823	AU 1999-27201	19990128 <--
EP 1060166	A1	20001220	EP 1999-907437	19990128 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2002502844	T	20020129	JP 2000-530502	19990128 <--

US 6114532	A	20000905	US 1999-243200	19990202 <--
MX 2000005785	A	20010123	MX 2000-5785	20000612 <--
PRIORITY APPLN. INFO.:			DE 1998-19804085	A 19980203
			US 1998-77694P	P 19980312
			DE 1998-19834325	A 19980730
			WO 1999-EP537	W 19990128

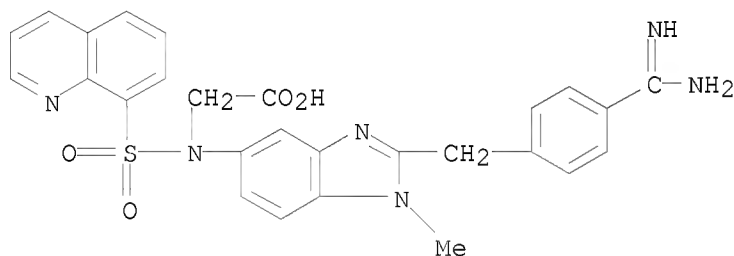
OTHER SOURCE(S): MARPAT 131:157761

AB Approx. 300 antithrombotic title compds. such as
 4-[5-[N-(8-quinolylsulfonyl)-N-(carboxymethyl)amino]-1-methyl-1H-benzimidazol-2-ylmethyl]benzamidinium hydrochloride (I),
 4-[5-[N-(benzenesulfonyl)-N-[2-(dimethylamino)ethyl]amino]-1-benzyl-1H-benzimidazol-2-ylmethyl]benzamidinium dihydrochloride,
 4-[5-[N-(3-carboxypropionyl)-N-(cyclopentyl)amino]-1-methyl-1H-benzimidazol-2-ylmethyl]benzamidinium hydrochloride (II), and
 4-[5-[N-(8-quinolylsulfonyl)-N-(carboxymethyl)amino]-1-methyl-1H-benzothiazol-2-ylmethyl]benzamidinium hydrochloride were prepared by standard methods. The ED₂₀₀ in μ M for I was 0.92 and for II was 0.82.
 Formulations for the antithrombotics were given.

IT 237750-48-0P 237750-49-1P 237750-50-4P
 237750-51-5P 237750-53-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and antithrombotic activity of
 benzimidazolylmethylbenzamidines)

RN 237750-48-0 HCAPLUS

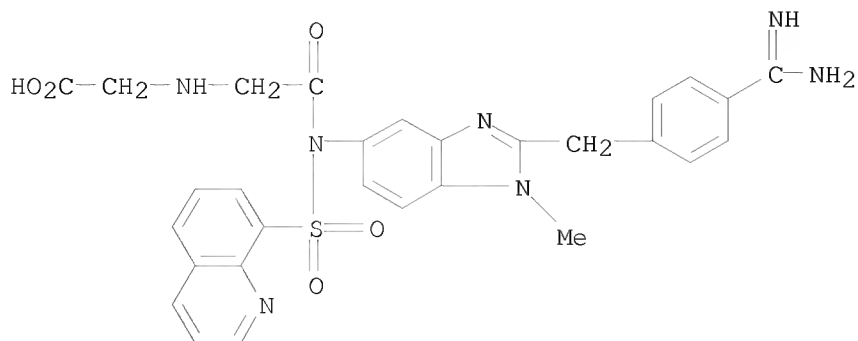
CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)



RN 237750-49-1 HCAPLUS

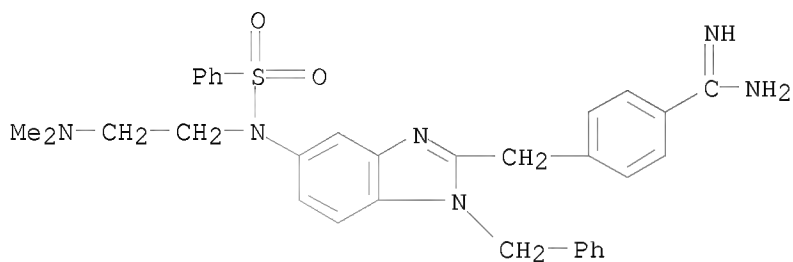
CN Glycine, N-[2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-2-oxoethyl]- (CA INDEX NAME)

10573054



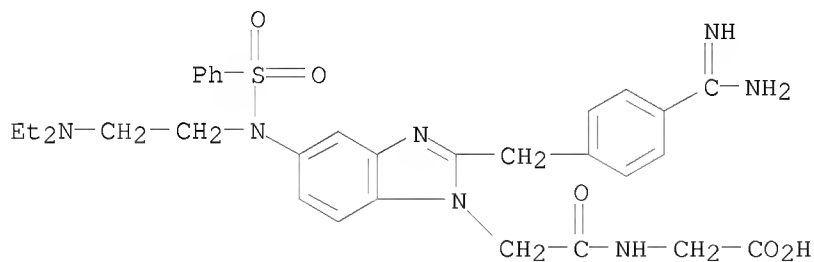
RN 237750-50-4 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1-(phenylmethyl)-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)



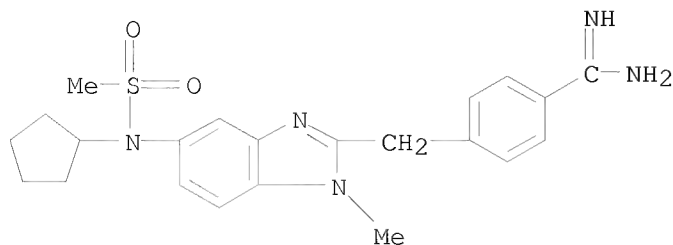
RN 237750-51-5 HCAPLUS

CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]- (9CI) (CA INDEX NAME)



RN 237750-53-7 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[cyclopentyl(methylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)



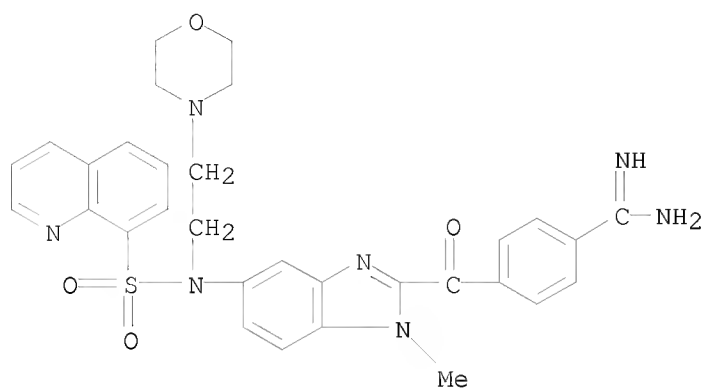
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	237750-84-4	237750-85-5	237750-86-6
	237750-87-7	237750-88-8	237750-96-8
	237750-97-9	237750-98-0	237750-99-1
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	237752-26-0	237752-27-1	237752-28-2
	237752-29-3		

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation and antithrombotic activity of
 benzimidazolylmethylbenzamidines)

RN 236414-82-7 HCAPLUS

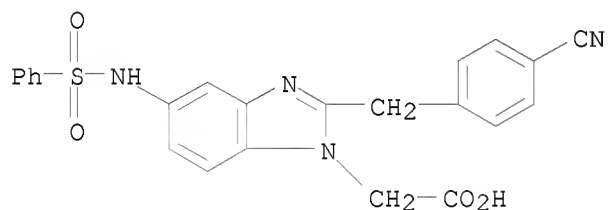
CN Benzenecarboximidamide, 4-[[[1-methyl-5-[[2-(4-morpholinyl)ethyl]](8-quinolinyl)sulfonyl]amino]-1H-benzimidazol-2-yl]carbonyl]-, hydrochloride
 (1:2) (CA INDEX NAME)

10573054


$$\bullet 2 \text{ HCl}$$

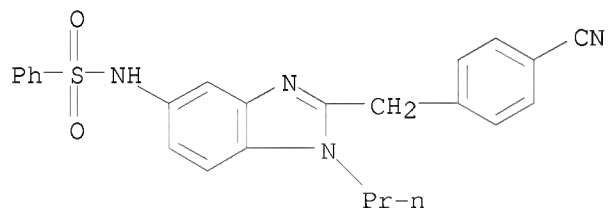
RN 236418-60-3 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenyl)methyl]-5-
[(phenylsulfonyl)amino]- (CA INDEX NAME)



RN 237750-76-4 HCAPLUS

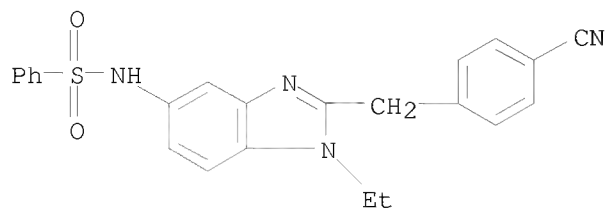
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-propyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 237750-78-6 HCAPLUS

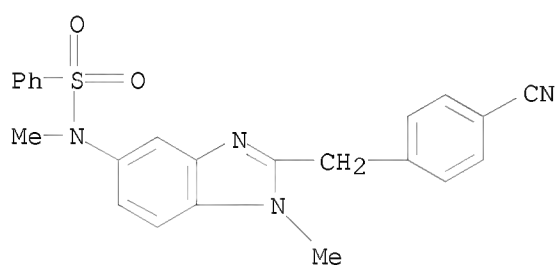
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

10573054



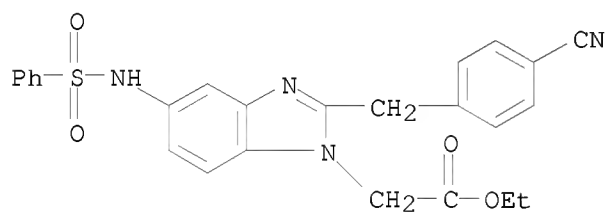
RN 237750-79-7 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)



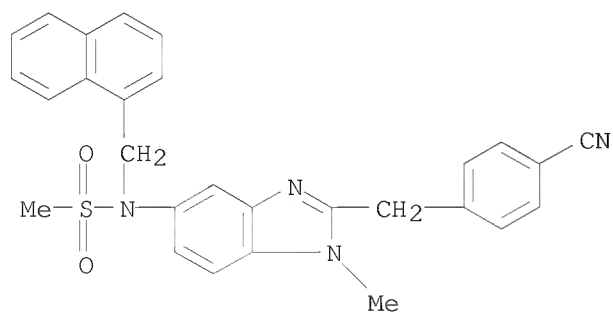
RN 237750-80-0 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenyl)methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



RN 237750-81-1 HCAPLUS

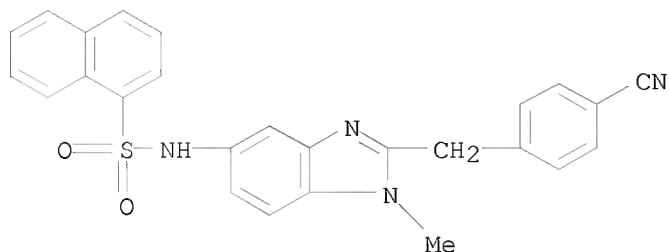
CN Methanesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(1-naphthalenylmethyl)- (CA INDEX NAME)



10573054

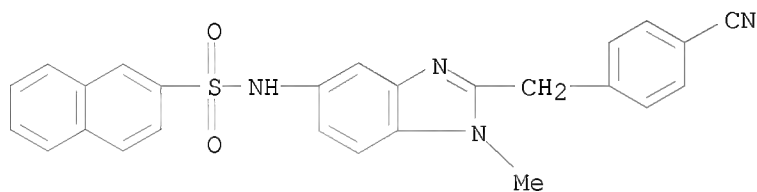
RN 237750-82-2 HCAPLUS

CN 1-Naphthalenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



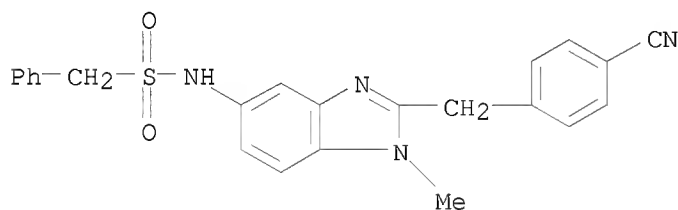
RN 237750-83-3 HCAPLUS

CN 2-Naphthalenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 237750-84-4 HCAPLUS

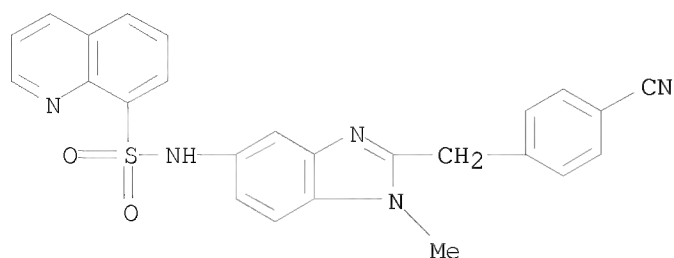
CN Benzenemethanesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 237750-85-5 HCAPLUS

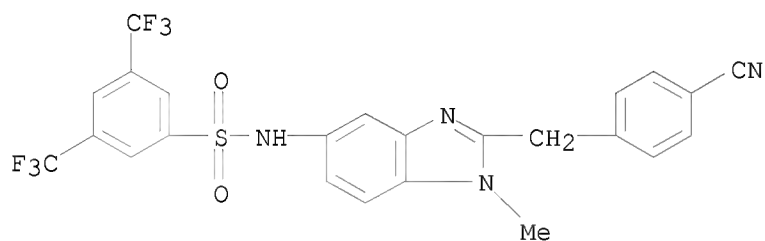
CN 8-Quinolinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

10573054



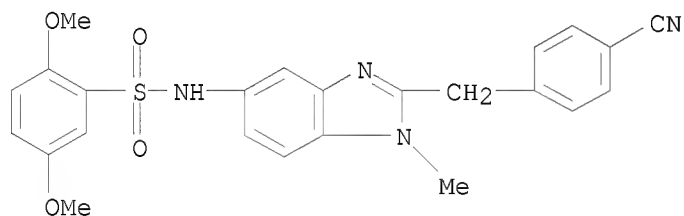
RN 237750-86-6 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-3,5-bis(trifluoromethyl)- (CA INDEX NAME)



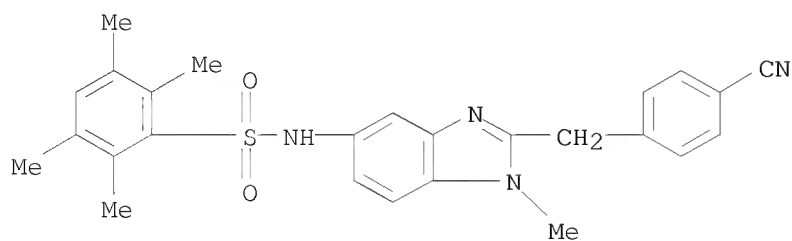
RN 237750-87-7 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-2,5-dimethoxy- (CA INDEX NAME)



RN 237750-88-8 HCAPLUS

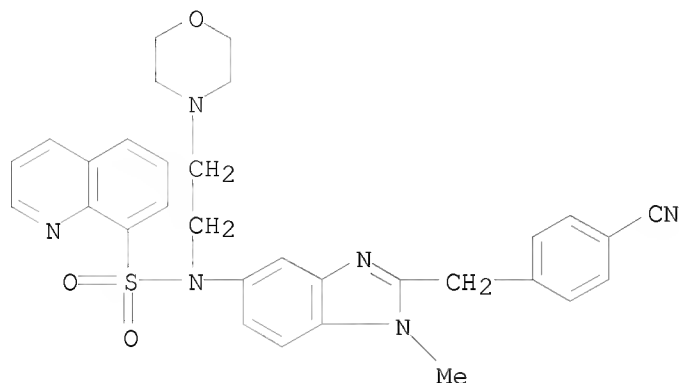
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-2,3,5,6-tetramethyl- (CA INDEX NAME)



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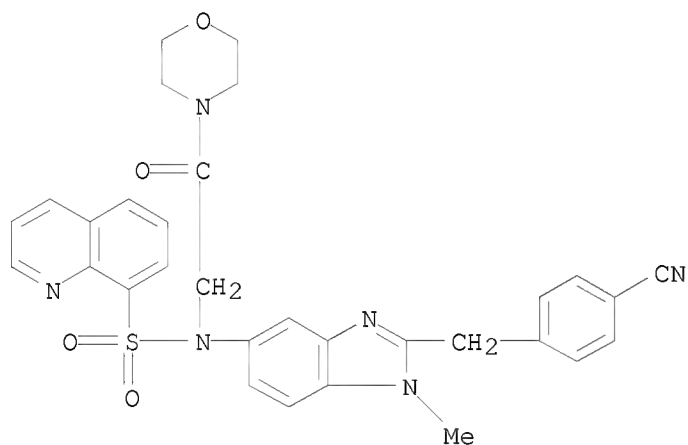
RN 237750-96-8 HCAPLUS

CN 8-Quinolinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(4-morpholinyl)ethyl]- (CA INDEX NAME)



RN 237750-97-9 HCAPLUS

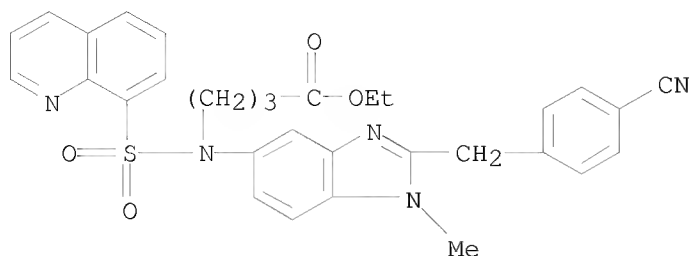
CN 8-Quinolinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(4-morpholinyl)-2-oxoethyl]- (CA INDEX NAME)



RN 237750-98-0 HCAPLUS

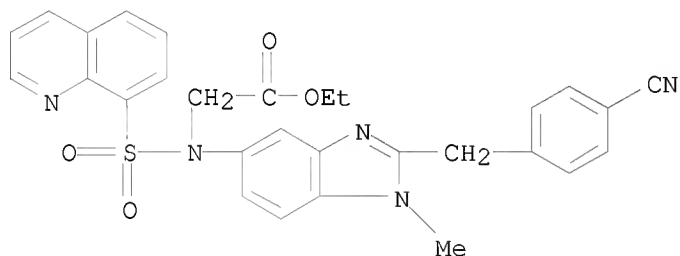
CN Butanoic acid, 4-[[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

10573054



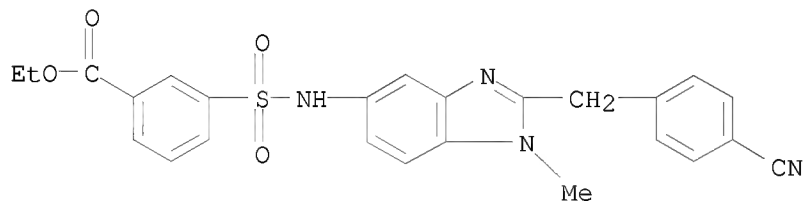
RN 237750-99-1 HCAPLUS

CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



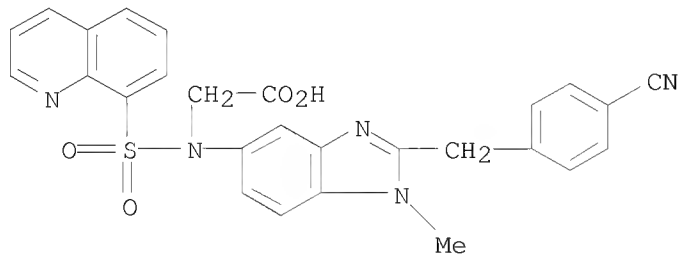
RN 237751-01-8 HCAPLUS

CN Benzoic acid, 3-[[[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]amino]sulfonyl]-, ethyl ester (CA INDEX NAME)



RN 237751-06-3 HCAPLUS

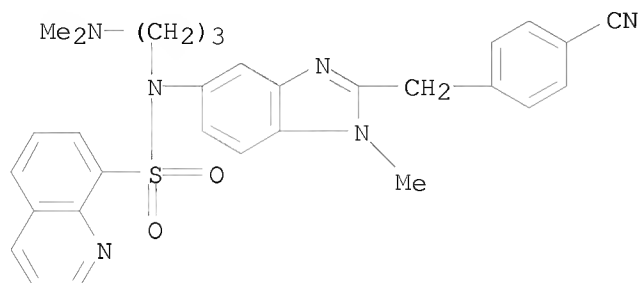
CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)



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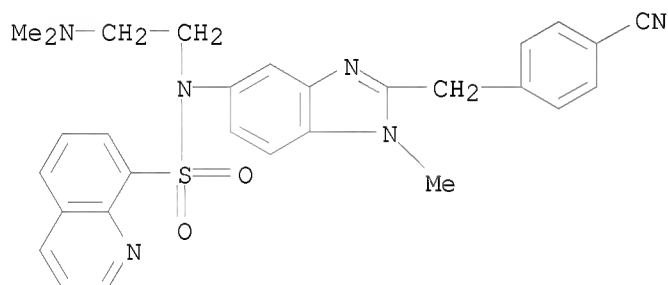
RN 237751-07-4 HCAPLUS

CN 8-Quinolinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-[3-(dimethylamino)propyl]- (CA INDEX NAME)



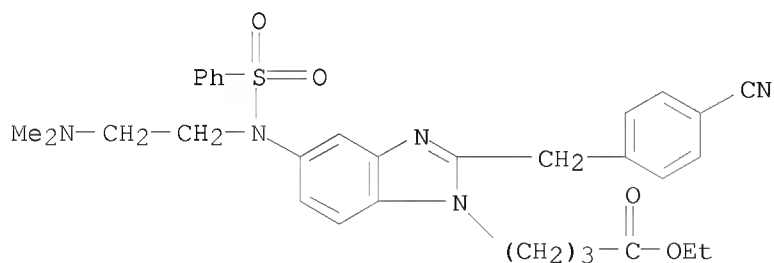
RN 237751-08-5 HCAPLUS

CN 8-Quinolinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(dimethylamino)ethyl]- (CA INDEX NAME)



RN 237751-09-6 HCAPLUS

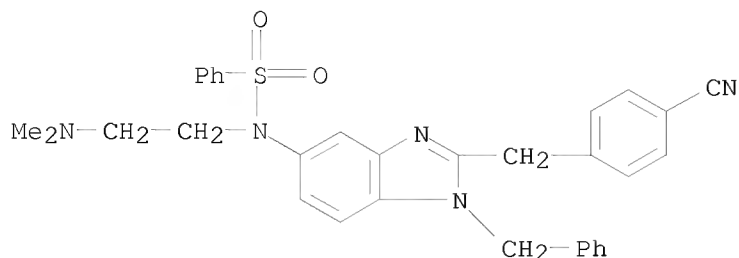
CN 1H-Benzimidazole-1-butanoic acid, 2-[(4-cyanophenyl)methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



RN 237751-10-9 HCAPLUS

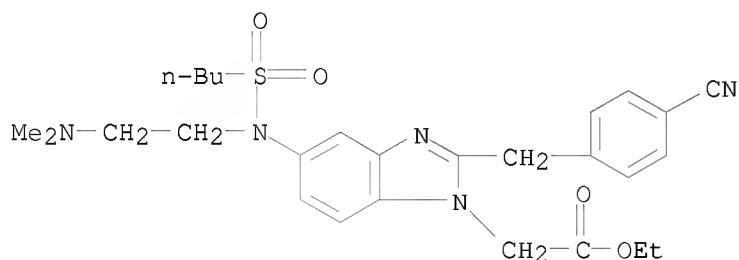
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl]-N-[2-(dimethylamino)ethyl]- (CA INDEX NAME)

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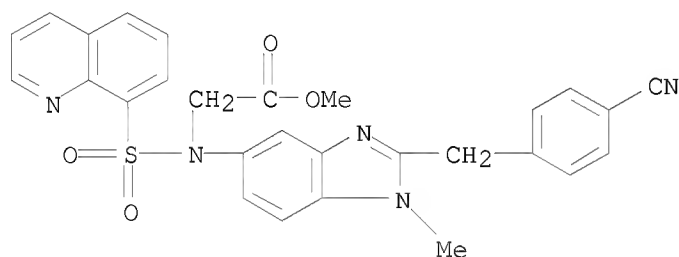
RN 237751-11-0 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 5-[(butylsulfonyl)[2-(dimethylamino)ethyl]amino]-2-[(4-cyanophenyl)methyl]-, ethyl ester (CA INDEX NAME)



RN 237751-12-1 HCAPLUS

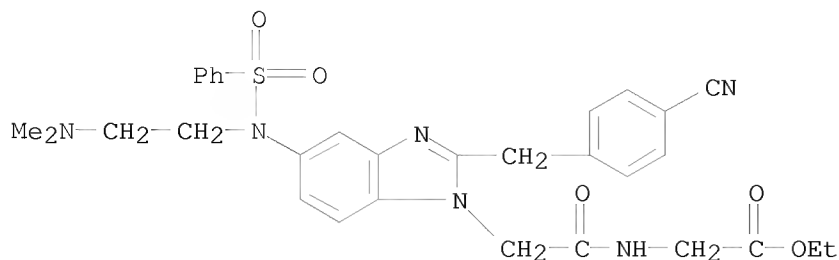
CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 237751-13-2 HCAPLUS

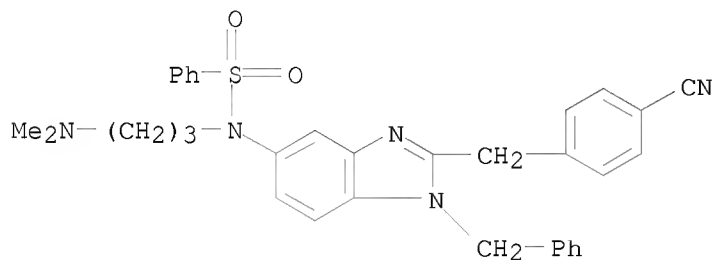
CN Glycine, N-[[2-[(4-cyanophenyl)methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

10573054



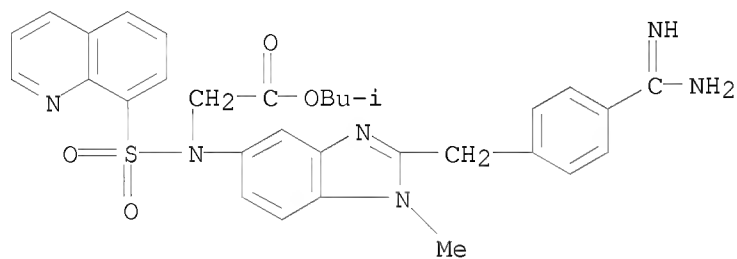
RN 237751-14-3 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl]-N-[3-(dimethylamino)propyl]- (CA INDEX NAME)



RN 237751-15-4 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, 2-methylpropyl ester, monohydrochloride (9CI) (CA INDEX NAME)

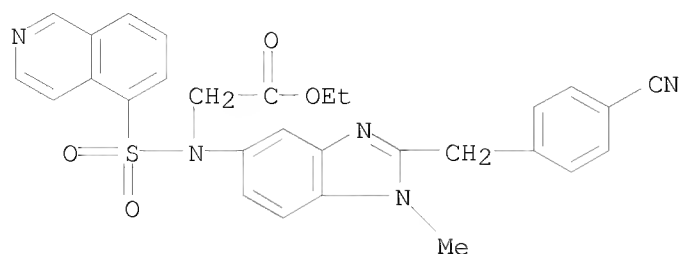


● HCl

RN 237751-16-5 HCAPLUS

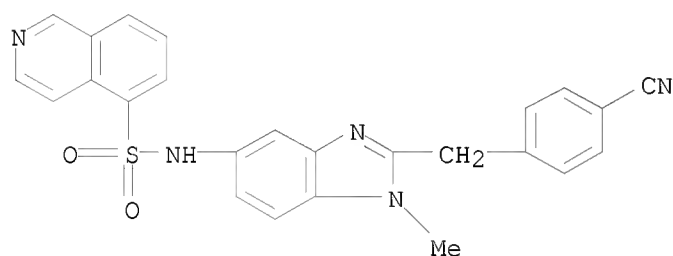
CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(5-isoquinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

10573054



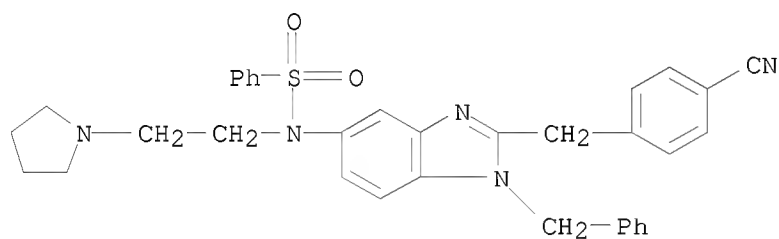
RN 237751-17-6 HCAPLUS

CN 5-Isoquinolinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 237751-18-7 HCAPLUS

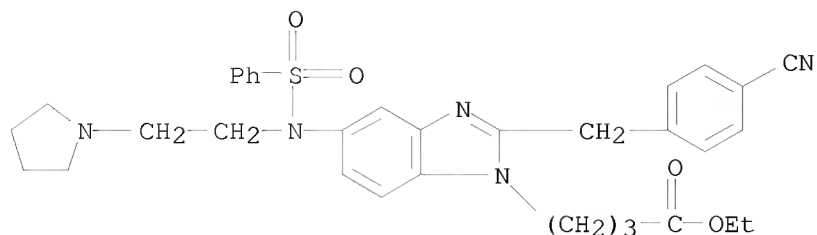
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl]-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)



RN 237751-19-8 HCAPLUS

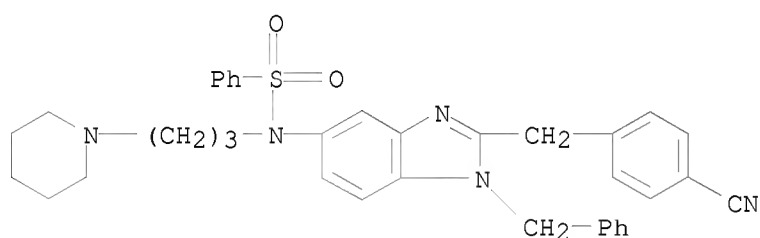
CN 1H-Benzimidazole-1-butanoic acid, 2-[(4-cyanophenyl)methyl]-5-[(phenylsulfonyl)[2-(1-pyrrolidinyl)ethyl]amino]-, ethyl ester (CA INDEX NAME)

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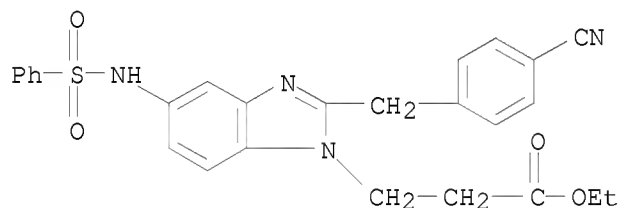
RN 237751-20-1 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl]-N-[3-(1-piperidiny)propyl]- (CA INDEX NAME)



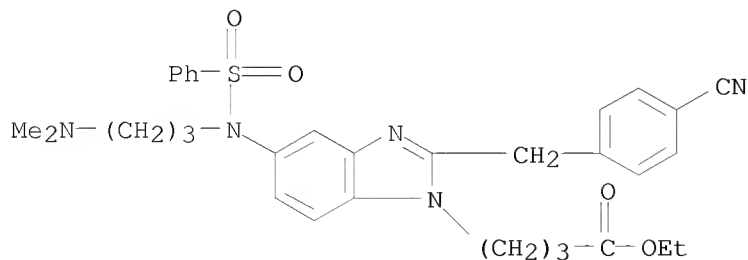
RN 237751-21-2 HCAPLUS

CN 1H-Benzimidazole-1-propanoic acid,
2-[(4-cyanophenyl)methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester (CA
INDEX NAME)



RN 237751-22-3 HCAPLUS

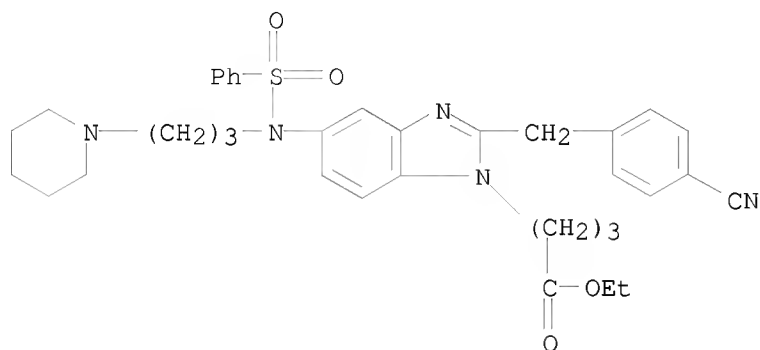
CN 1H-Benzimidazole-1-butanoic acid, 2-[(4-cyanophenyl)methyl]-5-[[3-(dimethylamino)propyl](phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



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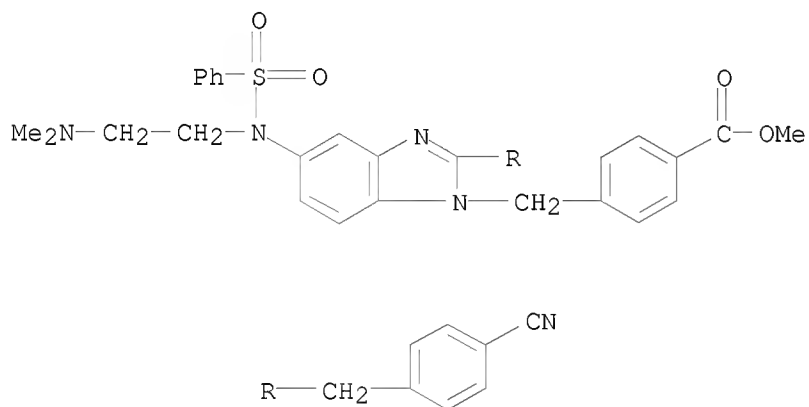
RN 237751-23-4 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[(4-cyanophenyl)methyl]-5-[(phenylsulfonyl)[3-(1-piperidiny)propyl]amino]-, ethyl ester (CA INDEX NAME)



RN 237751-24-5 HCAPLUS

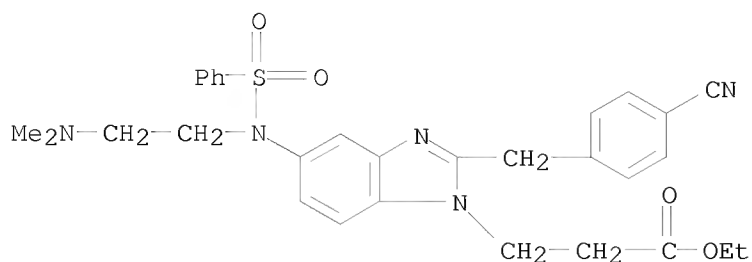
CN Benzoic acid, 4-[[2-[(4-cyanophenyl)methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]methyl]-, methyl ester (CA INDEX NAME)



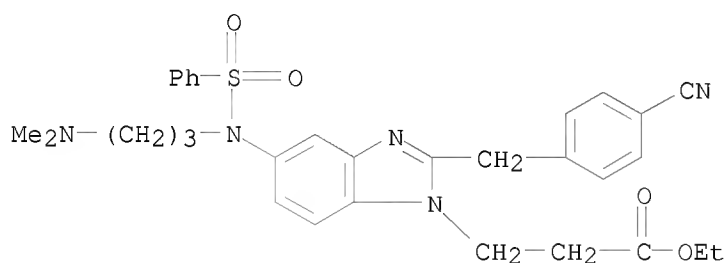
RN 237751-25-6 HCAPLUS

CN 1H-Benzimidazole-1-propanoic acid, 2-[(4-cyanophenyl)methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

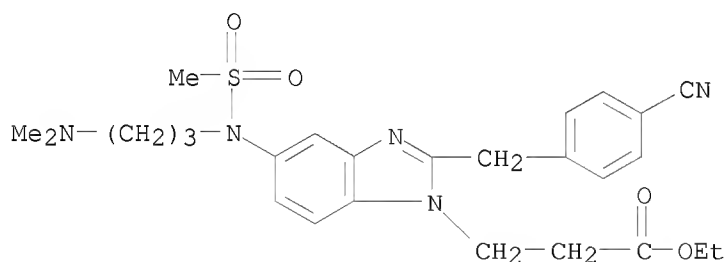
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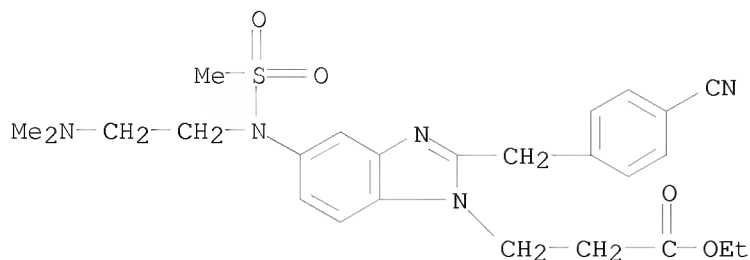
RN 237751-26-7 HCAPLUS
CN 1H-Benzimidazole-1-propanoic acid,
2-[(4-cyanophenyl)methyl]-5-[[3-(
(dimethylamino)propyl](phenylsulfonyl)amino]-, ethyl ester (CA INDEX
NAME)



RN 237751-28-9 HCAPLUS
CN 1H-Benzimidazole-1-propanoic acid,
2-[(4-cyanophenyl)methyl]-5-[[3-(
(dimethylamino)propyl](methylsulfonyl)amino]-, ethyl ester (CA INDEX
NAME)

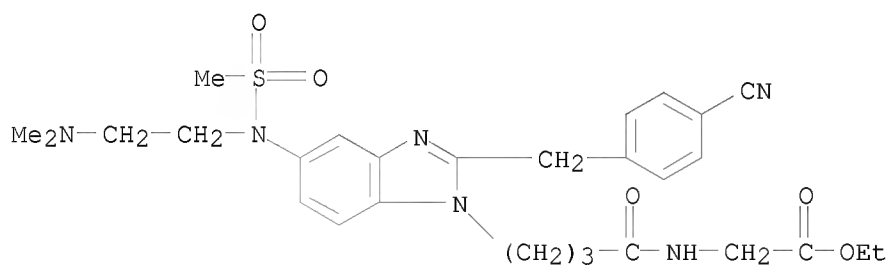


RN 237751-29-0 HCAPLUS
CN 1H-Benzimidazole-1-propanoic acid,
2-[(4-cyanophenyl)methyl]-5-[[2-(
(dimethylamino)ethyl](methylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



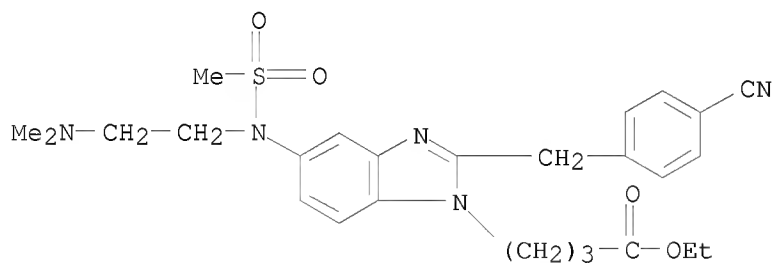
RN 237751-33-6 HCAPLUS

CN Glycine, N-[4-[2-[(4-cyanophenyl)methyl]-5-[[2-(dimethylamino)ethyl](methylsulfonyl)amino]-1H-benzimidazol-1-yl]-1-oxobutyl]-, ethyl ester (CA INDEX NAME)



RN 237751-34-7 HCAPLUS

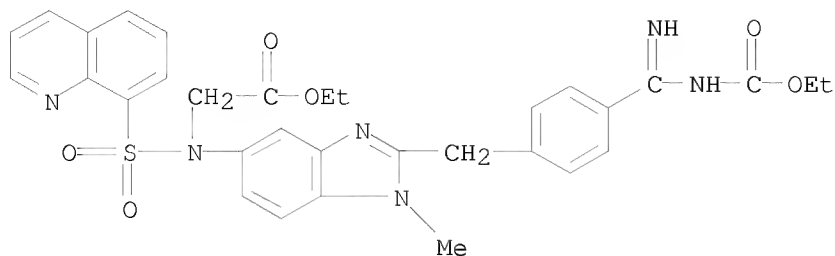
CN 1H-Benzimidazole-1-butanoic acid, 2-[(4-cyanophenyl)methyl]-5-[[2-(dimethylamino)ethyl](methylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



RN 237751-36-9 HCAPLUS

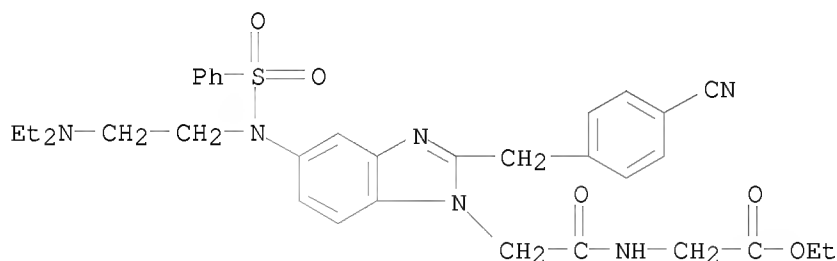
CN Glycine, N-[2-[[4-[[[(ethoxycarbonyl)amino]iminomethyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

10573054

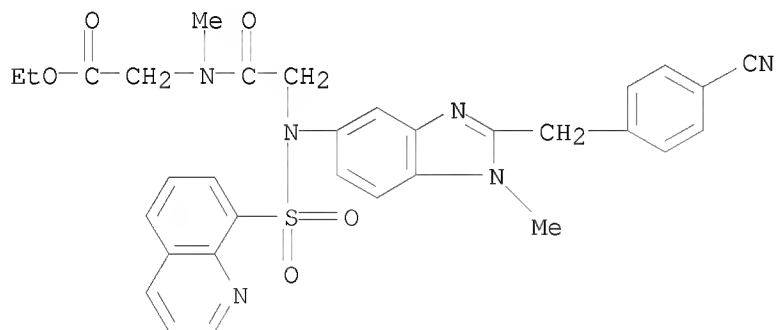


● HCl

RN 237751-37-0 HCAPLUS
 CN Glycine, N-[[2-[(4-cyanophenyl)methyl]-5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

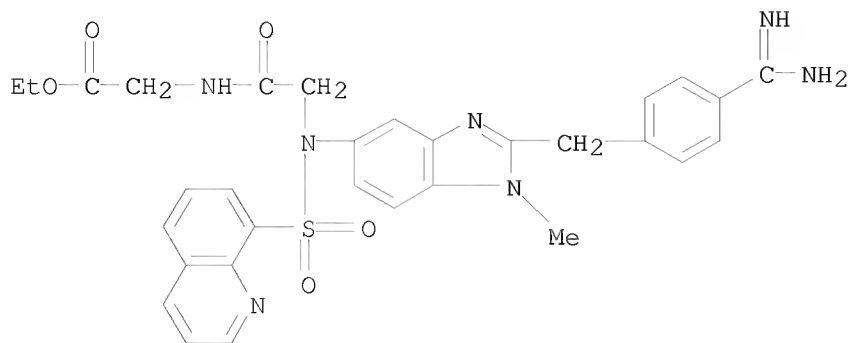


RN 237751-41-6 HCAPLUS
 CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-N-methyl-, ethyl ester (CA INDEX NAME)



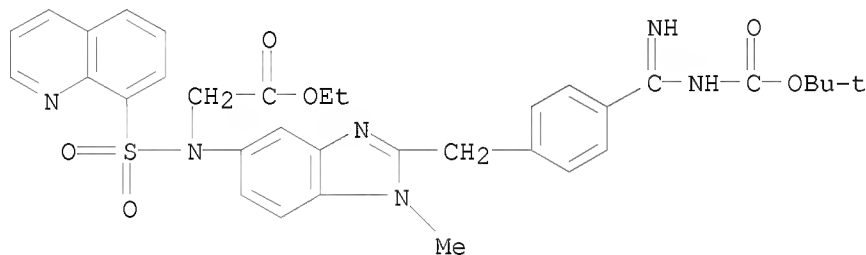
RN 237751-43-8 HCAPLUS
 CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

10573054

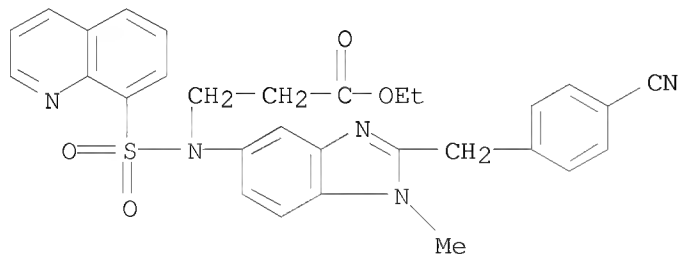


● HCl

RN 237751-52-9 HCAPLUS
 CN Glycine, N-[2-[[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

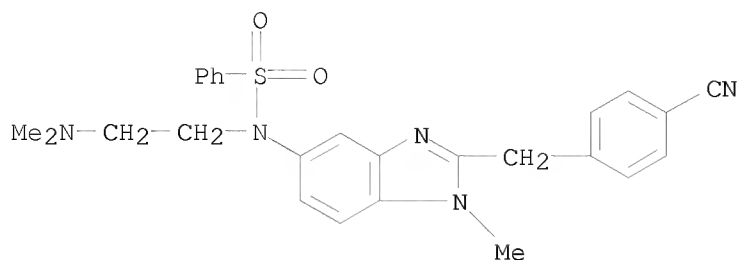


RN 237751-62-1 HCAPLUS
 CN β-Alanine, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



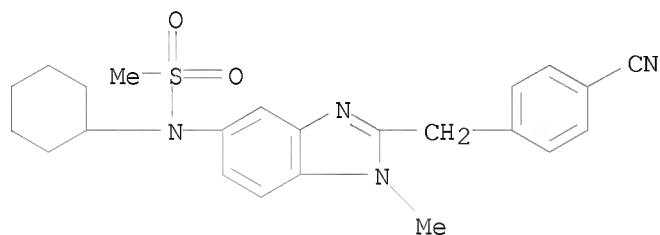
RN 237751-64-3 HCAPLUS
 CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(dimethylamino)ethyl]- (CA INDEX NAME)

10573054



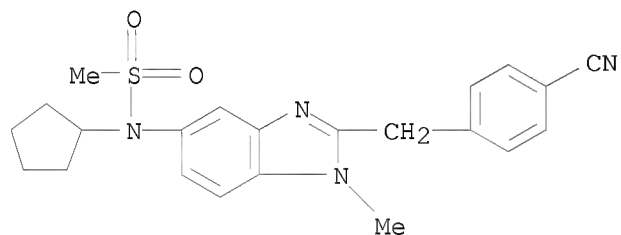
RN 237751-66-5 HCAPLUS

CN Methanesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-cyclohexyl- (CA INDEX NAME)



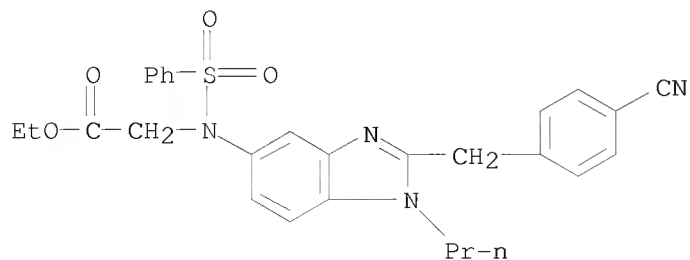
RN 237751-67-6 HCAPLUS

CN Methanesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-cyclopentyl- (CA INDEX NAME)



RN 237751-94-9 HCAPLUS

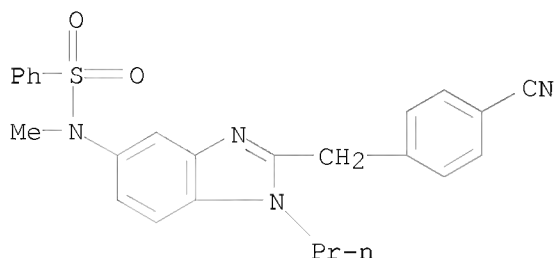
CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-propyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)



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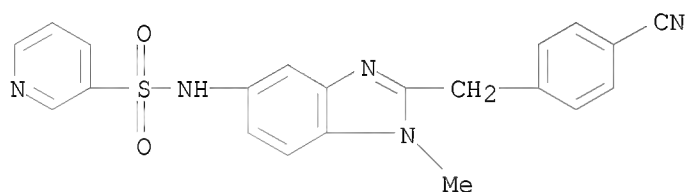
RN 237751-95-0 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-propyl-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)



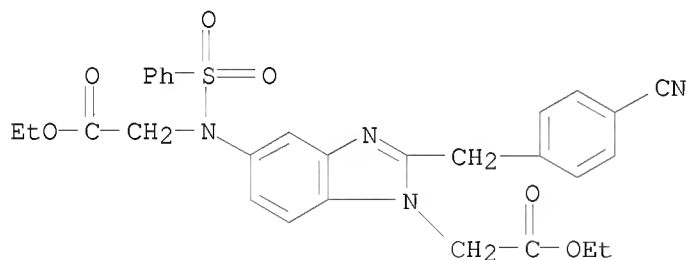
RN 237751-99-4 HCAPLUS

CN 3-Pyridinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 237752-00-0 HCAPLUS

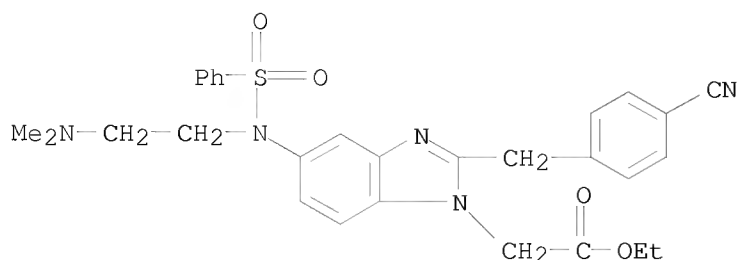
CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenyl)methyl]-5-[(2-ethoxy-2-oxoethyl)(phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



RN 237752-01-1 HCAPLUS

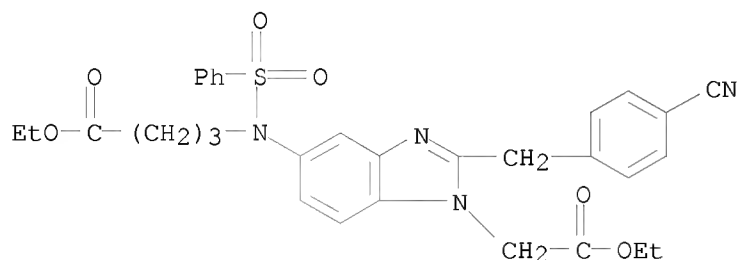
CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenyl)methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

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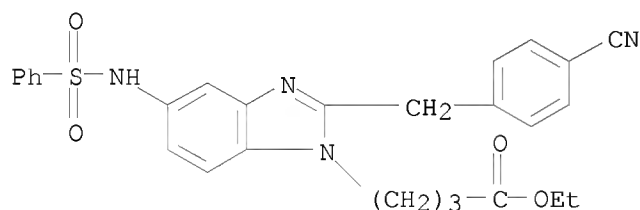
RN 237752-07-7 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenyl)methyl]-5-[(4-ethoxy-4-oxobutyl)(phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



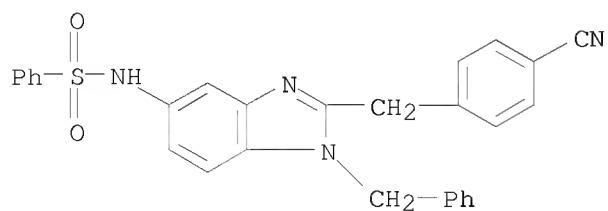
RN 237752-09-9 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[(4-cyanophenyl)methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



RN 237752-10-2 HCAPLUS

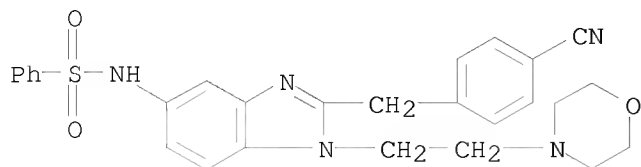
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 237752-11-3 HCAPLUS

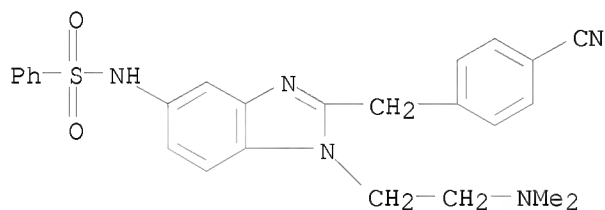
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CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)



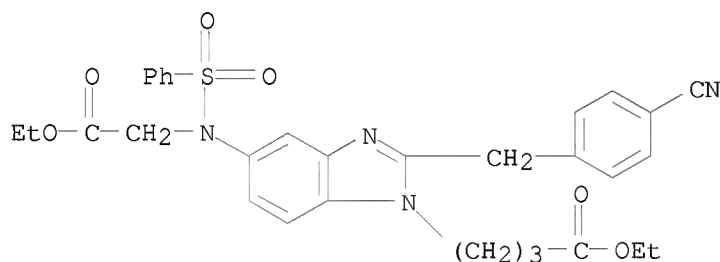
RN 237752-12-4 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-[2-(dimethylamino)ethyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)



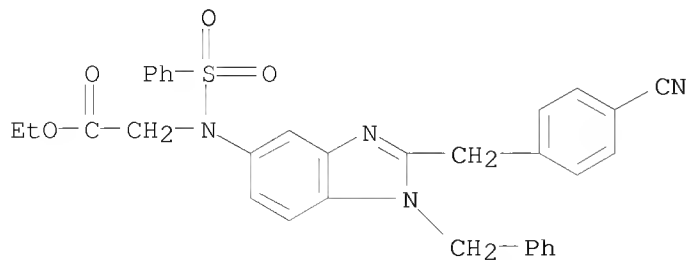
RN 237752-13-5 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[(4-cyanophenyl)methyl]-5-[(2-ethoxy-2-oxoethyl)(phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



RN 237752-14-6 HCAPLUS

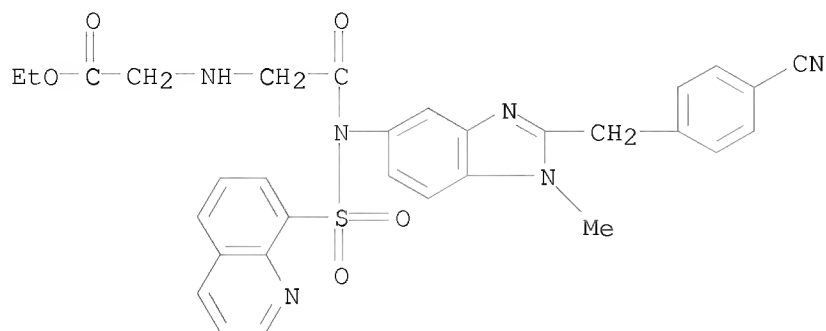
CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)



10573054

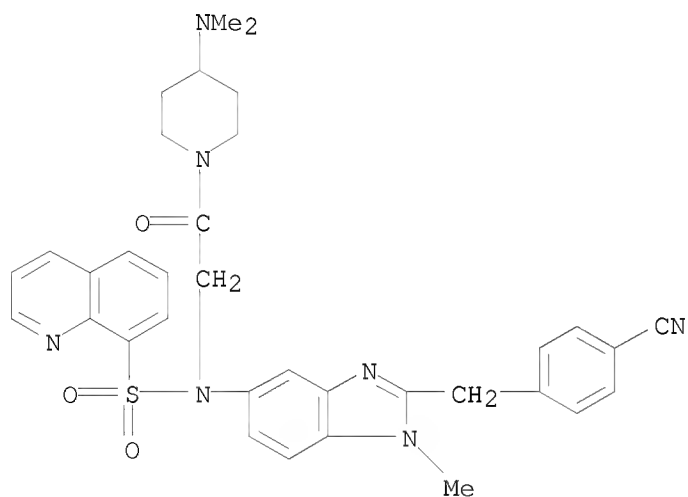
RN 237752-16-8 HCAPLUS

CN Glycine, N-[2-[[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-2-oxoethyl]-, ethyl ester (CA INDEX NAME)



RN 237752-17-9 HCAPLUS

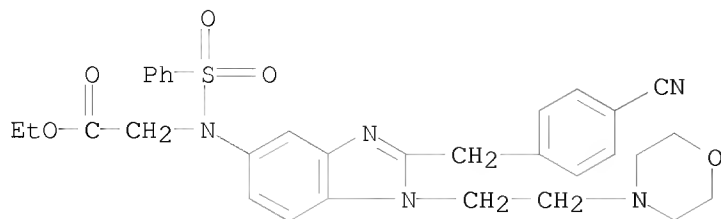
CN 8-Quinolinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-[4-(dimethylamino)-1-piperidinyl]-2-oxoethyl]- (CA INDEX NAME)



RN 237752-18-0 HCAPLUS

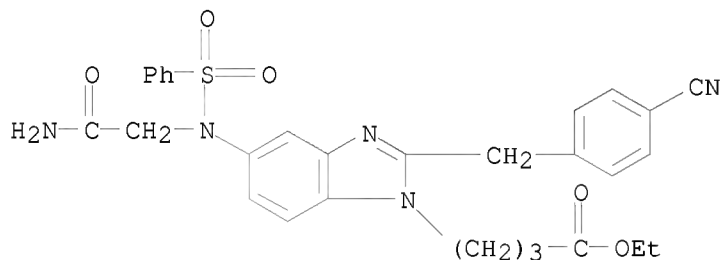
CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

10573054



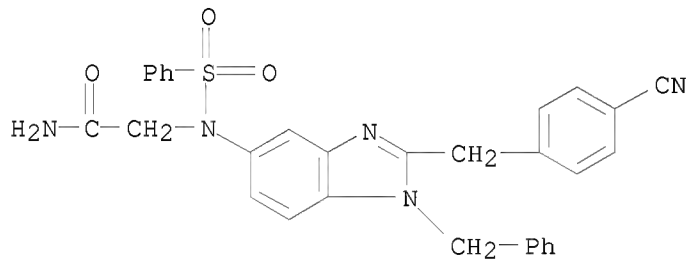
RN 237752-19-1 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 5-[(2-amino-2-oxoethyl)(phenylsulfonyl)amino]-2-[(4-cyanophenyl)methyl]-, ethyl ester (CA INDEX NAME)



RN 237752-20-4 HCAPLUS

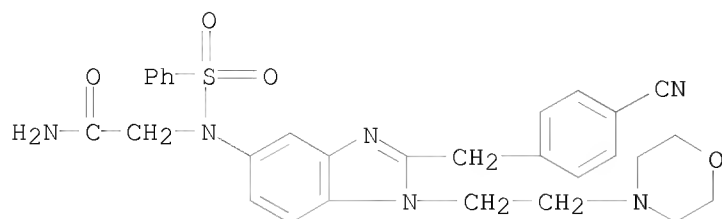
CN Acetamide, 2-[[2-[(4-cyanophenyl)methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl](phenylsulfonyl)amino]- (CA INDEX NAME)



RN 237752-21-5 HCAPLUS

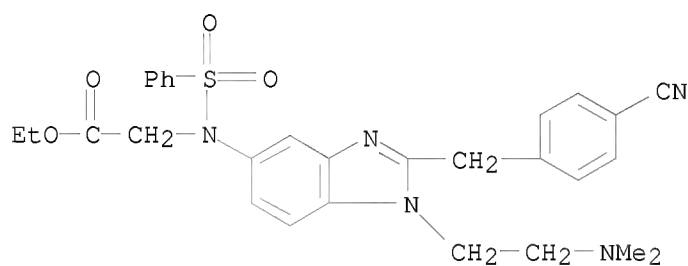
CN Acetamide, 2-[[2-[(4-cyanophenyl)methyl]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-5-yl](phenylsulfonyl)amino]- (CA INDEX NAME)

10573054



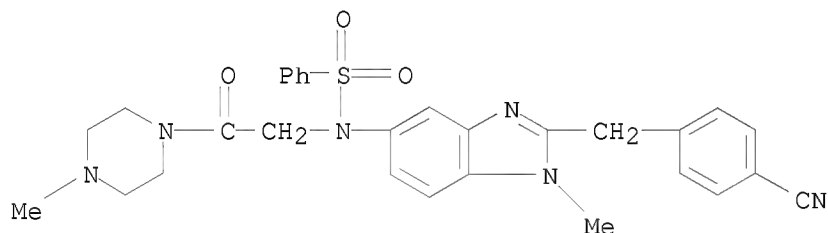
RN 237752-22-6 HCAPLUS

CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-[2-(dimethylamino)ethyl]-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 237752-23-7 HCAPLUS

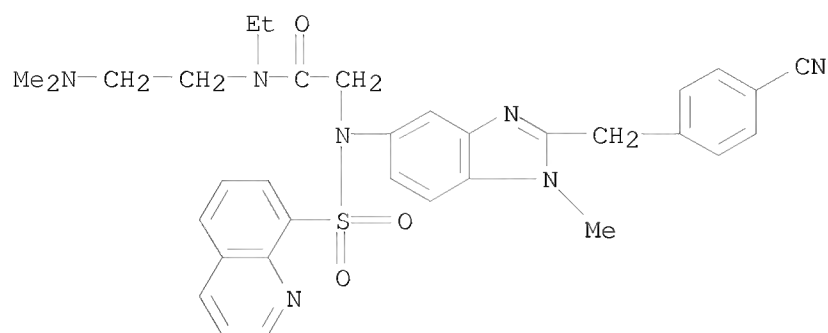
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(4-methyl-1-piperazinyl)-2-oxoethyl]- (CA INDEX NAME)



RN 237752-24-8 HCAPLUS

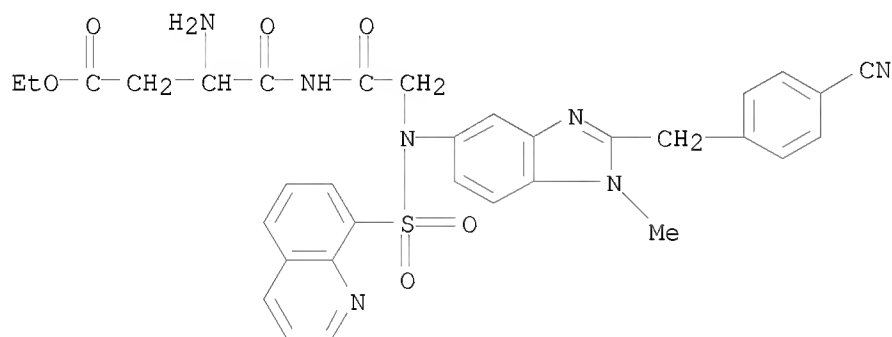
CN Acetamide, 2-[[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-N-[2-(dimethylamino)ethyl]-N-ethyl- (CA INDEX NAME)

10573054



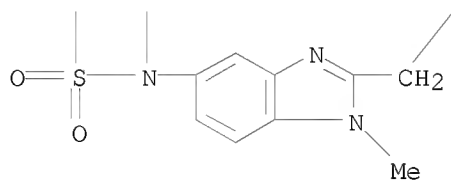
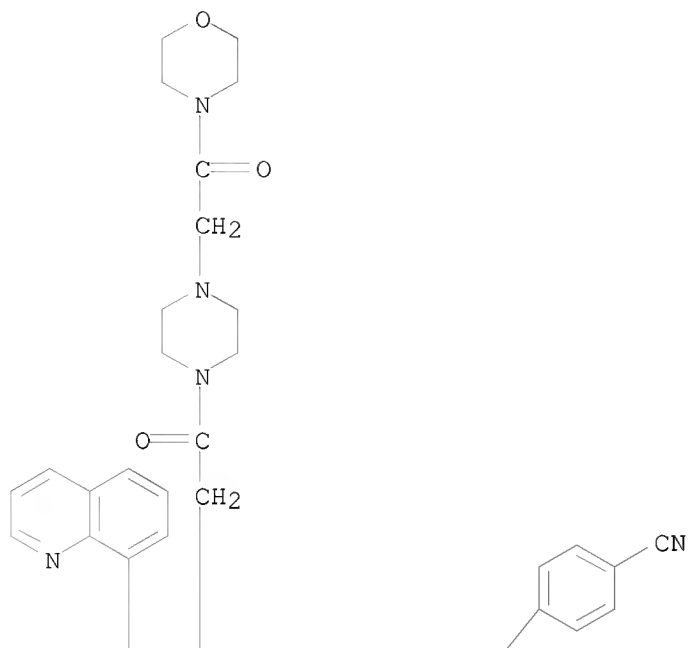
RN 237752-25-9 HCAPLUS

Butanoic acid, 3-amino-4-[[[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]acetyl]amino]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



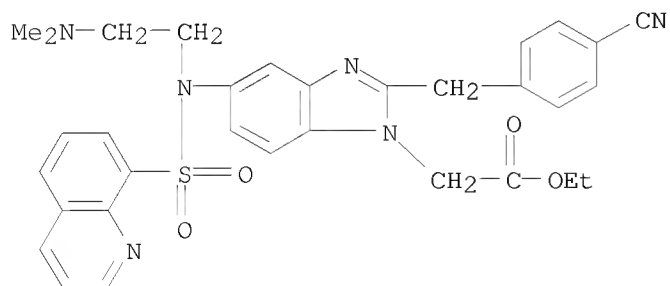
RN 237752-26-0 HCAPLUS

CN 8-Quinolinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-[4-[2-(4-morpholinyl)-2-oxoethyl]-1-piperazinyl]-2-oxoethyl]- (CA INDEX NAME)



RN 237752-27-1 HCAPLUS

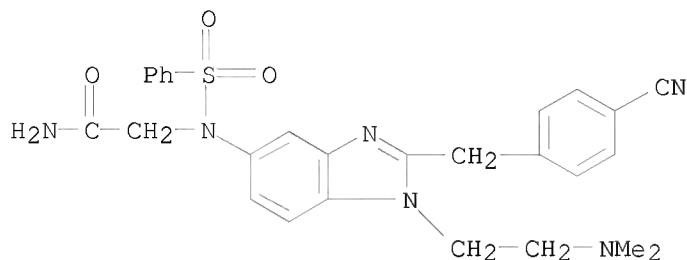
CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenyl)methyl]-5-[[2-(dimethylamino)ethyl](8-quinolinylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)



10573054

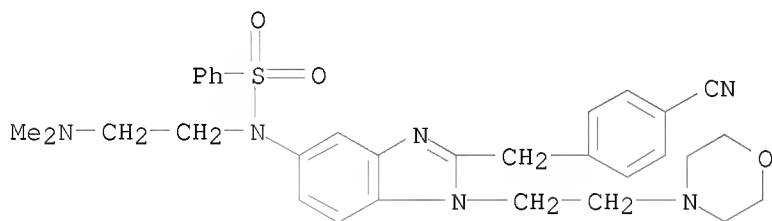
RN 237752-28-2 HCAPLUS

CN Acetamide, 2-[[2-[(4-cyanophenyl)methyl]-1-[2-(dimethylamino)ethyl]-1H-benzimidazol-5-yl](phenylsulfonyl)amino]- (CA INDEX NAME)



RN 237752-29-3 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-5-yl]-N-[2-(dimethylamino)ethyl]- (CA INDEX NAME)



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	236415-39-7P	236415-43-3P	236415-48-8P
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	236415-85-3P	236415-94-4P	236415-95-5P
	236416-35-6P	236417-29-1P	236417-31-5P
	236417-32-6P	236417-38-2P	236417-39-3P
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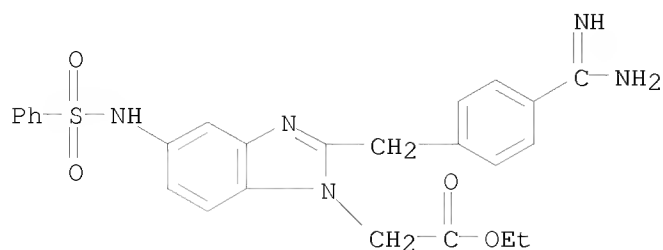
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and antithrombotic activity of benzimidazolylmethylbenzamidines)

RN 236414-44-1 HCAPLUS

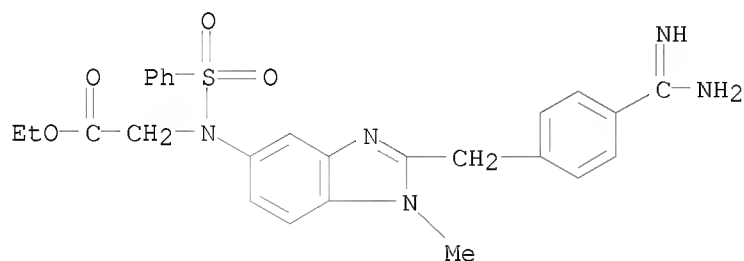
CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

10573054



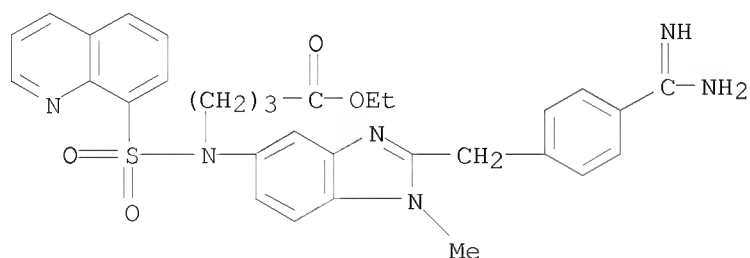
● HCl

RN 236414-46-3 HCAPLUS
 CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 236414-71-4 HCAPLUS
 CN Butanoic acid, 4-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

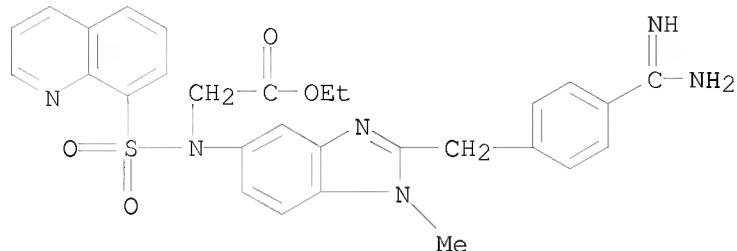


● HCl

10573054

RN 236414-72-5 HCAPLUS

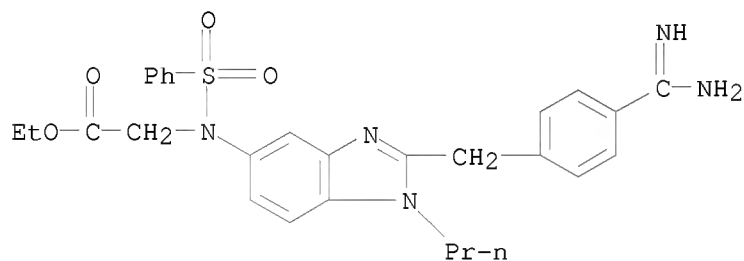
CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 236414-89-4 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-propyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

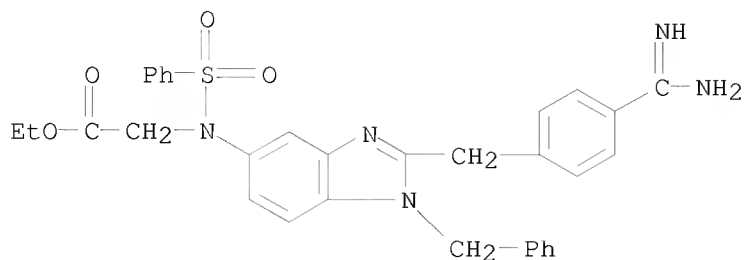


● HCl

RN 236415-12-6 HCAPLUS

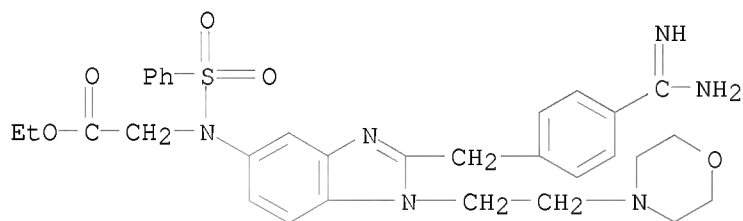
CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

10573054



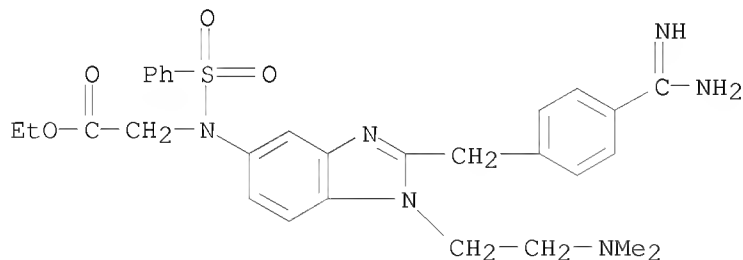
● HCl

RN 236415-16-0 HCAPLUS
CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 236415-22-8 HCAPLUS
CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(dimethylamino)ethyl]-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

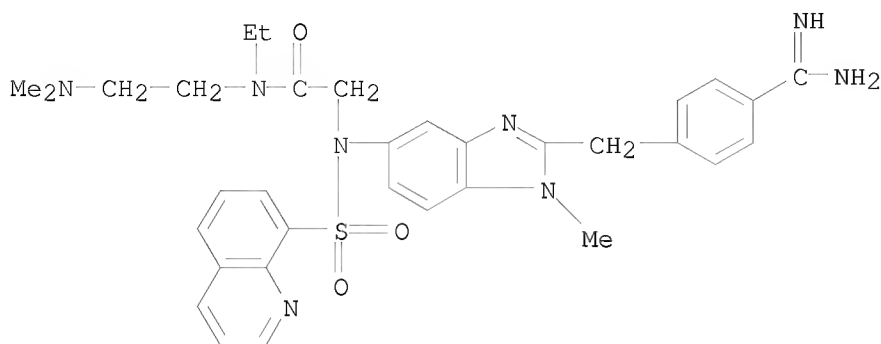


●2 HCl

10573054

RN 236415-28-4 HCAPLUS

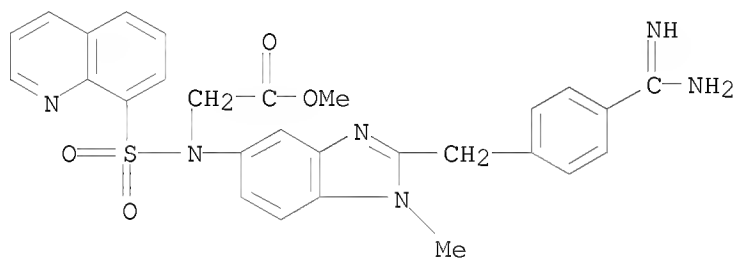
CN Acetamide, 2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-N-[2-(dimethylamino)ethyl]-N-ethyl-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 236415-39-7 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

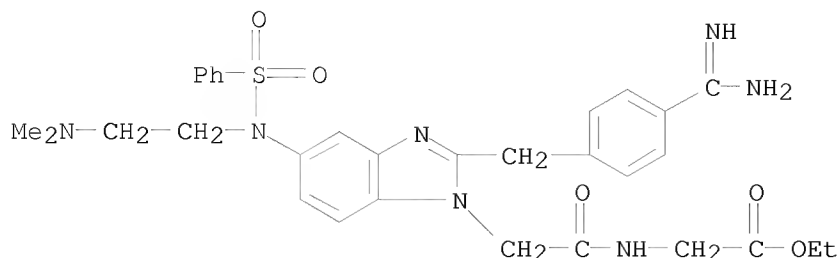


● HCl

RN 236415-43-3 HCAPLUS

CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

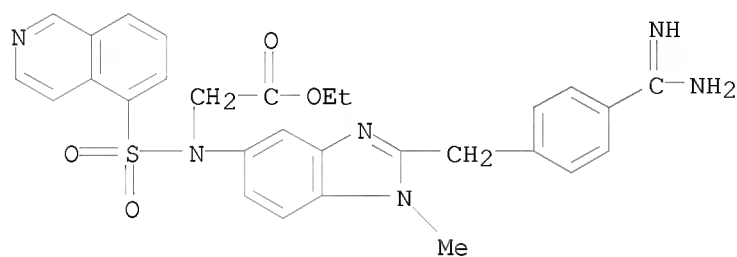
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● 2 HCl

RN 236415-48-8 HCAPLUS

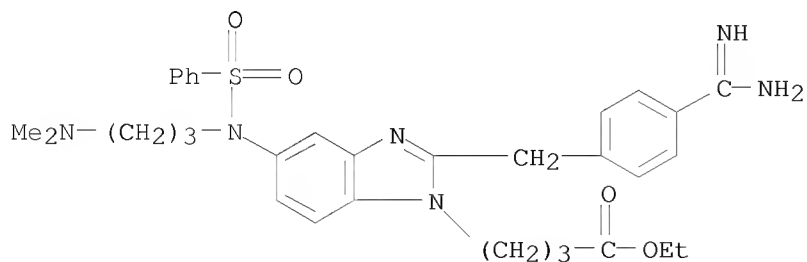
CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(5-isoquinolinylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 236415-56-8 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[3-(dimethylamino)propyl](phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)

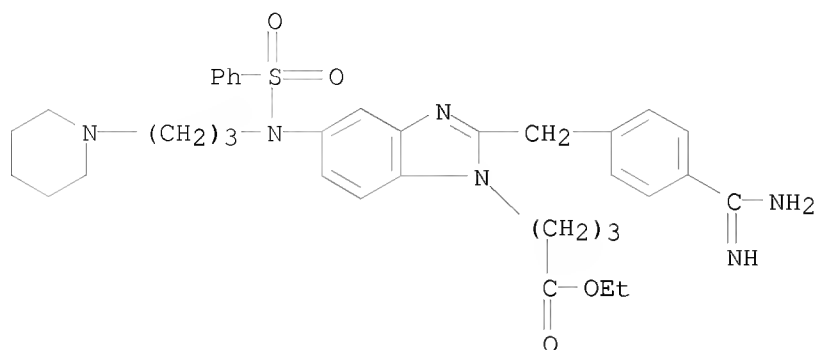


● 2 HCl

10573054

RN 236415-57-9 HCAPLUS

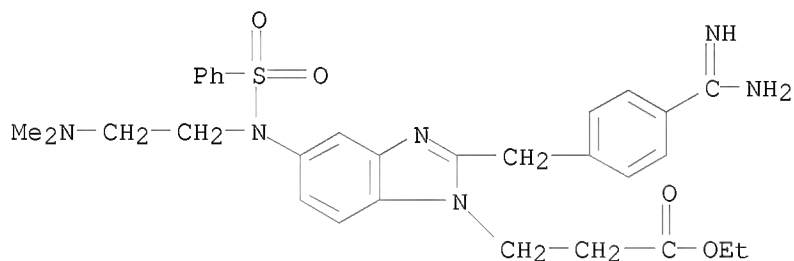
CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[phenylsulfonyl][3-(1-piperidiny)propyl]amino]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 236415-62-6 HCAPLUS

CN 1H-Benzimidazole-1-propanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)

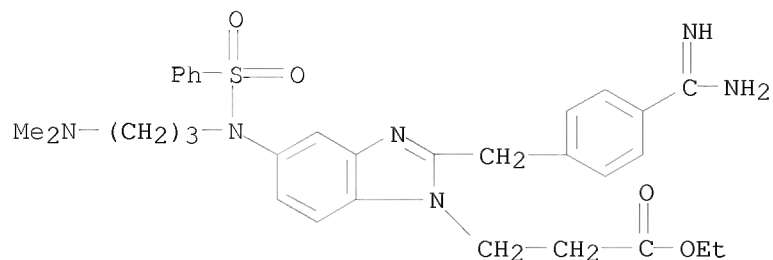


● 2 HCl

RN 236415-63-7 HCAPLUS

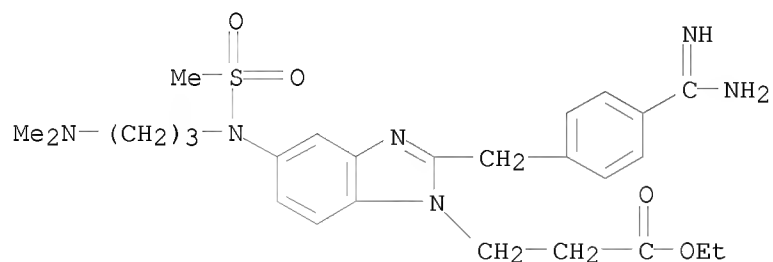
CN 1H-Benzimidazole-1-propanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[3-(dimethylamino)propyl](phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)

10573054



● 2 HCl

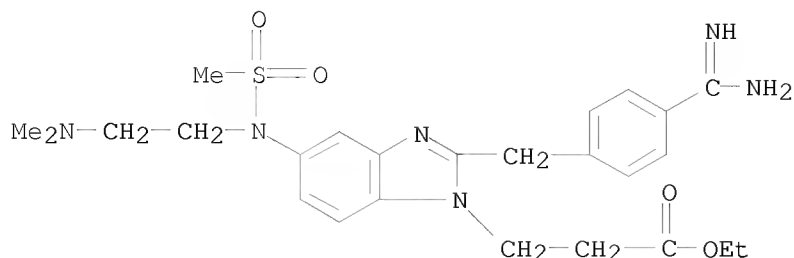
RN 236415-67-1 HCAPLUS
CN 1H-Benzimidazole-1-propanoic acid,
2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[3-(
(dimethylamino)propyl](methylsulfonyl)amino]-, ethyl ester, hydrochloride
(1:2) (CA INDEX NAME)



● 2 HCl

RN 236415-68-2 HCAPLUS
CN 1H-Benzimidazole-1-propanoic acid,
2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(
(dimethylamino)ethyl](methylsulfonyl)amino]-, ethyl ester, hydrochloride
(1:2) (CA INDEX NAME)

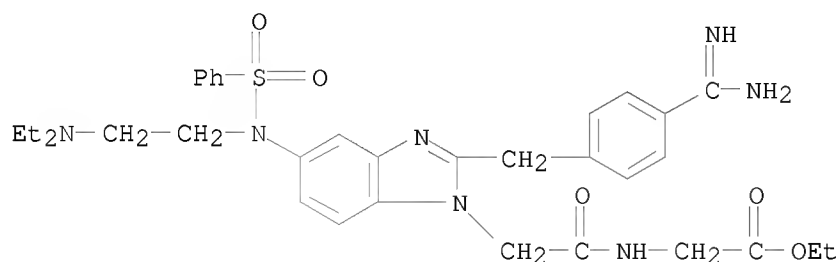
10573054



● 2 HCl

RN 236415-85-3 HCAPLUS

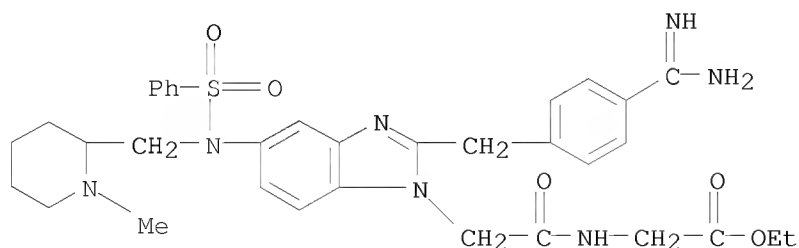
CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 236415-94-4 HCAPLUS

CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(1-methyl-2-piperidinyl)methyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

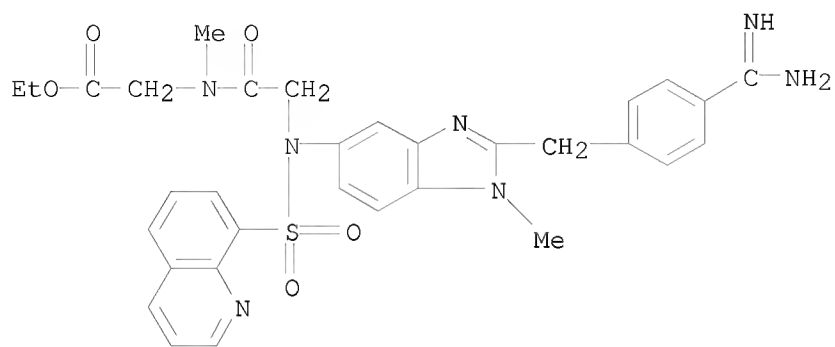


● 2 HCl

10573054

RN 236415-95-5 HCAPLUS

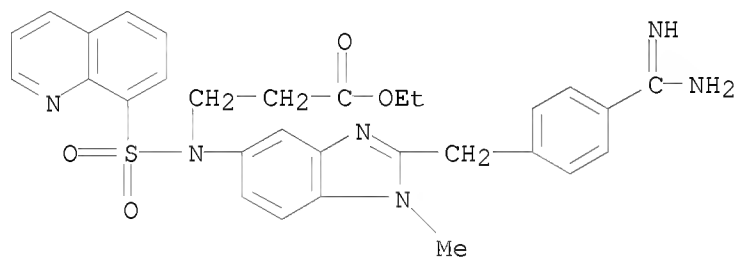
CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-N-methyl-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 236416-35-6 HCAPLUS

CN β -Alanine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

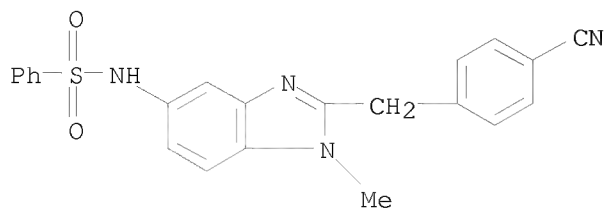


● HCl

RN 236417-29-1 HCAPLUS

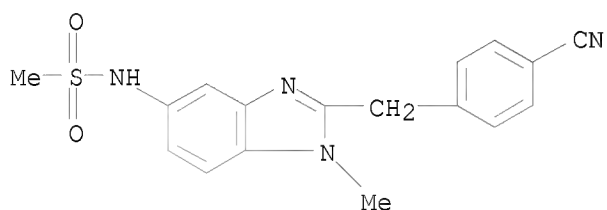
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

10573054



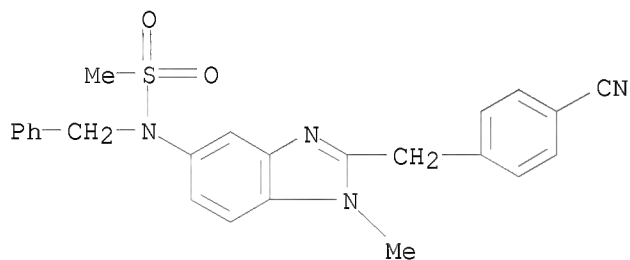
RN 236417-31-5 HCAPLUS

CN Methanesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



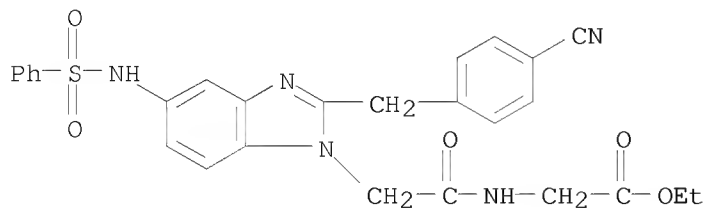
RN 236417-32-6 HCAPLUS

CN Methanesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylmethyl)- (CA INDEX NAME)



RN 236417-38-2 HCAPLUS

CN Glycine, N-[[2-[(4-cyanophenyl)methyl]-5-[(phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

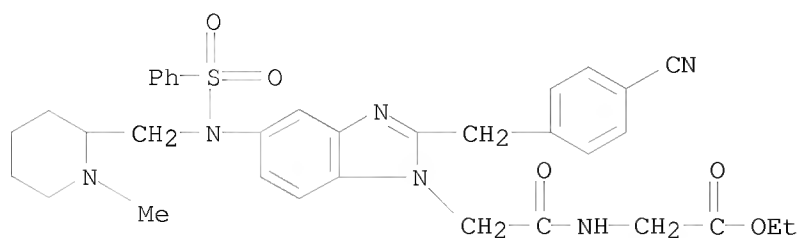


RN 236417-39-3 HCAPLUS

CN Glycine, N-[[2-[(4-cyanophenyl)methyl]-5-[[1-methyl-2-piperidinyl)methyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

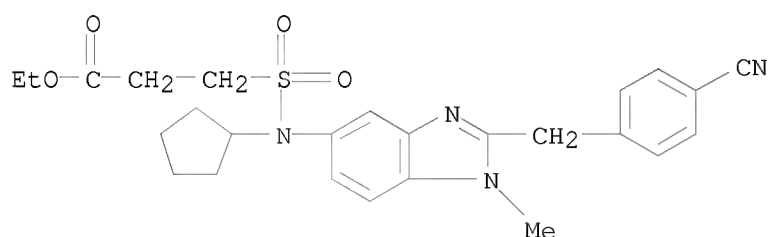
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ethyl ester (9CI) (CA INDEX NAME)



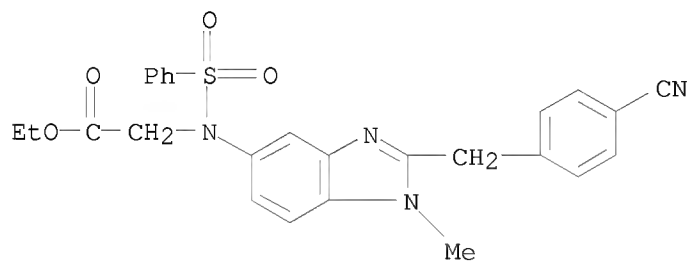
RN 236418-00-1 HCAPLUS

Propanoic acid, 3-[[[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]cyclopentylamino]sulfonyl]-, ethyl ester (CA INDEX NAME)



RN 236418-58-9 HCAPLUS

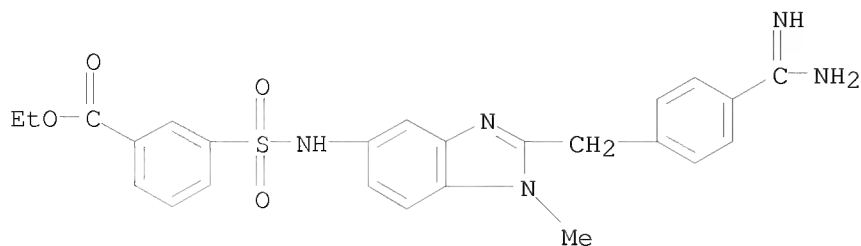
CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 237750-36-6 HCAPLUS

CN Benzoic acid, 3-[[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]amino]sulfonyl]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

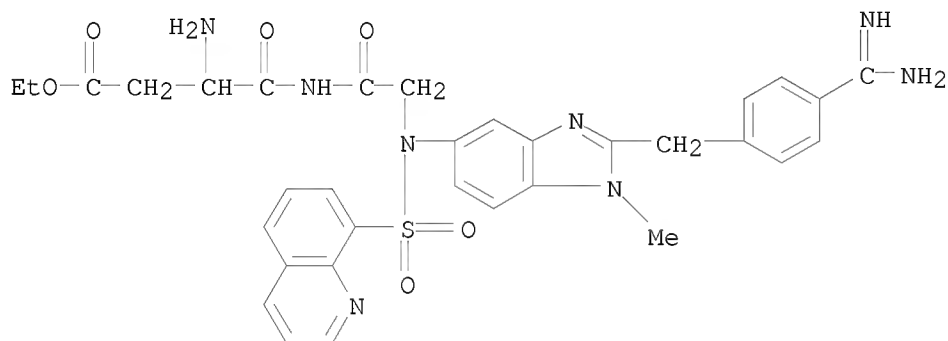
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● HC1

RN 237750-40-2 HCAPLUS

CN Butanoic acid, 3-amino-4-[[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]acetyl]amino]-4-oxo-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HC1

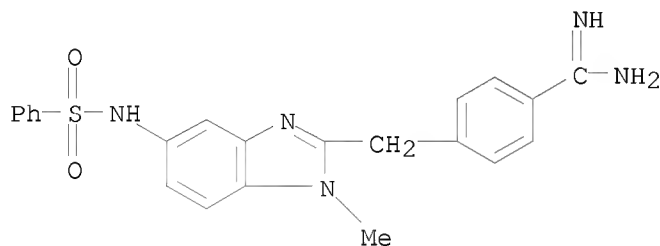
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	236415-23-9P	236415-24-0P	236415-25-1P
	236415-30-8P	236415-31-9P	236415-32-0P
	236415-34-2P	236415-35-3P	236415-36-4P

236415-38-6P	236415-40-0P	236415-42-2P
236415-44-4P	236415-45-5P	236415-46-6P
236415-49-9P	236415-50-2P	236415-51-3P
236415-52-4P	236415-53-5P	236415-55-7P
236415-58-0P	236415-59-1P	236415-60-4P
236415-64-8P	236415-65-9P	236415-70-6P
236415-72-8P	236415-73-9P	236415-74-0P
236415-75-1P	236415-78-4P	236415-80-8P
236415-81-9P	236415-83-1P	236415-88-6P
236415-97-7P	236415-98-8P	236415-99-9P
236416-01-6P	236416-23-2P	236416-36-7P
236416-46-9P	236416-53-8P	236416-58-3P
236416-70-9P	237750-39-9P	237750-41-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antithrombotic activity of
benzimidazolylmethylbenzamidines)

RN 236414-28-1 HCAPLUS

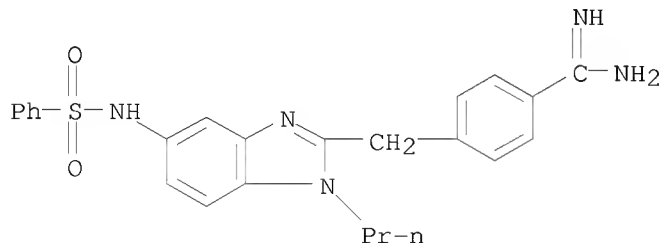
CN Benzenecarboximidamide, 4-[[1-methyl-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 236414-34-9 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[(phenylsulfonyl)amino]-1-propyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

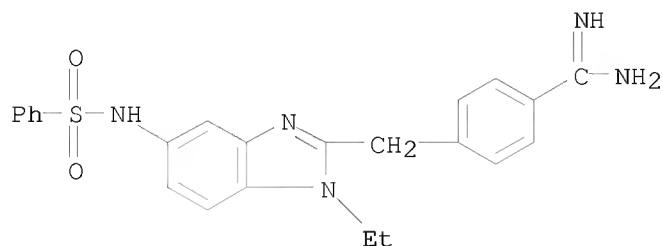


● HCl

RN 236414-40-7 HCAPLUS

10573054

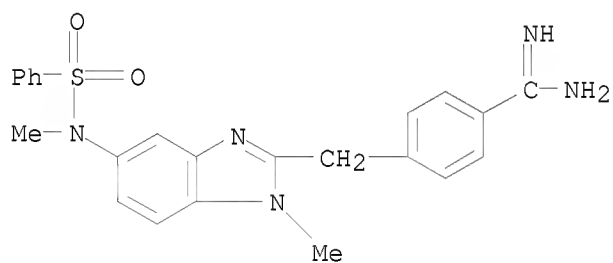
CN Benzenecarboximidamide, 4-[[1-ethyl-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 236414-42-9 HCAPLUS

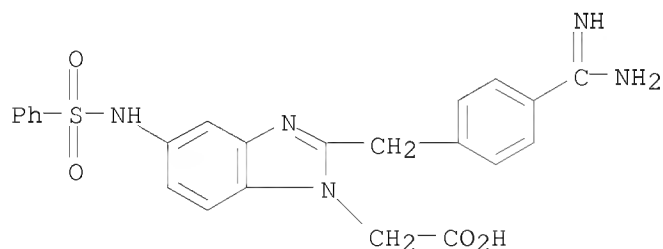
CN Benzenecarboximidamide, 4-[[1-methyl-5-[methyl(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 236414-45-2 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]- (CA INDEX NAME)

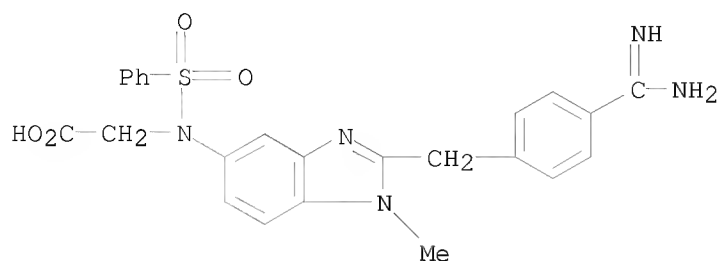


RN 236414-47-4 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-

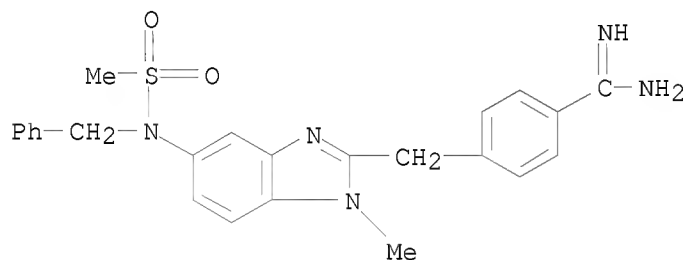
10573054

benzimidazol-5-yl]-N-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

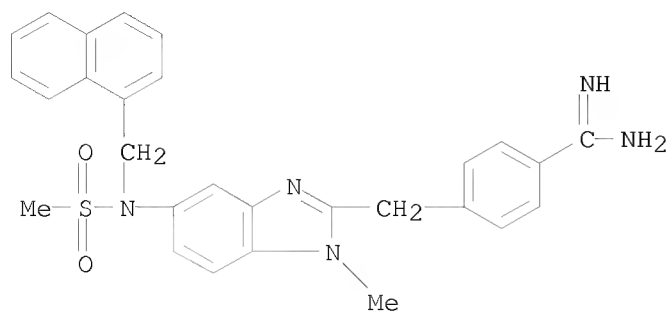
RN 236414-48-5 HCAPLUS
CN Benzenecarboximidamide, 4-[[1-methyl-5-[(methylsulfonyl)(phenylmethyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

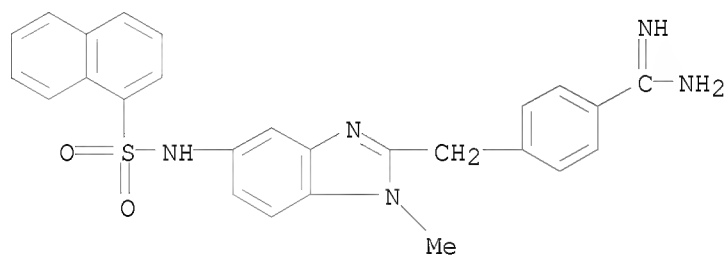
RN 236414-49-6 HCAPLUS
CN Benzenecarboximidamide, 4-[[1-methyl-5-[(methylsulfonyl)(1-naphthalenylmethyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

10573054



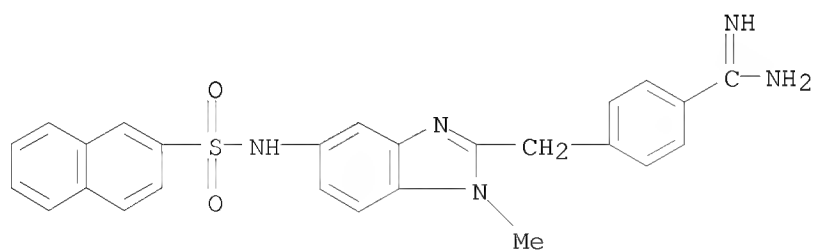
● HCl

RN 236414-51-0 HCAPLUS
CN Benzenecarboximidamide, 4-[[1-methyl-5-[(1-naphthalenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 236414-52-1 HCAPLUS
CN Benzenecarboximidamide, 4-[[1-methyl-5-[(2-naphthalenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

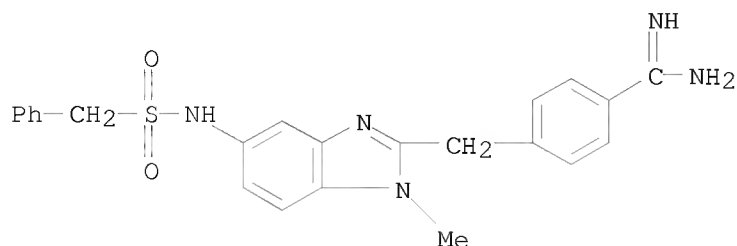


● HCl

10573054

RN 236414-53-2 HCAPLUS

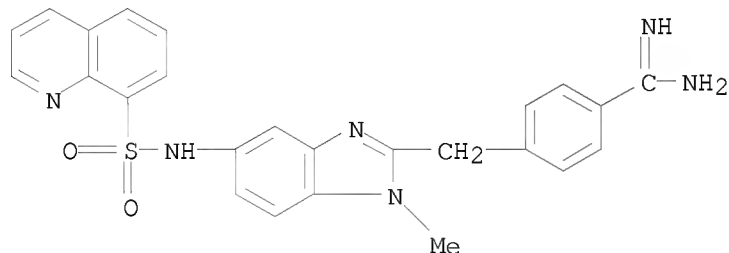
CN Benzenecarboximidamide, 4-[[1-methyl-5-[(phenylmethyl)sulfonyl]amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 236414-54-3 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[(8-quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



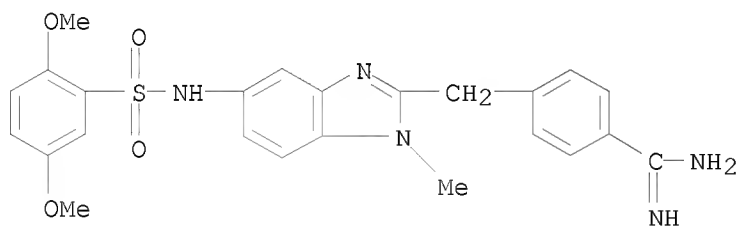
● HCl

RN 236414-55-4 HCAPLUS

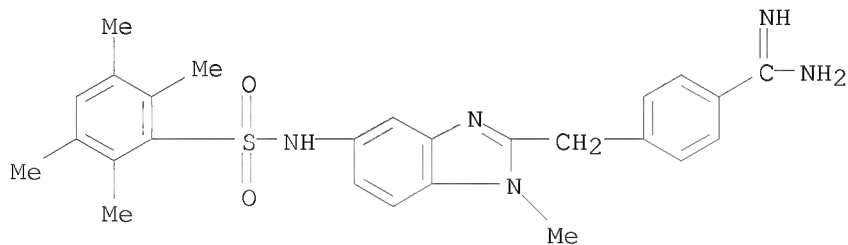
CN Benzenecarboximidamide, 4-[[5-[[[3,5-bis(trifluoromethyl)phenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

CC1=NC2=CC=CC=C2N1S(=O)(=O)C3=CC(=CC=C3C(F)(F)F)C(F)(F)F.C4=CC=C(C=C4)C(=N)N

RN 236414-56-5 HCAPLUS
CN Benzenecarboximidamide, 4-[[5-[[2,5-dimethoxyphenyl)sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

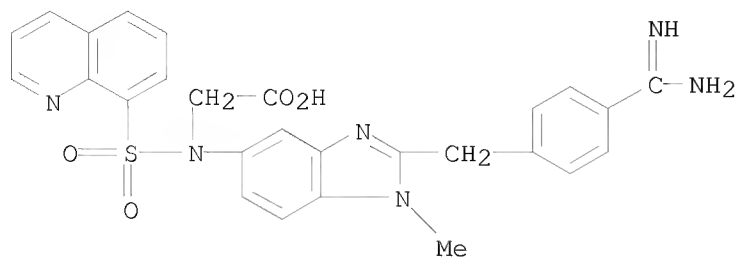


RN	236414-57-6	HCAPLUS
CN	Benzenecarboximidamide, 4-[[1-methyl-5-[[2,3,5,6-tetramethylphenyl)sulfonyl]amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)	



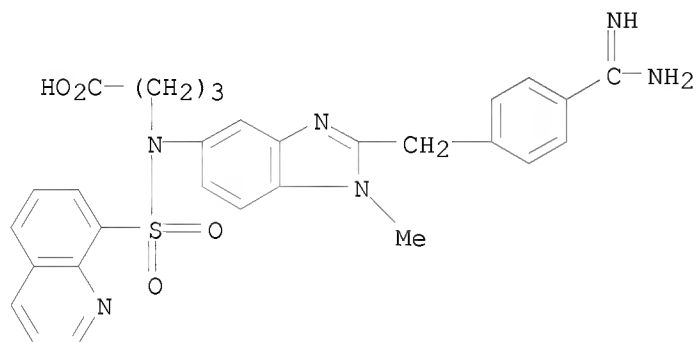
RN 236414-69-0 HCAPLUS

10573054



● HCl

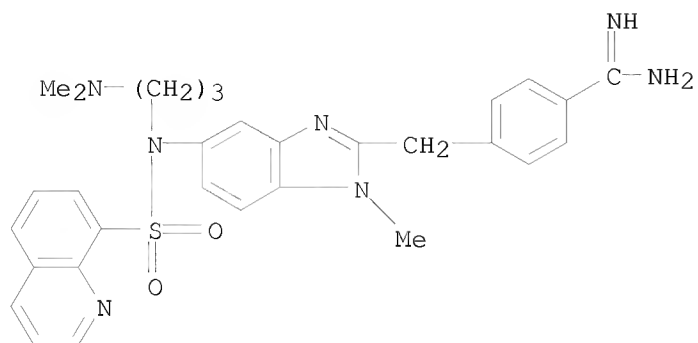
RN 236414-81-6 HCAPLUS
CN Butanoic acid, 4-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 236414-84-9 HCAPLUS
CN Benzenecarboximidamide, 4-[[5-[[3-(dimethylamino)propyl](8-quinolinylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

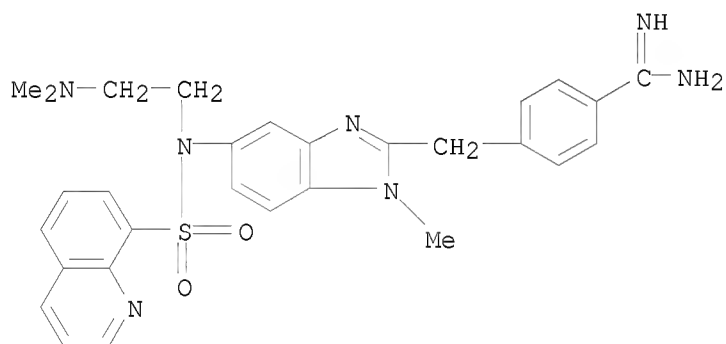
10573054



● 2 HCl

RN 236414-85-0 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[[2-(dimethylamino)ethyl](8-quinolinylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

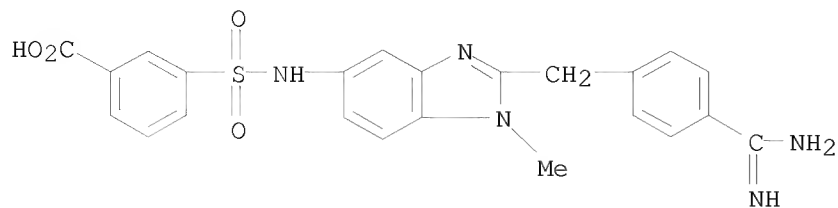


● 2 HCl

RN 236414-87-2 HCAPLUS

CN Benzoic acid, 3-[[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]amino]sulfonyl]-, hydrochloride (1:1) (CA INDEX NAME)

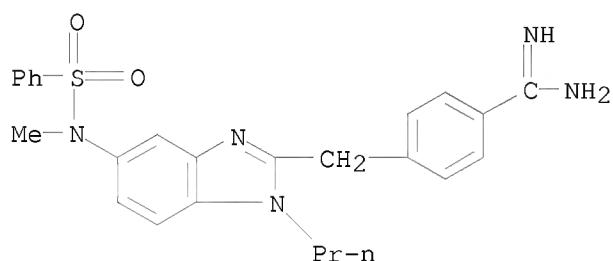
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● HCl

RN 236414-91-8 HCAPLUS

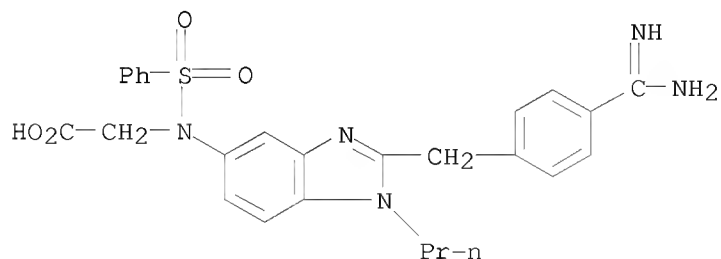
CN Benzenecarboximidamide, 4-[[5-[methyl(phenylsulfonyl)amino]-1-propyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 236414-92-9 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-propyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



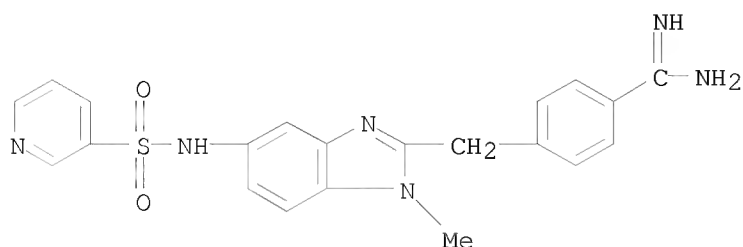
● HCl

RN 236414-96-3 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[(3-pyridinylsulfonyl)amino]-1H-

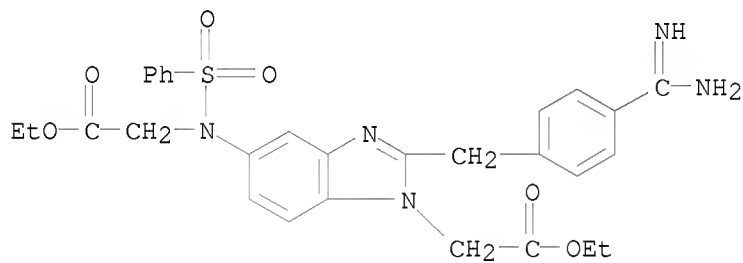
10573054

benzimidazol-2-yl)methyl]-, hydrochloride (1:1) (CA INDEX NAME)



RN 236414-97-4 HCAPLUS

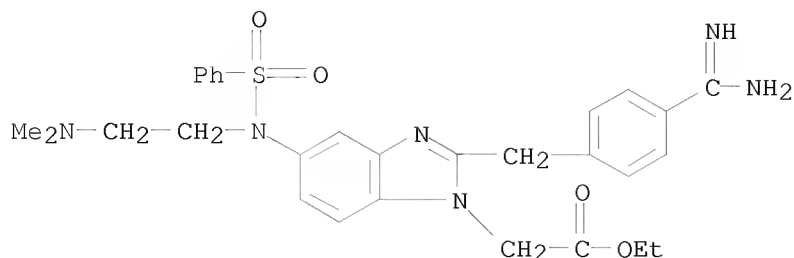
CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-ethoxy-2-oxoethyl](phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)



RN 236414-98-5 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)

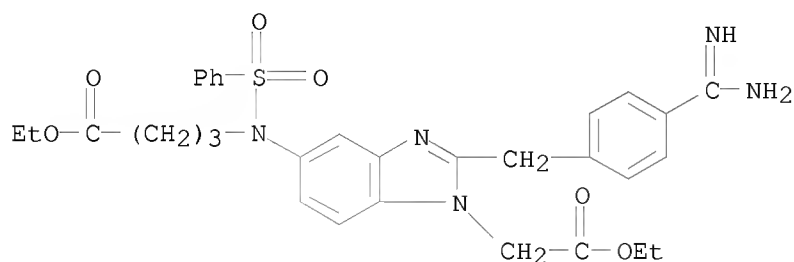
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● 2 HCl

RN 236415-05-7 HCAPLUS

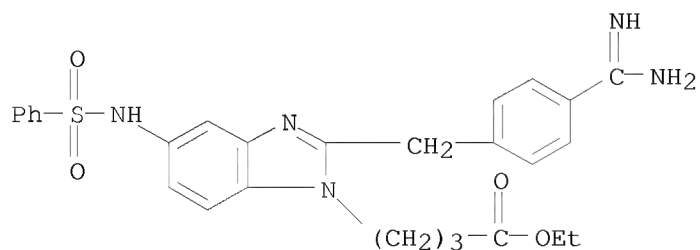
CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(4-ethoxy-4-oxobutyl)(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 236415-07-9 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

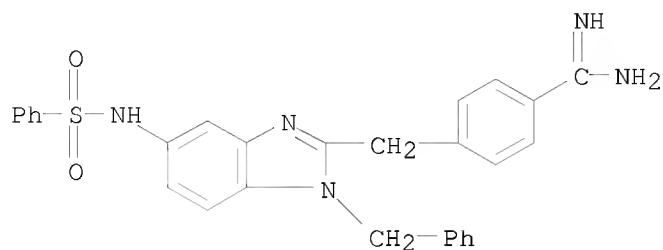


● HCl

10573054

RN 236415-08-0 HCAPLUS

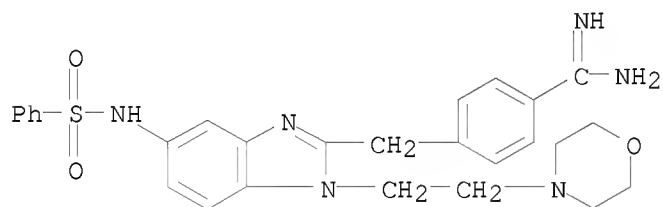
CN Benzenecarboximidamide, 4-[[1-(phenylmethyl)-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 236415-09-1 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-[2-(4-morpholinyl)ethyl]-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

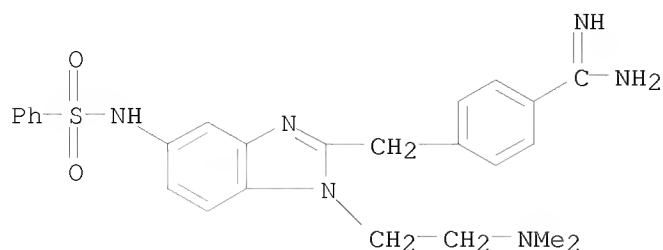


● 2 HCl

RN 236415-10-4 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-[2-(dimethylamino)ethyl]-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

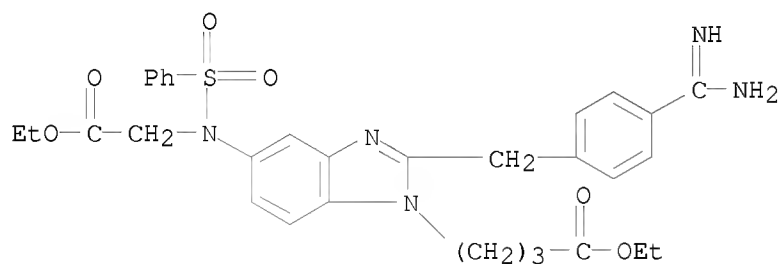
10573054



● 2 HCl

RN 236415-11-5 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(2-ethoxy-2-oxoethyl)(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

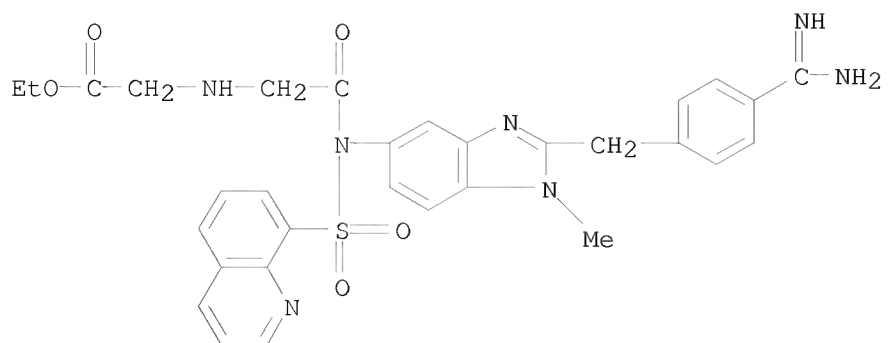


● HCl

RN 236415-14-8 HCAPLUS

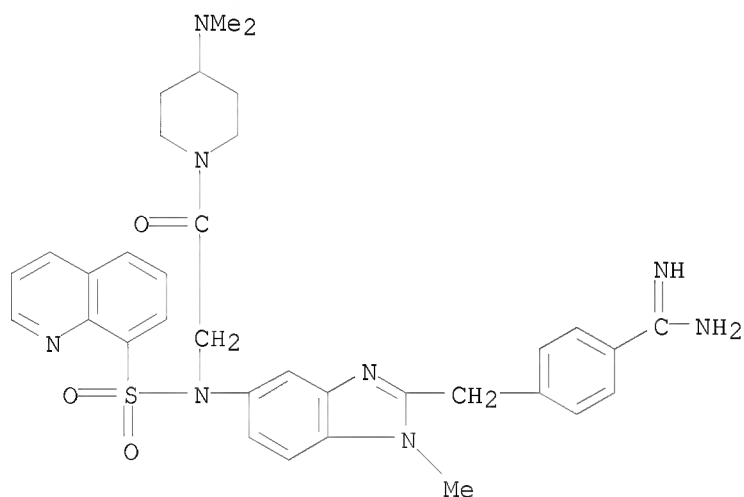
CN Glycine, N-[2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-2-oxoethyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

10573054



● HCl

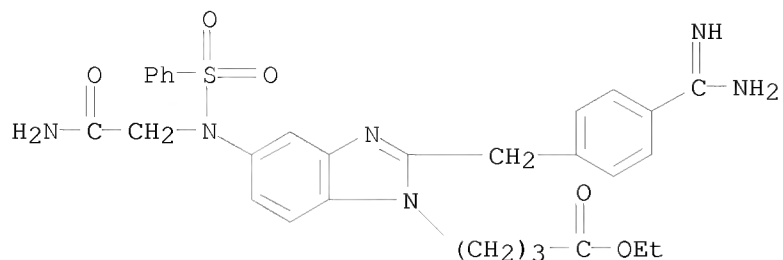
RN 236415-15-9 HCAPLUS
CN Benzenecarboximidamide, 4-[[5-[[2-[4-(dimethylamino)-1-piperidinyl]-2-oxoethyl](8-quinolinylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

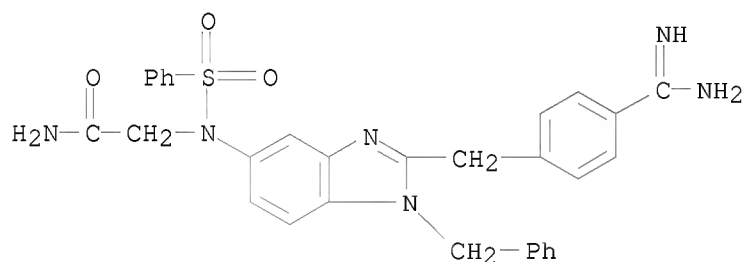
RN 236415-18-2 HCAPLUS
CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-amino-2-oxoethyl](phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

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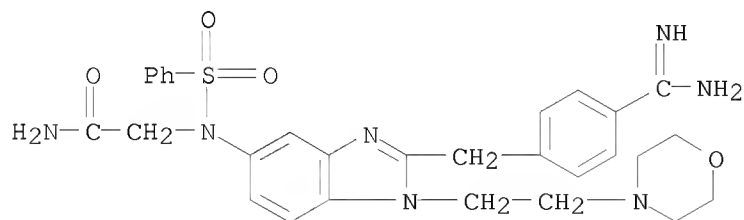
● HCl

RN 236415-19-3 HCAPLUS
CN Acetamide, 2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl](phenylsulfonyl)amino]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 236415-20-6 HCAPLUS
CN Acetamide, 2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-5-yl](phenylsulfonyl)amino]-, hydrochloride (1:2) (CA INDEX NAME)

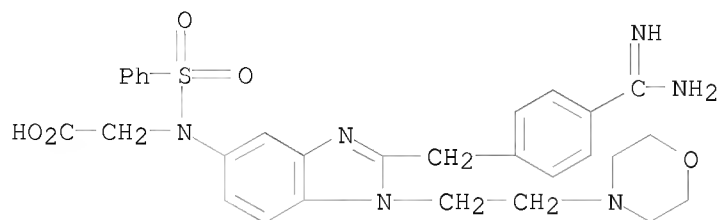


● 2 HCl

10573054

RN 236415-21-7 HCAPLUS

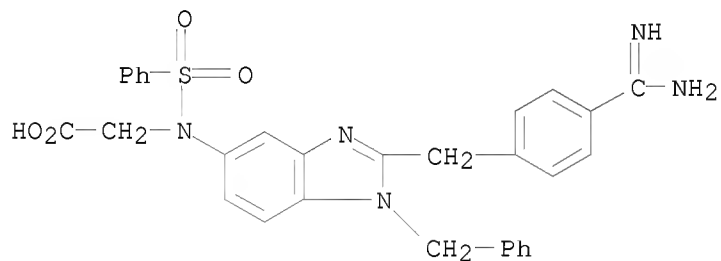
CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 236415-23-9 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

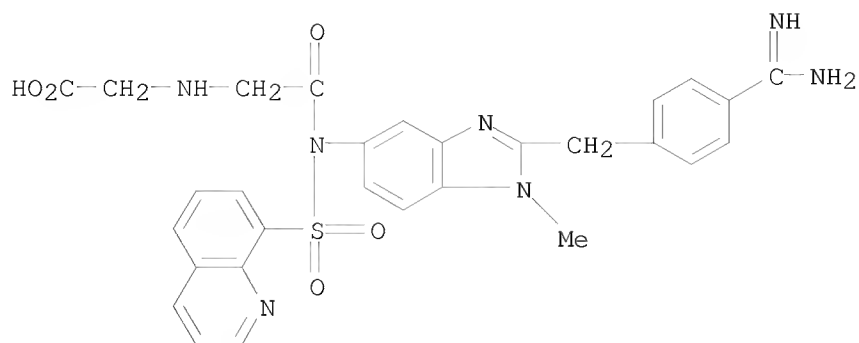


● HCl

RN 236415-24-0 HCAPLUS

CN Glycine, N-[2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

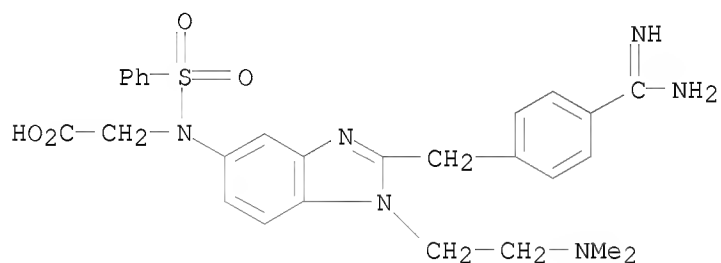
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● HCl

RN 236415-25-1 HCAPLUS

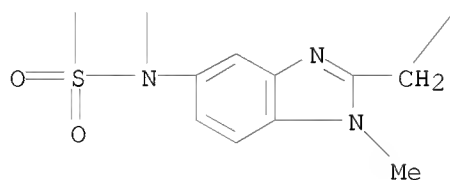
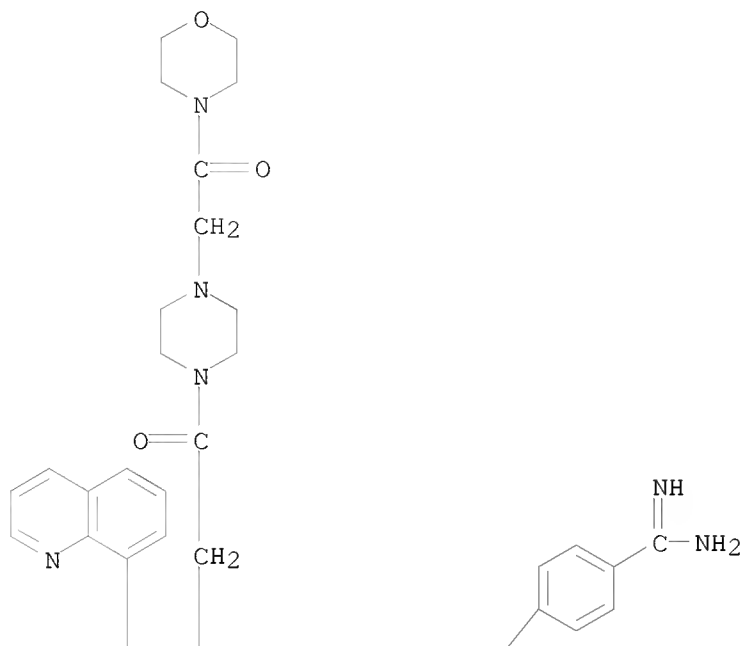
CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(dimethylamino)ethyl]-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

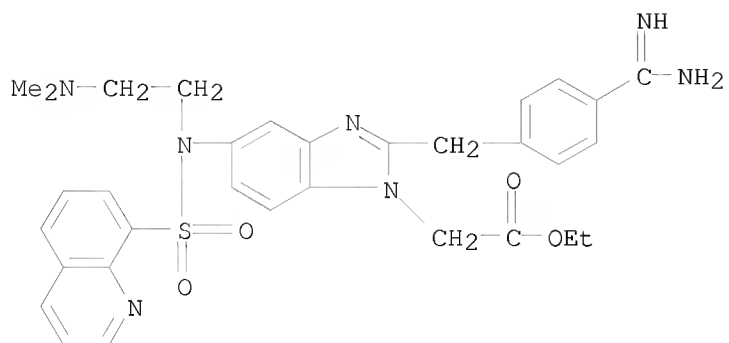
RN 236415-30-8 HCAPLUS

CN Benzenecarboximidamide, 4-[[[1-methyl-5-[[2-[4-[2-(4-morpholinyl)-2-oxoethyl]-1-piperazinyl]-2-oxoethyl](8-quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)



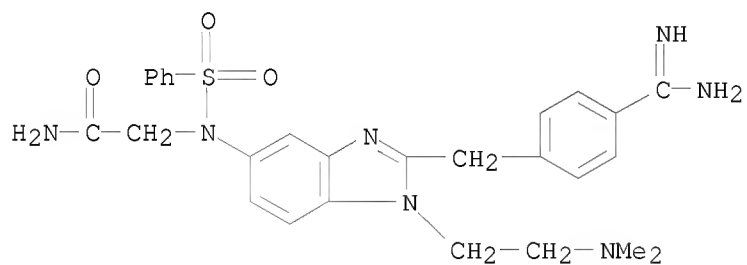
● 2 HCl

RN 236415-31-9 HCAPLUS
 CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](8-quinolinylsulfonyl)amino]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

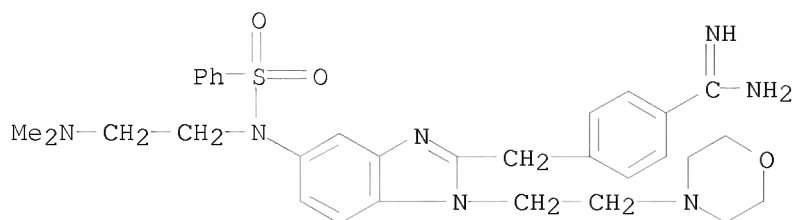
RN 236415-32-0 HCAPLUS
 CN Acetamide, 2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(dimethylamino)ethyl]-1H-benzimidazol-5-yl](phenylsulfonyl)amino]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

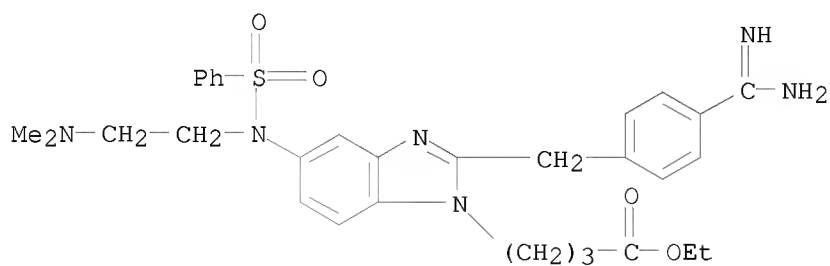
RN 236415-34-2 HCAPLUS
 CN Benzenecarboximidamide, 4-[[5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:3) (CA INDEX NAME)

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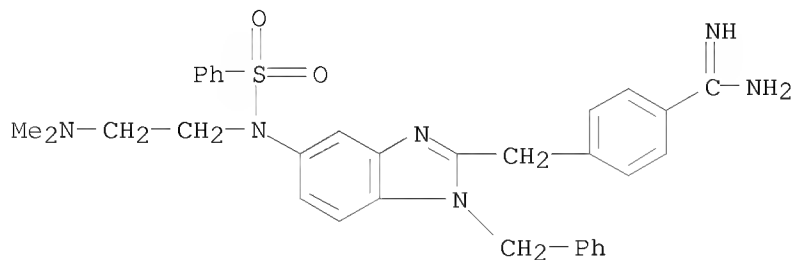
● 3 HCl

RN 236415-35-3 HCAPLUS
 CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 236415-36-4 HCAPLUS
 CN Benzenecarboximidamide, 4-[[5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1-(phenylmethyl)-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

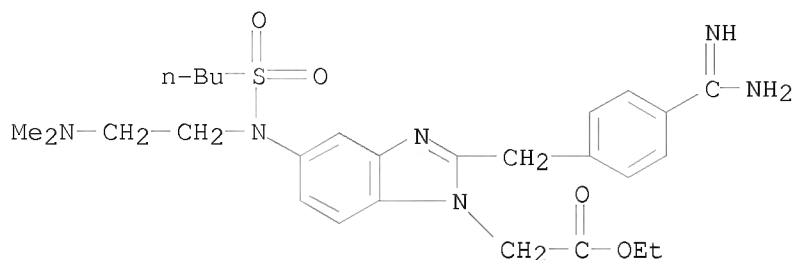


● 2 HCl

10573054

RN 236415-38-6 HCAPLUS

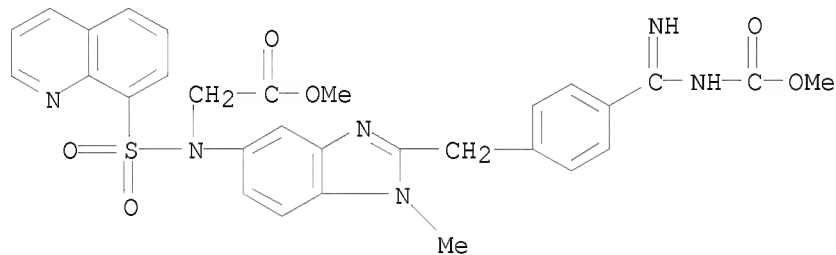
CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(butylsulfonyl)[2-(dimethylamino)ethyl]amino]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 236415-40-0 HCAPLUS

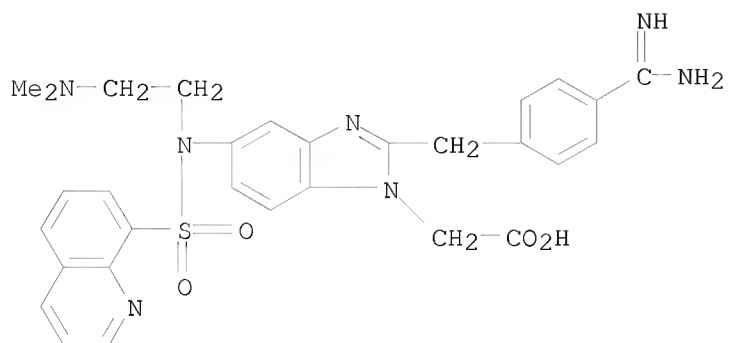
CN Glycine, N-[2-[[4-[imino[(methoxycarbonyl)amino]methyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 236415-42-2 HCAPLUS

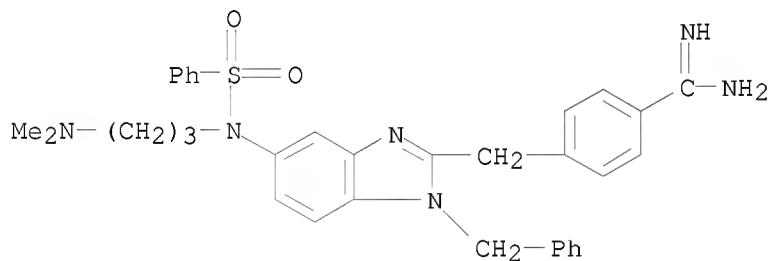
CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](8-quinolinylsulfonyl)amino]-, hydrochloride (1:1) (CA INDEX NAME)

10573054



● HCl

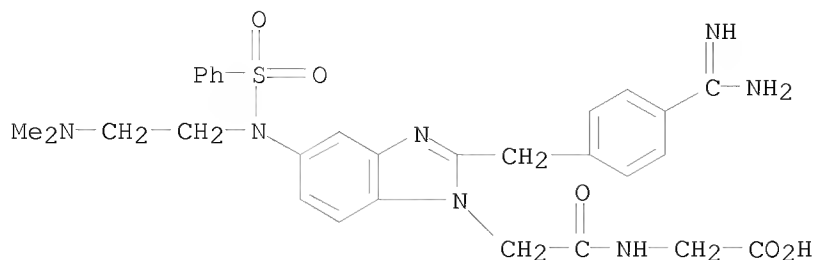
RN 236415-44-4 HCAPLUS
 CN Benzenecarboximidamide, 4-[[5-[[3-(dimethylamino)propyl](phenylsulfonyl)amino]-1-(phenylmethyl)-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 236415-45-5 HCAPLUS
 CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, dihydrochloride (9CI) (CA INDEX NAME)

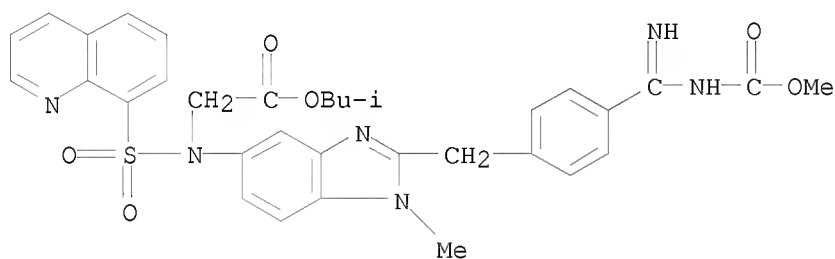
10573054



● 2 HCl

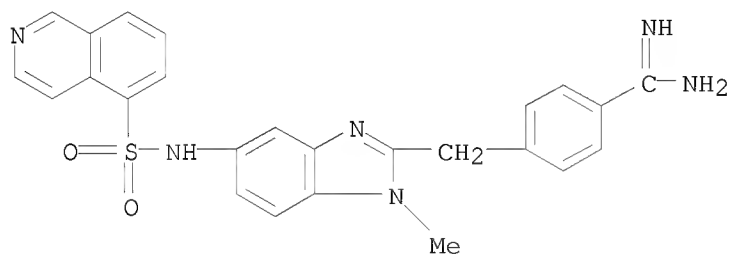
RN 236415-46-6 HCAPLUS

CN Glycine, N-[2-[[4-[imino[(methoxycarbonyl)amino]methyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, 2-methylpropyl ester (CA INDEX NAME)



RN 236415-49-9 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[(5-isoquinolinylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

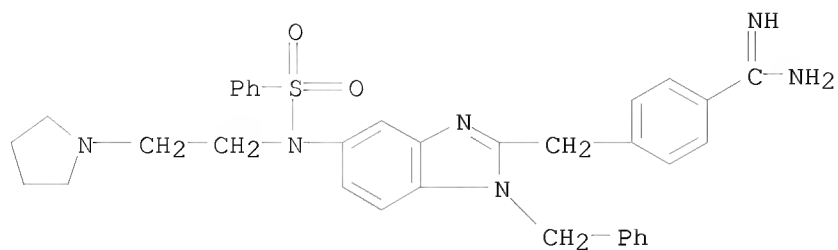


● HCl

RN 236415-50-2 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-(phenylmethyl)-5-[(phenylsulfonyl)[2-(1-pyrrolidinyl)ethyl]amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

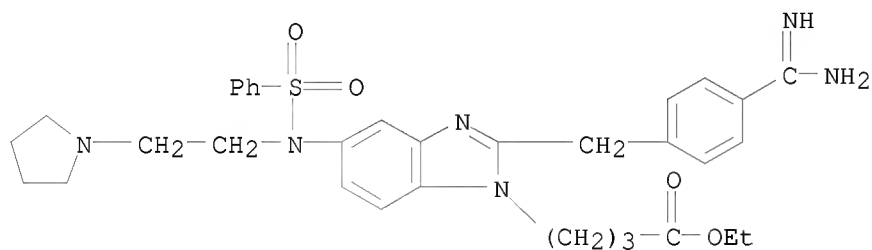
10573054



● 2 HCl

RN 236415-51-3 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)[2-(1-pyrrolidinyl)ethyl]amino]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)

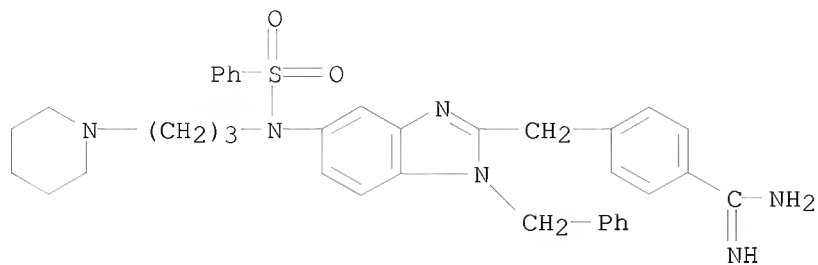


● 2 HCl

RN 236415-52-4 HCAPLUS

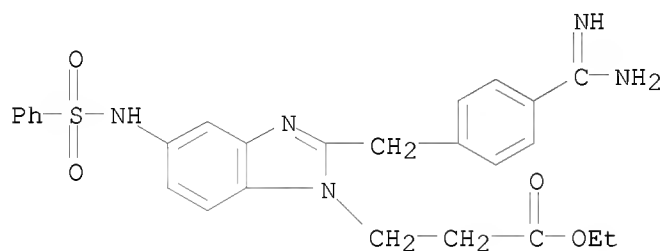
CN Benzenecarboximidamide, 4-[[[1-(phenylmethyl)-5-[(phenylsulfonyl)[3-(1-piperidinyl)propyl]amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

10573054



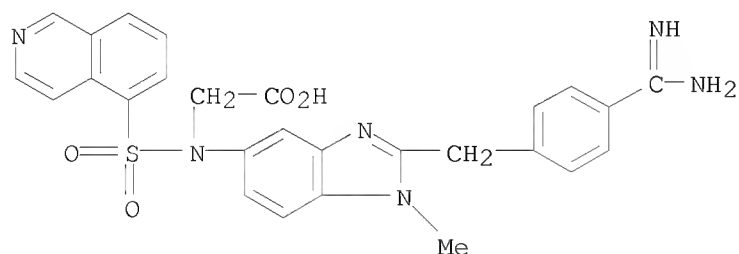
● 2 HCl

RN 236415-53-5 HCAPLUS
 CN 1H-Benzimidazole-1-propanoic acid,
 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]-, ethyl
 ester, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 236415-55-7 HCAPLUS
 CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-
 benzimidazol-5-yl]-N-(5-isoquinolinylsulfonyl)-, monohydrochloride (9CI)
 (CA INDEX NAME)

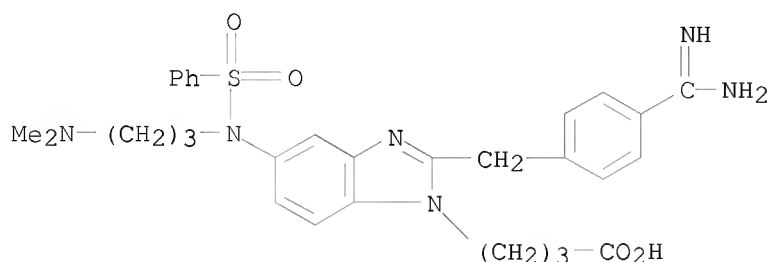


● HCl

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RN 236415-58-0 HCAPLUS

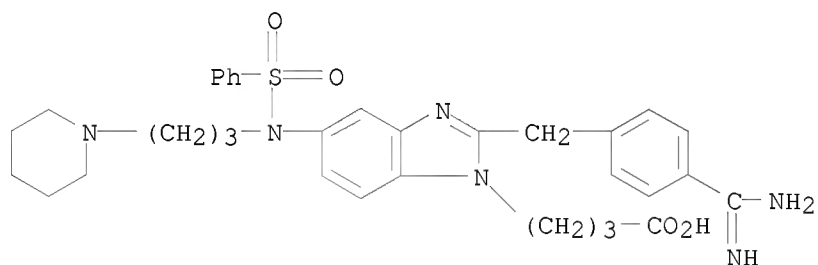
CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[3-(dimethylamino)propyl](phenylsulfonyl)amino]-, hydrochloride (1:2)
(CA INDEX NAME)



● 2 HCl

RN 236415-59-1 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)[3-(1-piperidiny)propyl]amino]-, hydrochloride (1:2)
(CA INDEX NAME)

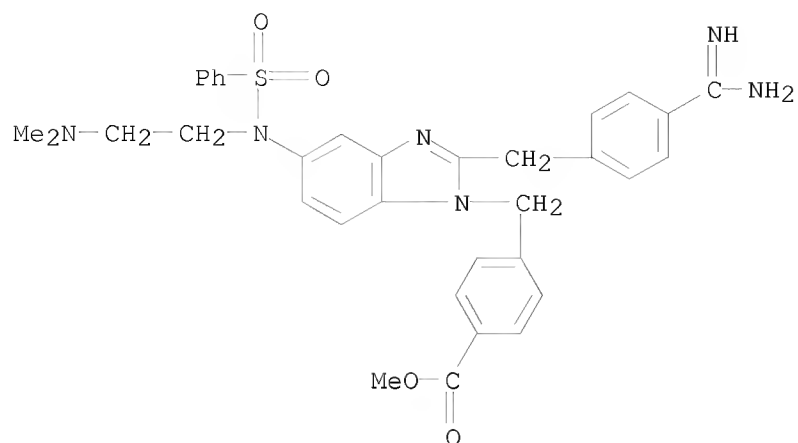


● 2 HCl

RN 236415-60-4 HCAPLUS

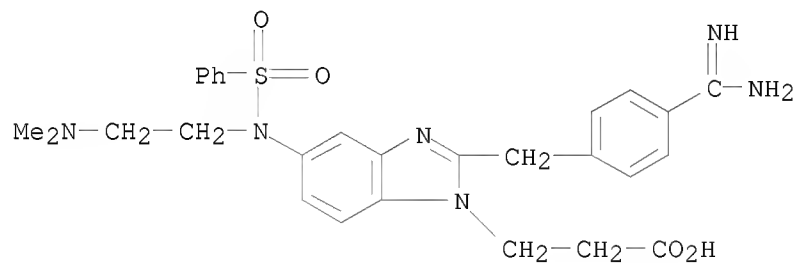
CN Benzoic acid, 4-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]methyl]-, methyl ester, hydrochloride (1:2) (CA INDEX NAME)

10573054



● 2 HCl

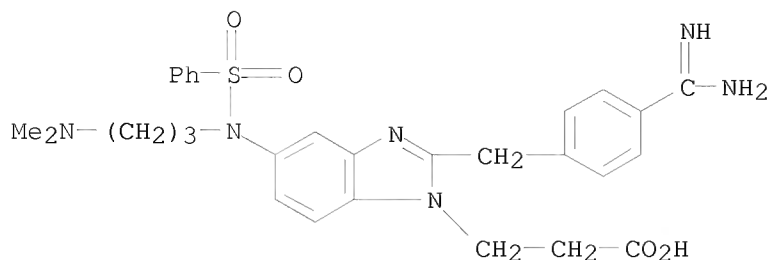
RN 236415-64-8 HCAPLUS
CN 1H-Benzimidazole-1-propanoic acid,
2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(
(dimethylamino)ethyl](phenylsulfonyl)amino]-, hydrochloride (1:2) (CA
INDEX NAME)



● 2 HCl

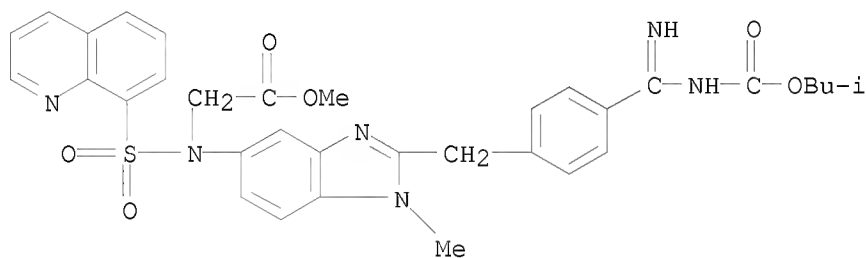
RN 236415-65-9 HCAPLUS
CN 1H-Benzimidazole-1-propanoic acid,
2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[3-(
(dimethylamino)propyl](phenylsulfonyl)amino]-, hydrochloride (1:2) (CA
INDEX NAME)

10573054

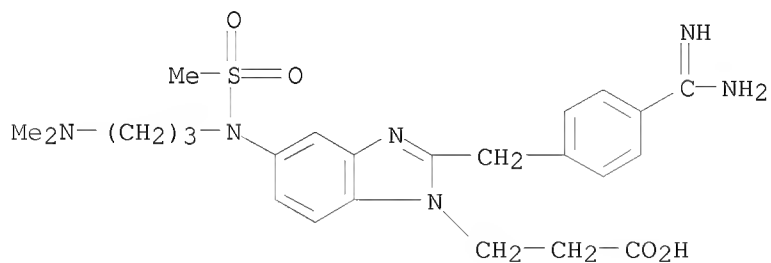


● 2 HCl

RN 236415-70-6 HCAPLUS
 CN Glycine, N-[2-[[4-[[imino[(2-methylpropoxy)carbonyl]amino]methyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 236415-72-8 HCAPLUS
 CN 1H-Benzimidazole-1-propanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[3-(dimethylamino)propyl](methylsulfonyl)amino]-, hydrochloride (1:2) (CA INDEX NAME)

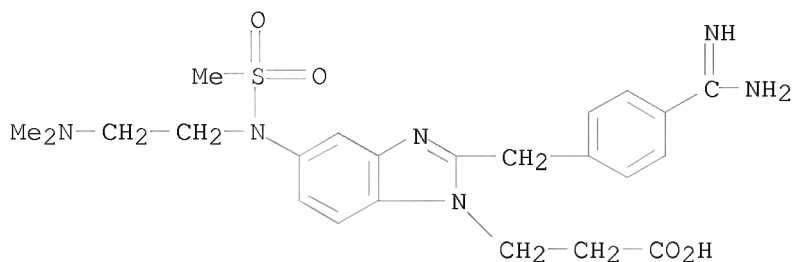


● 2 HCl

RN 236415-73-9 HCAPLUS

10573054

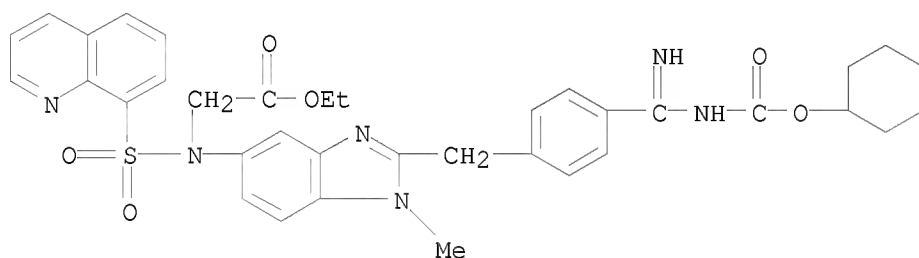
CN 1H-Benzimidazole-1-propanoic acid,
2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](methylsulfonyl)amino]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

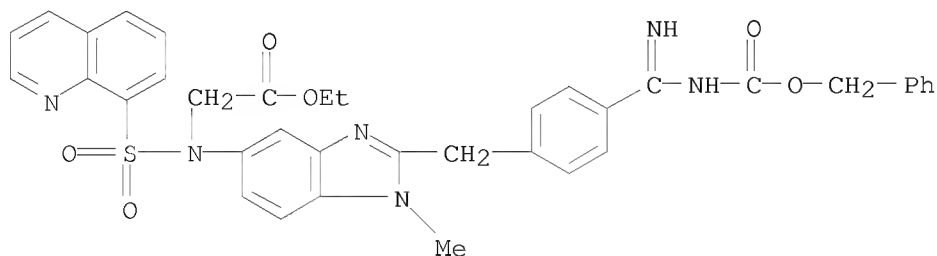
RN 236415-74-0 HCAPLUS

CN Glycine, N-[2-[[4-[[[(cyclohexyloxy)carbonyl]amino]iminomethyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 236415-75-1 HCAPLUS

CN Glycine, N-[2-[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

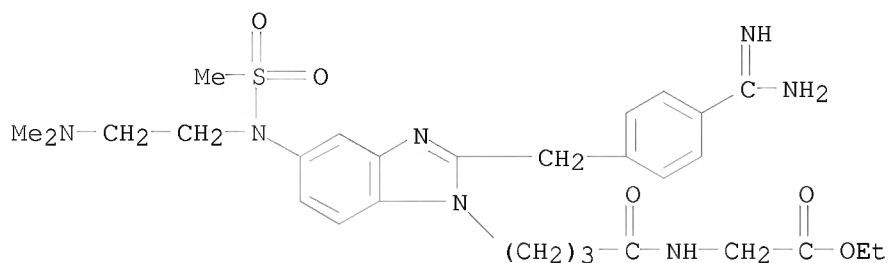


RN 236415-78-4 HCAPLUS

CN Glycine, N-[4-[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-

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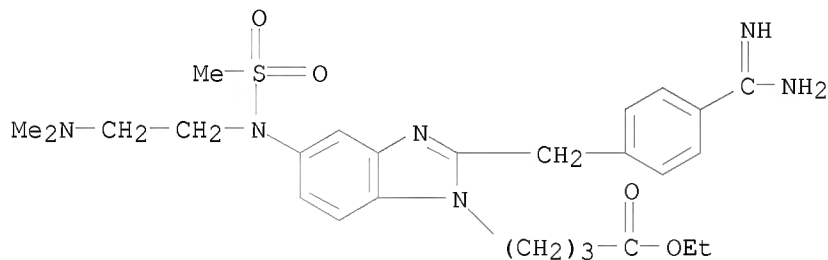
(dimethylamino)ethyl] (methylsulfonyl) amino]-1H-benzimidazol-1-yl]-1-oxobutyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 236415-80-8 HCAPLUS

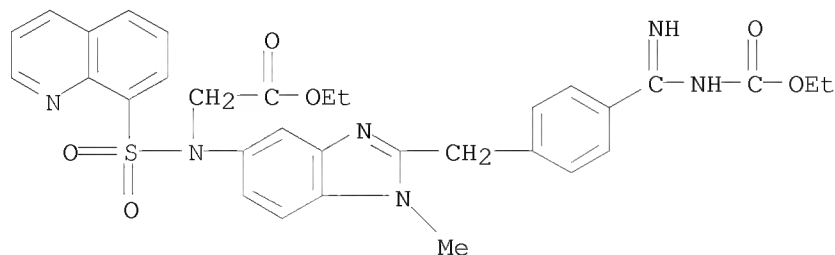
CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl] (methylsulfonyl) amino]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 236415-81-9 HCAPLUS

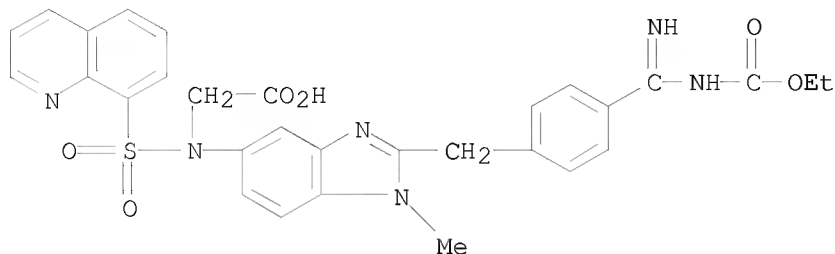
CN Glycine, N-[2-[[4-[[(ethoxycarbonyl) amino] iminomethyl] phenyl] methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)



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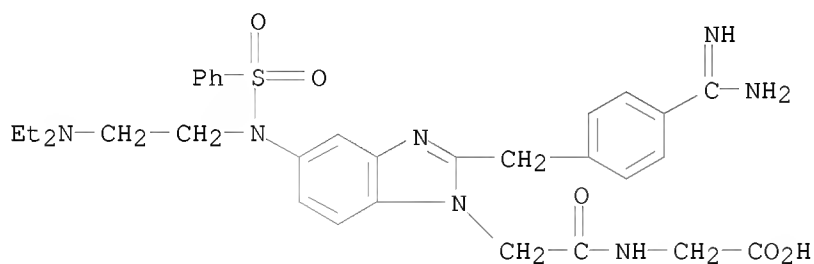
RN 236415-83-1 HCAPLUS

CN Glycine, N-[2-[[4-[[(ethoxycarbonyl)amino]iminomethyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)



RN 236415-88-6 HCAPLUS

CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, dihydrochloride (9CI) (CA INDEX NAME)

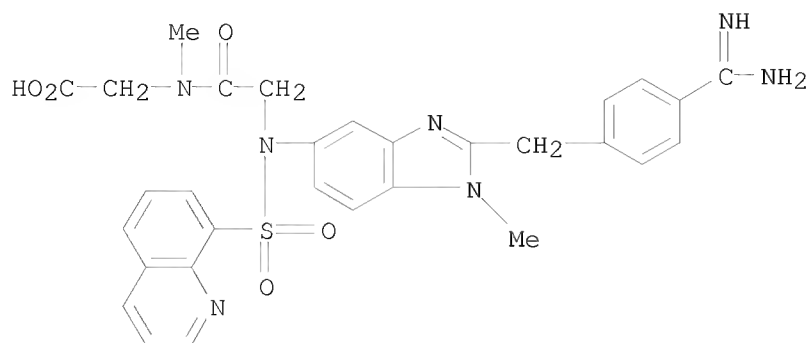


●2 HCl

RN 236415-97-7 HCAPLUS

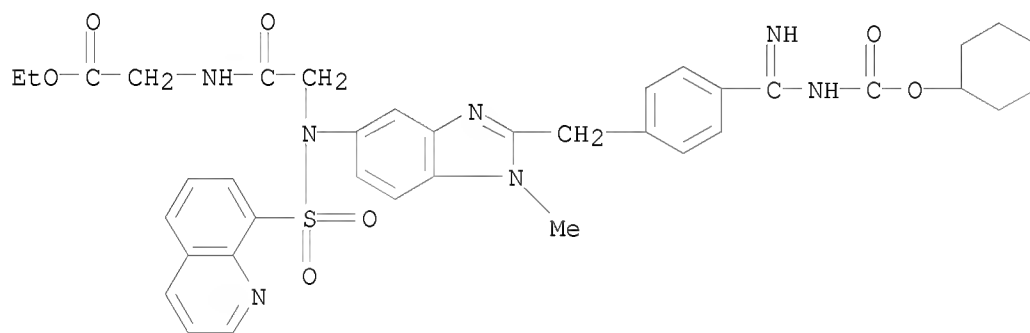
CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

10573054



● HCl

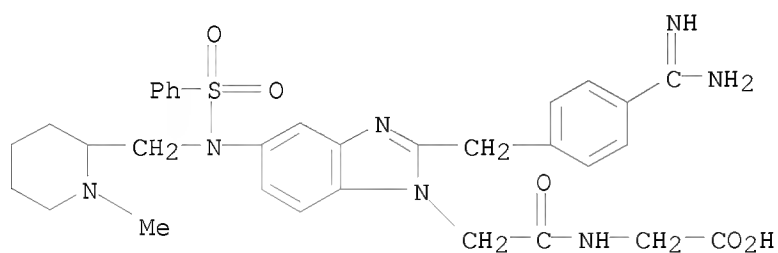
RN 236415-98-8 HCAPLUS
 CN Glycine, N-[2-[[4-[[[(cyclohexyloxy)carbonyl]amino]iminomethyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester (CA INDEX NAME)



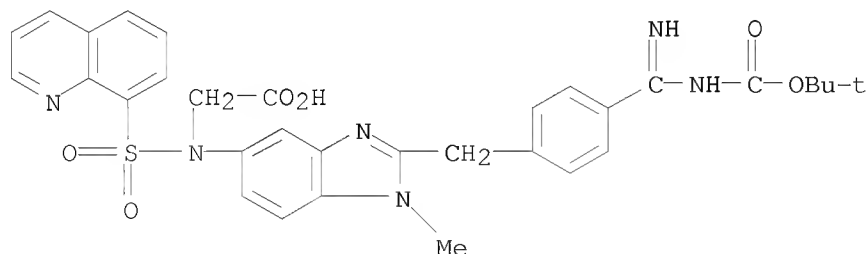
RN 236415-99-9 HCAPLUS
 CN Glycine, N-[2-[[4-[imino[(phenylmethoxy)carbonyl]amino]methyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester (CA INDEX NAME)

CCOC(=O)CCNC(=O)CN(c1ccc2c(c1)c(c3c2n(C)c3)S(=O)(=O)c4ccc5c(c3n4)cccnc5)C6=CC=CC=C6C(=N)NC(=O)OCC1=CC=CC=C1

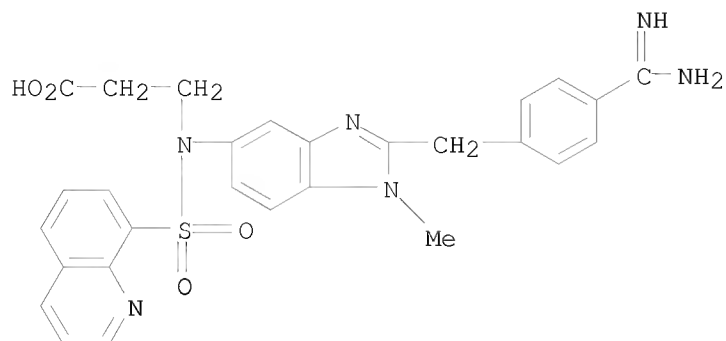
CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[[1-methyl-2-piperidinyl)methyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, dihydrochloride (9CI) (CA INDEX NAME)



CN Glycine, N-[2-[[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

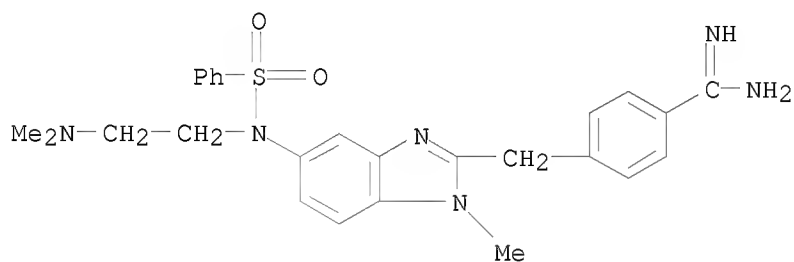


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● HCl

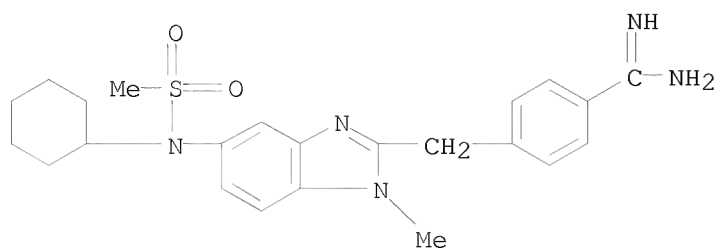
RN 236416-46-9 HCAPLUS
CN Benzenecarboximidamide, 4-[[5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 236416-53-8 HCAPLUS
CN Benzenecarboximidamide, 4-[[5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

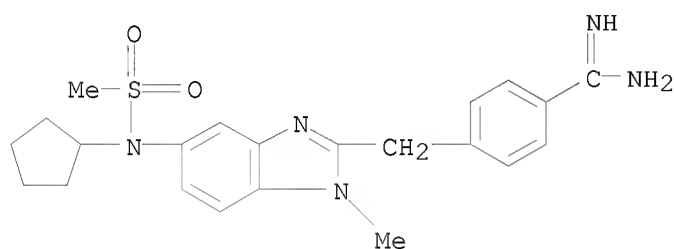
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● HCl

RN 236416-58-3 HCAPLUS

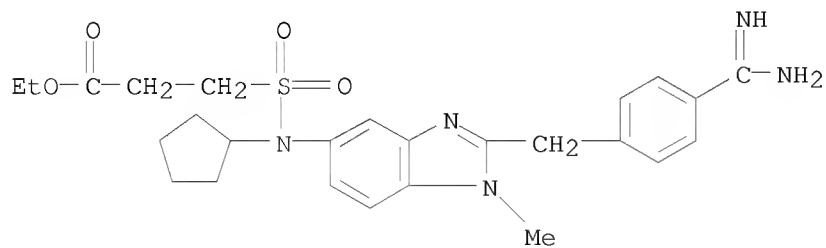
CN Benzenecarboximidamide, 4-[[5-[cyclopentyl(methylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 236416-70-9 HCAPLUS

CN Propanoic acid, 3-[[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]cyclopentylamino]sulfonyl]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

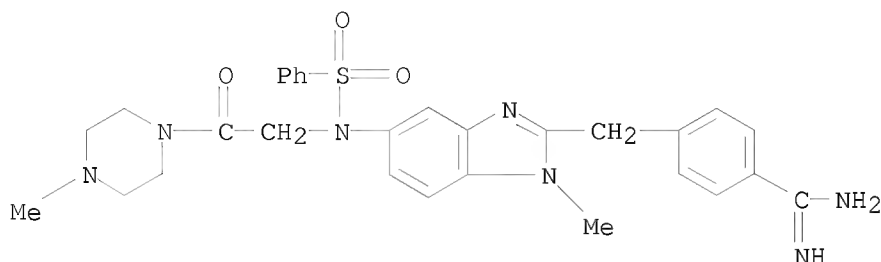


● HCl

10573054

RN 237750-39-9 HCAPLUS

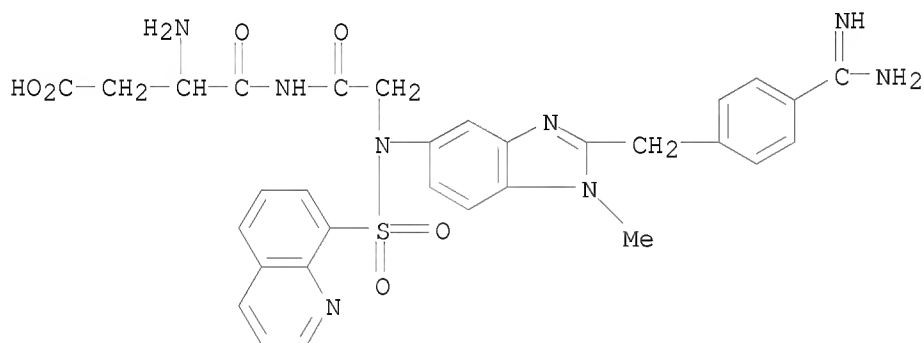
CN Benzenecarboximidamide, 4-[[[1-methyl-5-[[2-(4-methyl-1-piperazinyl)-2-oxoethyl](phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 237750-41-3 HCAPLUS

CN Butanoic acid, 3-amino-4-[[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]acetyl]amino]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

L18 ANSWER 17 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:508789 HCAPLUS

DOCUMENT NUMBER: 121:108789

ORIGINAL REFERENCE NO.: 121:19651a,19654a

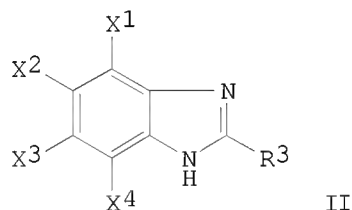
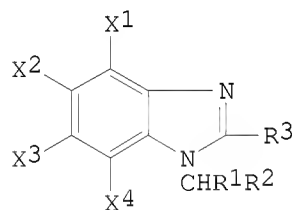
TITLE: Preparation of substituted benzimidazole derivs. for use as pesticides

INVENTOR(S): Lunkenheimer, Winfried; Baasner, Bernd; Lieb, Folker; Boehm, Stefan; Marhold, Albrecht; Goergens, Ulrich;

Stendel, Wilhelm; Dehne, Heinz Wilhelm; Santel, Hans
 Joachim
 PATENT ASSIGNEE(S): Bayer A.-G., Germany
 SOURCE: Ger. Offen., 67 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4237557	A1	19940511	DE 1992-4237557	19921106 <--
CA 2148612	A1	19940526	CA 1993-2148612	19931025 <--
CA 2148612	C	20070515		
WO 9411349	A1	19940526	WO 1993-EP2946	19931025 <--
W: AU, BR, BY, CA, CZ, HU, JP, KR, KZ, NZ, RU, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9453377	A	19940608	AU 1994-53377	19931025 <--
EP 667861	A1	19950823	EP 1993-923545	19931025 <--
EP 667861	B1	20000719		
R: AT, BE, CH, DE, DK, ES, FR, GB, IE, IT, LI, NL, PT, SE				
HU 72091	A2	19960328	HU 1995-1292	19931025 <--
JP 08506088	T	19960702	JP 1994-511643	19931025 <--
BR 9307389	A	19990831	BR 1993-7389	19931025 <--
AT 194834	T	20000815	AT 1993-923545	19931025 <--
ES 2148242	T3	20001016	ES 1993-923545	19931025 <--
US 5656649	A	19970812	US 1995-428087	19950525 <--
US 5863933	A	19990126	US 1997-822565	19970319 <--
PRIORITY APPLN. INFO.:			DE 1992-4237557	A 19921106
			WO 1993-EP2946	W 19931025
			US 1995-428087	A3 19950525

OTHER SOURCE(S): MARPAT 121:108789
 GI



AB A process for the preparation of benzimidazoles of the general formula I wherein R1 can be H, alkyl, alkoxy, or substituted aryl and R2 can be OH, CN, or alkyl, aryl, alkenyl, amino, alkoxycarbonyl, etc. and R3 is fluoroalkyl and X1, X2, X3 are independently H, halogen, cyano, nitro, or substituted alkyl, alkoxy, alkylsulfonyl, amino, aryl, etc. comprises the treatment of benzimidazole derivative of formula II (X1, X2, X3, X4, R3 as above) with compound of formula ACHR1R2 (R1, R2 as above) wherein A represents a specific leaving group. E.g., 5(6)-phenyl-2-trimethyl-1H-benzimidazole and KCO₃ and EtOAc are refluxed for 15 min. whereupon chloromethyl Et ether in EtOAc is added and refluxed to give 1-ethoxymethyl-5(6)-phenyl-2-trifluoromethylbenzimidazole as a

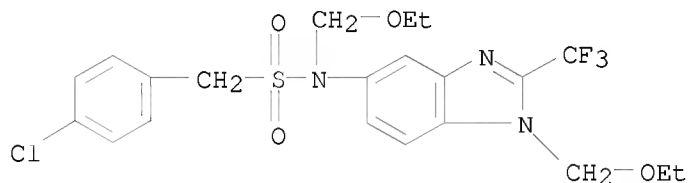
mixture of 1:1 regioisomers in 71%. Comps. of formula I are shown to be useful as pesticides against a variety of insect pests.

IT 156493-68-4P 156493-69-5P 156493-71-9P
156493-72-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

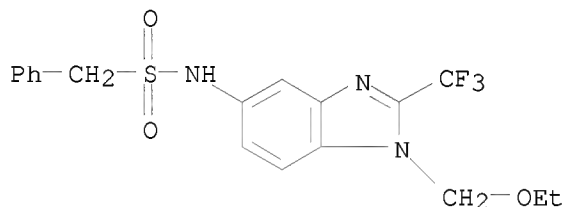
RN 156493-68-4 HCAPLUS

CN Benzenemethanesulfonamide, 4-chloro-N-(ethoxymethyl)-N-[1-(ethoxymethyl)-2-(trifluoromethyl)-1H-benzimidazol-5-yl]- (CA INDEX NAME)



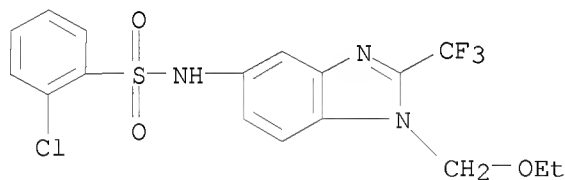
RN 156493-69-5 HCAPLUS

CN Benzenemethanesulfonamide, N-[1-(ethoxymethyl)-2-(trifluoromethyl)-1H-benzimidazol-5-yl]- (CA INDEX NAME)



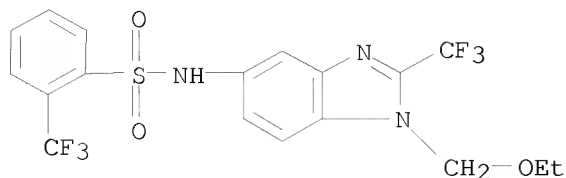
RN 156493-71-9 HCAPLUS

CN Benzenesulfonamide, 2-chloro-N-[1-(ethoxymethyl)-2-(trifluoromethyl)-1H-benzimidazol-5-yl]- (CA INDEX NAME)



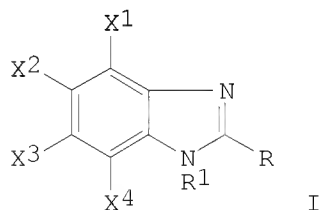
RN 156493-72-0 HCAPLUS

CN Benzenesulfonamide, N-[1-(ethoxymethyl)-2-(trifluoromethyl)-1H-benzimidazol-5-yl]-2-(trifluoromethyl)- (CA INDEX NAME)



L18 ANSWER 18 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 1994:499774 HCAPLUS
 DOCUMENT NUMBER: 121:99774
 ORIGINAL REFERENCE NO.: 121:17707a,17710a
 TITLE: Preparation of substituted benzimidazoles as protozoacides.
 INVENTOR(S): Lunkenheimer, Winfried; Baasner, Bernd; Lieb, Folker; Haberkorn, Axel
 PATENT ASSIGNEE(S): Bayer A.-G., Germany
 SOURCE: Ger. Offen., 102 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4237617	A1	19940511	DE 1992-4237617	19921106 <--
AU 9348731	A	19940519	AU 1993-48731	19930930 <--
AU 670317	B2	19960711		
EP 597304	A1	19940518	EP 1993-117243	19931025 <--
EP 597304	B1	20010110		
R: BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE				
ES 2154641	T3	20010416	ES 1993-117243	19931025 <--
US 5482956	A	19960109	US 1993-146634	19931029 <--
JP 06219946	A	19940809	JP 1993-296008	19931102 <--
GR 3035574	T3	20010629	GR 2001-400421	20010314 <--
PRIORITY APPLN. INFO.:			DE 1992-4237617	A 19921106
OTHER SOURCE(S):	MARPAT 121:99774			
GI				



AB The benzimidazoles I [X1-4=H,halo,CN,NO2,(un)substituted alkyl, alkoxy, etc.; R=fluoroalkyl;R1=(un)substituted alkyl,dialkoxyphosphonyl, etc.] are prepared as protozoacides. 5(6)-Phenyl-2-trifluoromethyl-1H-benzimidazole (preparation given) was refluxed with chloromethyl Et ether, in K2CO3-containing Et

acetate, to give 1-ethoxymethyl-5(6)-phenyl-2-trifluoromethyl-1H-benzimidazole. I (not specified) was used for treatment of coccidiosis in chicken.

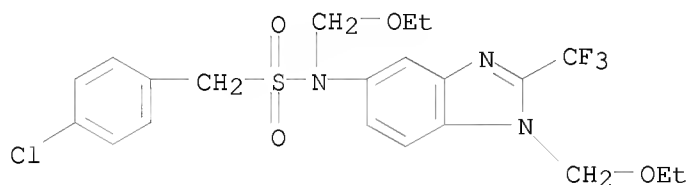
IT 156493-68-4P 156493-69-5P 156493-70-8P
156493-71-9P 156493-72-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as protozoacide)

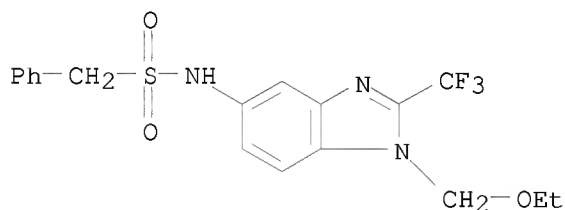
RN 156493-68-4 HCAPLUS

CN Benzenemethanesulfonamide, 4-chloro-N-(ethoxymethyl)-N-[1-(ethoxymethyl)-2-(trifluoromethyl)-1H-benzimidazol-5-yl]- (CA INDEX NAME)



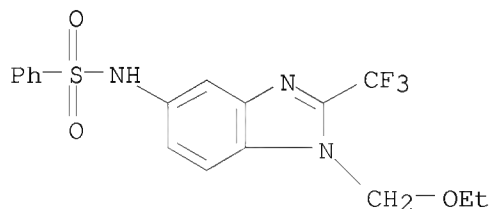
RN 156493-69-5 HCAPLUS

CN Benzenemethanesulfonamide, N-[1-(ethoxymethyl)-2-(trifluoromethyl)-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 156493-70-8 HCAPLUS

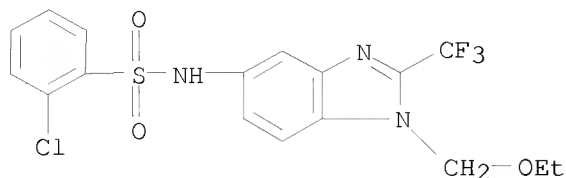
CN Benzenesulfonamide, N-[1-(ethoxymethyl)-2-(trifluoromethyl)-1H-benzimidazol-5-yl]- (CA INDEX NAME)



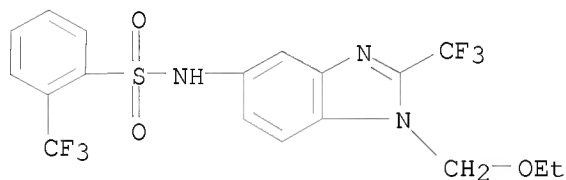
RN 156493-71-9 HCAPLUS

CN Benzenesulfonamide, 2-chloro-N-[1-(ethoxymethyl)-2-(trifluoromethyl)-1H-benzimidazol-5-yl]- (CA INDEX NAME)

10573054



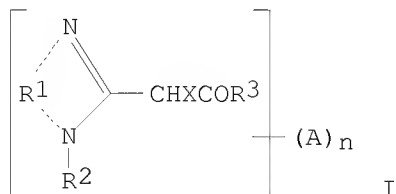
RN 156493-72-0 HCAPLUS
 CN Benzenesulfonamide, N-[1-(ethoxymethyl)-2-(trifluoromethyl)-1H-benzimidazol-5-yl]-2-(trifluoromethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)

L18 ANSWER 19 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 1993:157655 HCAPLUS
 DOCUMENT NUMBER: 118:157655
 ORIGINAL REFERENCE NO.: 118:26859a,26862a
 TITLE: Novel yellow coupler containing silver halide color photographic material
 INVENTOR(S): Saito, Naoki; Obayashi, Keiji
 PATENT ASSIGNEE(S): Fuji Shashin Film K. K., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 57 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04085537	A	19920318	JP 1990-201845	19900730 <--
JP 2964011	B2	19991018		
US 5187056	A	19930216	US 1991-737274	19910729 <--
PRIORITY APPLN. INFO.: GI			JP 1990-201845	A 19900730

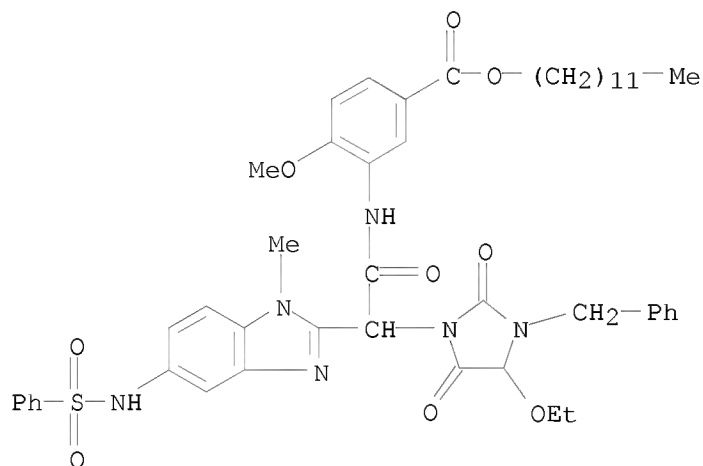


AB The title color photog. material contains in ≥ 1 of its hydrophilic colloid layers (I) [R1 = atoms required to complete an unsatd. heterocycle; R2 = H, aliphatic, aromatic, or heterocyclic ring; R3 = organic residue; X = group releasable on reacting with oxidized primary aromatic amine-type developer; A = acidic release group substitutable at random; n ≥ 1 ; when A is a substituent on X, X released on reaction with the oxidized developer does not react further with the oxidized developer. Color image sharpness and color reproducibility are improved, high sensitivity is achieved, and color image stability is also achieved.

IT 144761-75-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and use of, as yellow photog. coupler)

RN 144761-75-1 HCAPLUS

CN Benzoic acid, 3-[[2-[4-ethoxy-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]-2-[1-methyl-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]acetyl]amino]-4-methoxy-, dodecyl ester (CA INDEX NAME)



L18 ANSWER 20 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1992:21042 HCAPLUS

DOCUMENT NUMBER: 116:21042

ORIGINAL REFERENCE NO.: 116:3719a,3722a

TITLE: Preparation of biphenylmethylbenzimidazoles as angiotensin II antagonists

INVENTOR(S): Narr, Berthold; Bomhard, Andreas; Huel, Norbert; Van Meel, Jacques; Wienen, Wolfgang; Entzeroth, Michael

PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany

SOURCE: Eur. Pat. Appl., 172 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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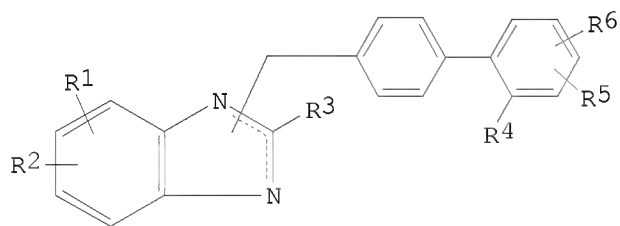
EP 392317	A2	19901017	EP 1990-106322	19900403 <--
EP 392317	A3	19910807		
EP 392317	B1	19960103		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 3911603	A1	19901018	DE 1989-3911603	19890408 <--
DE 3928177	A1	19910228	DE 1989-3928177	19890825 <--
AT 132491	T	19960115	AT 1990-106322	19900403 <--
ES 2088915	T3	19961001	ES 1990-106322	19900403 <--
CA 2014008	A1	19901008	CA 1990-2014008	19900406 <--
CA 2014008	C	19990907		
NO 9001571	A	19901009	NO 1990-1571	19900406 <--
NO 177533	B	19950626		
NO 177533	C	19951004		
HU 53619	A2	19901128	HU 1990-2116	19900406 <--
HU 219908	B	20010928		
JP 03063264	A	19910319	JP 1990-91952	19900406 <--
JP 07025739	B	19950322		
DD 293581	A5	19910905	DD 1990-339547	19900406 <--
FI 103044	B	19990415	FI 1990-1739	19900406 <--
FI 103044	B1	19990415		
IL 94049	A	19940530	IL 1990-94049	19900408 <--
AU 9053013	A	19901011	AU 1990-53013	19900409 <--
AU 629324	B2	19921001		
ZA 9002695	A	19911224	ZA 1990-2695	19900409 <--
RU 2026861	C1	19950120	RU 1992-5011164	19920330 <--
US 5541229	A	19960730	US 1994-227291	19940413 <--
US 5864043	A	19990126	US 1997-933919	19970923 <--

PRIORITY APPLN. INFO.:

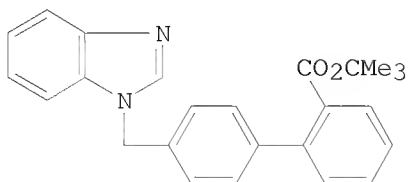
DE 1989-3911603	A	19890408
DE 1989-3928177	A	19890825
US 1990-505967	B1	19900406
US 1991-750175	B1	19910826
US 1992-979400	B1	19921119
US 1994-227291	A3	19940413
US 1996-608353	B1	19960228

OTHER SOURCE(S):
GI

CASREACT 116:21042; MARPAT 116:21042



I



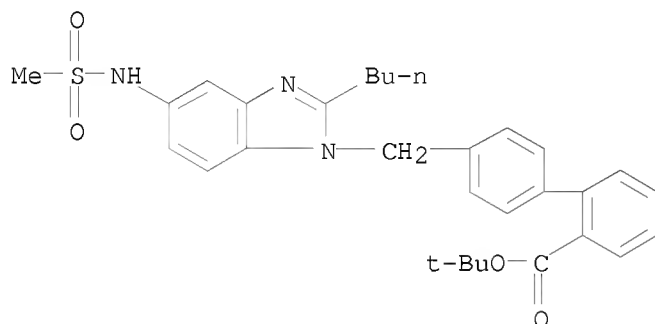
II

AB The title compds. [I; R1 = H, OH, F, Cl, Br, (substituted) alkyl, alkylcarbonylamino, alkoxy, amino, acyl, phenylalkoxy, alkylsulfonyl, etc.; R2 = R1, (substituted) 2-imidazolidinon-1-yl, 3,4,5,6-tetrahydro-2-pyrimidin-1-yl, tetrazolyl; R1R2 = atoms to complete a Ph or 1,3,3-trialkyl-2,3-dihydropyrrol-2-one group; R3 = H, F, Cl, Br, (substituted) (O-, S-, SO, SO2, imino-interrupted) alkyl, amino, alkenyl, aminocarbonyl, alkynyl, phenylalkyl, cycloalkyl, 5- or 6-membered heteroaryl, etc.; R4 = NH2, phthalimido, H2NCH2, cyano, etc.; R5 = H, F, Cl, Br; R6 = H; R5R6 = atoms to complete a Ph ring], were prepared Thus, tert-Bu 4'-(bromomethyl)biphenyl-2-carboxylate was added to a mixture of benzimidazole and KOCMe3 in Me2SO and the mixture was stirred 2 h to give 90.8% title compound II. I showed IC50 of 0.6-29.0 μ M.

IT 133140-97-3P 133142-18-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as angiotensin II antagonists)

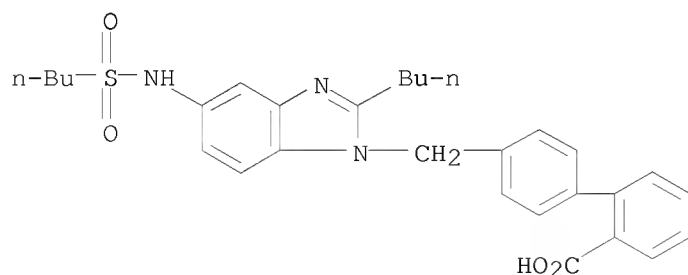
RN 133140-97-3 HCAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid,
 4'-[[2-butyl-5-[(methylsulfonyl)amino]-1H-benzimidazol-1-yl]methyl]-,
 1,1-dimethylethyl ester (CA INDEX NAME)



RN 133142-18-4 HCAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid,
 4'-[[2-butyl-5-[(butylsulfonyl)amino]-1H-benzimidazol-1-yl]methyl]- (CA
 INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS
 RECORD (17 CITINGS)

=> d 118 ibib abs 1-10

L18 ANSWER 1 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:994933 HCAPLUS

DOCUMENT NUMBER: 145:377335

TITLE: Preparation of substituted
1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids
as remedies for hepatitis CINVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida,
Atsuhito

PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan

SOURCE: U.S., 358pp., Cont.-in-part of Ser. No. 939,374.

CODEN: USXXAM

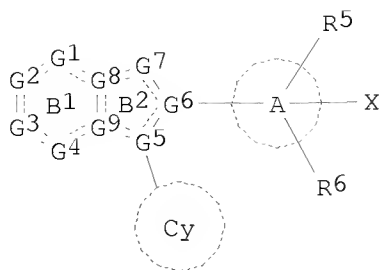
DOCUMENT TYPE: Patent

LANGUAGE: English

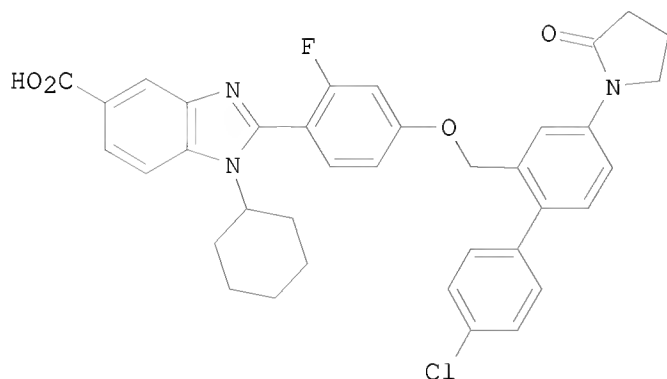
FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 7112600	B1	20060926	US 2002-180558	20020626 <--
WO 2001047883	A1	20010705	WO 2000-JP9181	20001222 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CN 1167680	C	20040922	CN 2000-804635	20001222
JP 2001247550	A	20010911	JP 2000-391904	20001225 <--
US 20030050320	A1	20030313	US 2001-939374	20010824 <--
US 6770666	B2	20040803		
ZA 2003001393	A	20040715	ZA 2003-1393	20020626
US 20070032497	A1	20070208	US 2005-93208	20050328 <--
PRIORITY APPLN. INFO.:			JP 1999-369008	A 19991227
			WO 2000-JP9181	A2 20001222
			JP 2000-391904	A 20001225
			JP 2001-193786	A 20010626
			US 2001-939374	A2 20010824
			JP 2001-351537	A 20011116
			US 2002-180558	A3 20020626
OTHER SOURCE(S):		MARPAT 145:377335		
GI				



I



II

AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, S, CR7, etc.; R1-R4 = H, NO2, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = Ph, cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, CN, etc.; R7 = H, alkyl] are prepared and formulated. Compds. I showed HCV polymerase inhibitory activity (data given). E.g., a multi-step synthesis of II.HCl, starting from 2-bromo-5-nitrotoluene and Me 2-(2-fluoro-4-hydroxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylate, was given.

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:836829 HCAPLUS

DOCUMENT NUMBER: 139:323519

TITLE: Preparation of imidazoarenes as prostaglandin E2 subtype EP4 receptor antagonists for treatment of IL-6 involved diseases

INVENTOR(S): Shimojo, Masato; Taniguchi, Kana

PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.

SOURCE: PCT Int. Appl., 427 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086371	A2	20031023	WO 2003-IB1310	20030403 <--
WO 2003086371	A3	20040603		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2481535	A1	20031023	CA 2003-2481535	20030403 <--
AU 2003214525	A1	20031027	AU 2003-214525	20030403 <--
AU 2003214525	B2	20080925		
EP 1499305	A2	20050126	EP 2003-710104	20030403
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003009200	A	20050222	BR 2003-9200	20030403
CN 1658847	A	20050824	CN 2003-813401	20030403
JP 2005533756	T	20051110	JP 2003-583392	20030403
RU 2285527	C2	20061020	RU 2004-130320	20030403
NZ 535748	A	20070629	NZ 2003-535748	20030403
CN 101023946	A	20070829	CN 2007-10084937	20030403
US 20030236260	A1	20031225	US 2003-411491	20030410 <--
US 7148234	B2	20061212		
MX 2004009243	A	20050608	MX 2004-9243	20040923
ZA 2004007795	A	20070131	ZA 2004-7795	20040928
IN 2004DN02958	A	20090403	IN 2004-DN2958	20040929
NO 2004004462	A	20050111	NO 2004-4462	20041020
US 20070066618	A1	20070322	US 2006-556414	20061103 <--
PRIORITY APPLN. INFO.:				
			US 2002-372364P	P 20020412
			CN 2003-813401	A3 20030403
			WO 2003-IB1310	W 20030403
			US 2003-411491	A3 20030410
OTHER SOURCE(S): MARPAT 139:323519				
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to the use of a prostaglandin E2 (PGE2) subtype EP4 receptor ligand in the manufacture of a medicament for the treatment of interleukin 6 (IL-6) involved diseases, such as alc. cirrhosis, amyloidosis, atherosclerosis, cardiac disease, sclerosis, and organ transplantation reactions (no data). The invention also relates to the assay which comprises culturing peripheral whole blood with a test compound and determining the effect of the compound on PGE2-induced whole blood cells activation. Three hundred eighty title compds. I [wherein Y1-Y4 = N, CH, CL; R1 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, pyrrolidinyl, amino, etc.; A = (un)substituted 5-6 membered

(un)substituted monocyclic (hetero)aromatic ring; B = halo-substituted alkylene, cycloalkylene, alkenylene, alkynylene, alkyleneoxy, etc., optionally substituted with an oxo or alkyl group; W = amino, O, S, bond, etc.; R2 = H, OH, alkyl, alkoxy; Z = 5-12 membered (un)substituted monocyclic or bicyclic (hetero)aryl; L = halo, alkyl, haloalkyl, OH, alkoxy, haloalkoxy, alkylthio, NO2, amino, etc.] were prepared. Thus, cycloaddn. of 2-[4-[(3-amino-4,6-dimethyl-2-pyridinyl)amino]phenyl]ethanol (4-step preparation given) with propionyl chloride in toluene provided 2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl propionate, which was treated with aqueous LiOH to give the ethanol derivative (86%). Chlorination (90%) using thionyl chloride, conversion to the azide (85%), and Pd/C catalyzed hydrogenation afforded the amine (94%). Coupling of the amine with p-toluenesulfonyl isocyanate in CH2Cl2 gave II (56%). The latter significantly inhibited IL-6 secretion by PGE2 in ConA-stimulated human peripheral blood mononuclear cells (PBMC).

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
 REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 3 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:203407 HCAPLUS

DOCUMENT NUMBER: 138:238181

TITLE: Preparation of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C

INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito

PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan

SOURCE: U.S. Pat. Appl. Publ., 406 pp., Cont.-in-part of Appl. No. PCT/JP00/09181.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030050320	A1	20030313	US 2001-939374	20010824 <--
US 6770666	B2	20040803		
WO 2001047883	A1	20010705	WO 2000-JP9181	20001222 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2001247550	A	20010911	JP 2000-391904	20001225 <--
ZA 2003001393	A	20040715	ZA 2003-1393	20020626
US 7112600	B1	20060926	US 2002-180558	20020626 <--
US 20040097438	A1	20040520	US 2003-615329	20030708 <--
US 7285551	B2	20071023		
US 20070032497	A1	20070208	US 2005-93208	20050328 <--
PRIORITY APPLN. INFO.:			JP 1999-369008	A 19991227

WO	2000-JP9181	A2	20001222
JP	2000-391904	A	20001225
JP	2001-193786	A	20010626
US	2001-939374	A2	20010824
JP	2001-351537	A	20011116
US	2002-180558	A3	20020626

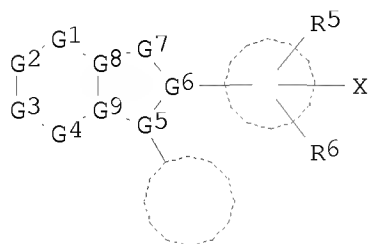
AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, S, CR7, etc.; R1-R4 = H, NO2, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = Ph, cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, CN, etc.; R7 = H, alkyl] are prepared and formulated. Compds. I showed HCV polymerase inhibitory activity (data given). E.g., a multi-step synthesis of II.HCl, starting from 2-bromo-5-nitrotoluene and Me 2-(2-fluoro-4-hydroxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylate, was given.

L18 ANSWER 4 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:5773 HCAPLUS
DOCUMENT NUMBER: 138:66657
TITLE: Fused cyclic compounds and medicinal use thereof
INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 603 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000254	A1	20030103	WO 2002-JP6405	20020626 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BE, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
JP 2003212846	A	20030730	JP 2002-185241	20020625 <--
AU 2002346216	A1	20030108	AU 2002-346216	20020626 <--
AU 2002346216	B2	20051208		

CA 2423800	A1	20030325	CA 2002-2423800	20020626	<--
BR 2002005684	A	20030617	BR 2002-5684	20020626	<--
EP 1400241	A1	20040324	EP 2002-743728	20020626	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
ZA 2003001393	A	20040715	ZA 2003-1393	20020626	
TR 200300544	T1	20050822	TR 2003-544	20020626	
CN 1893941	A	20070110	CN 2002-802824	20020626	
HU 2003001490	A2	20080128	HU 2003-1490	20020626	
US 20040082635	A1	20040429	US 2003-344997	20030218	<--
NO 2003000832	A	20030422	NO 2003-832	20030221	<--
IN 2003CN00499	A	20050415	IN 2003-CN499	20030408	
MX 2003004936	A	20030910	MX 2003-4936	20030602	<--
PRIORITY APPLN. INFO.:			JP 2001-193786	A	20010626
			JP 2001-351537	A	20011116
			AU 2001-24017	A	20001222
			WO 2002-JP6405	W	20020626
OTHER SOURCE(S):			MARPAT 138:66657		
GI					



AB Fused cyclic compds. represented by the following general formula [I] or pharmaceutically acceptable salts thereof and remedies for hepatitis C containing these compds.: I wherein each symbol is as defined in the description. Because of having an effect against hepatitis C virus (HVC) based on an HCV polymerase inhibitory effect, these compds. are useful as remedies or preventives for hepatitis C.

OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 5 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:904287 HCAPLUS

DOCUMENT NUMBER: 137:380015

TITLE: Use of benzimidazole compounds for the treatment and prevention of arterial thrombotic diseases

INVENTOR(S): Hael, Norbert; Stassen, Jean Marie; Wienen, Wolfgang

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma Kg, Germany

SOURCE: Ger. Offen., 4 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10125478	A1	20021128	DE 2001-10125478	20010525 <--
US 20020193404	A1	20021219	US 2002-137895	20020502 <--
WO 2002096425	A1	20021205	WO 2002-EP5522	20020518 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002313473	A1	20021209	AU 2002-313473	20020518 <--

PRIORITY APPLN. INFO.:
DE 2001-10125478 A 20010525
US 2001-301899P P 20010628
WO 2002-EP5522 W 20020518

AB The invention provides a method for the treatment and prevention of arterial thrombotic illnesses, comprising the administration of an effective quantity of one of 1-methyl-2-[(4-amidinophenyl)-oxymethyl]-5-[N(hydroxycarbonylmethyl)-quinolin-8-sulfonylamino]benzimidazole and 1-methyl-2-[N-(4-amidinophenyl)-aminomethyl]-5-[N-(hydroxycarbonylmethyl)-quinolin-8-sulfonylamino]benzimidazole, their physiol. acceptable salts or their mixts. Also provided is the use of these compds. for the production of appropriate drugs.

L18 ANSWER 6 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:832768 HCAPLUS

DOCUMENT NUMBER: 137:337892

TITLE: Novel alkoxyarylbenzimidazoles as CB2 receptor agonists

INVENTOR(S): Cheng, Yun-Xing; Tomaszewski, Mirosław; Walpole, Christopher; Yang, Hua

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 112 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

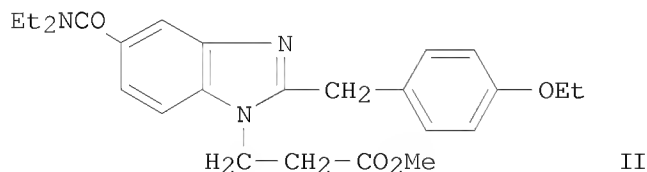
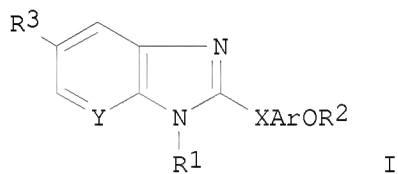
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085866	A1	20021031	WO 2002-SE769	20020418 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

CA 2444381	A1	20021031	CA 2002-2444381	20020418 <--
AU 2002307586	A1	20021105	AU 2002-307586	20020418 <--
EE 200300524	A	20040216	EE 2003-524	20020418
EP 1390350	A1	20040225	EP 2002-764120	20020418
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2003003825	A2	20040301	HU 2003-3825	20020418
HU 2003003825	A3	20050628		
BR 2002008907	A	20040420	BR 2002-8907	20020418
CN 1503787	A	20040609	CN 2002-808577	20020418
CN 1250531	C	20060412		
JP 2004528334	T	20040916	JP 2002-583393	20020418
NZ 528403	A	20050527	NZ 2002-528403	20020418
NZ 538692	A	20060929	NZ 2002-538692	20020418
RU 2312864	C2	20071220	RU 2003-129638	20020418
ZA 2003007752	A	20050103	ZA 2003-7752	20031003
US 20040116465	A1	20040617	US 2003-474549	20031009 <--
US 7030139	B2	20060418		
IN 2003DN01633	A	20090320	IN 2003-DN1633	20031009
BG 108271	A	20041230	BG 2003-108271	20031014
NO 2003004665	A	20031210	NO 2003-4665	20031017 <--
MX 2003009558	A	20040212	MX 2003-9558	20031017
US 20060135554	A1	20060622	US 2006-325124	20060104 <--
PRIORITY APPLN. INFO.:			SE 2001-1387	A 20010420
			NZ 2002-528403	A1 20020418
			WO 2002-SE769	W 20020418
			US 2003-474549	A3 20031009
OTHER SOURCE(S):			MARPAT 137:337892	
GI				



AB Title compds. I [R1 = (un)substituted alkyl, alkenyl; R2 = alkyl, fluoroalkyl, cycloalkyl; R3 = (un)substituted H2NCONH, HCONH, HO2CNH, H2NCSNH, HSO2NH, H2NSO2, H2NCH2, H2NCS, H2NCO, NH2, acyl; X = (un)substituted CH2, NH, CO, CH2CH2, CH:CH, O, S, S(O), SO2; Y = CH, N; Ar

= (un)substituted aryl] were prepared as CB2 receptor agonists in the management of pain. Thus, 4,3-F(O2N)C6H3CONH2 was treated with H2NCH2CH2CO2Et followed by reduction of the nitro group and cyclization with 4-EtOC6H4CH2COCl to give the benzimidazole II, formed by transesterification during chromatog. II had Ki for human CB2 receptor binding of 142 nM.

OS.CITING REF COUNT: 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS RECORD (29 CITINGS)
 REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 7 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:314939 HCAPLUS

DOCUMENT NUMBER: 136:340677

TITLE: Preparation of imidazoarenes as antiinflammatory and analgesic agents.

INVENTOR(S): Nakao, Kazunari; Okumura, Yoshiyuki; Matsumizu, Miyako; Uneo, Naomi; Hashizume, Yoshinobu; Kato, Tomoki; Kawai, Akiyoshi; Miyake, Yoriko; Nukui, Seiji; Shinjyo, Katsuhiko; Taniguchi, Kana

PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.

SOURCE: PCT Int. Appl., 461 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

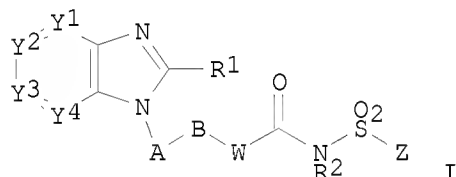
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032900	A2	20020425	WO 2001-IB1940	20011015 <--
WO 2002032900	A3	20020808		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2426457	A1	20020425	CA 2001-2426457	20011015 <--
AU 2002010796	A	20020429	AU 2002-10796	20011015 <--
US 20020077329	A1	20020620	US 2001-977761	20011015 <--
US 20020107273	A1	20020808	US 2001-977621	20011015 <--
US 6710054	B2	20040323		
EP 1326864	A2	20030716	EP 2001-978702	20011015 <--
EP 1326864	B1	20060315		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
EE 200300190	A	20031015	EE 2003-190	20011015 <--
BR 2001014704	A	20040225	BR 2001-14704	20011015
JP 2004517054	T	20040610	JP 2002-536282	20011015
JP 4060182	B2	20080312		
NZ 525163	A	20050930	NZ 2001-525163	20011015
AT 320428	T	20060415	AT 2001-978702	20011015
EP 1666480	A1	20060607	EP 2006-110920	20011015

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

AU 2002210796	B2	20060810	AU 2002-210796	20011015
ES 2258554	T3	20060901	ES 2001-978702	20011015
HU 2006000593	A2	20061128	HU 2006-593	20011015
BG 107699	A	20031231	BG 2003-107699	20030403 <--
IN 2003MN00386	A	20050211	IN 2003-MN386	20030407
NO 2003001582	A	20030617	NO 2003-1582	20030408 <--
ZA 2003002722	A	20040408	ZA 2003-2722	20030408
MX 2003003463	A	20030714	MX 2003-3463	20030416 <--
ZA 2003002991	A	20040416	ZA 2003-2991	20030416
US 20040181059	A1	20040916	US 2004-771696	20040204 <--
US 7141580	B2	20061128		
IN 2006MN00518	A	20070608	IN 2006-MN518	20060508
US 20070155732	A1	20070705	US 2006-556523	20061103 <--
US 7479564	B2	20090120		
JP 2007277255	A	20071025	JP 2007-154590	20070611
PRIORITY APPLN. INFO.:			US 2000-241825P	P 20001019
			EP 2001-978702	A3 20011015
			JP 2002-536282	A3 20011015
			US 2001-977621	A3 20011015
			WO 2001-IB1940	W 20011015
			US 2004-771696	A3 20040204

OTHER SOURCE(S): MARPAT 136:340677
GI



AB Title compds. [I; Y1-Y4 = N, CH, CL; R1 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, pyrrolidinyl, amino, etc.; A = (substituted) 5-6 membered monocyclic aromatic ring optionally containing up to 3 heteroatoms selected from O, N, S, etc.; B = halo-substituted alkylene, cycloalkylene, alkenylene, alkynylene, alkyleneoxy, etc., optionally substituted with an oxo group; W = amino, O, S, bond, etc.; R2 = H, OH, alkyl, alkoxy; Z = 5-12 membered (substituted) monocyclic or bicyclic aryl optionally containing up to 3 heteroatoms selected from O, N and S, etc.; L = halo, alkyl, haloalkyl, OH, alkoxy, haloalkoxy, alkylthio, NO2, amino, etc.], were prepared as prostaglandin E2 receptor antagonists, preferably as EP4 receptor antagonists. Thus, to 2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethylamine (preparation given) in CH2Cl2 was added p-toluenesulfonyl isocyanate followed by stirring for 3 h to give 56% 2-ethyl-5,7-dimethyl-3-[4-[2-[[[(4-methylphenyl)sulfonyl]amino]carbonyl]amino]ethyl]phenyl]-3H-imidazo[4,5-b]pyridine. Preferred I inhibited PGE2-induced thermal hyperalgesia in rats with ED50<60 mg/kg.

OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 8 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:314767 HCAPLUS

DOCUMENT NUMBER: 136:340676

TITLE: Preparation of benzimidazole derivatives as
prostaglandin EP4 receptor inhibitors to treat
rheumatoid arthritis

INVENTOR(S): Audoly, Laurent; Okumura, Takako; Shimojo, Masato

PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.

SOURCE: PCT Int. Appl., 468 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

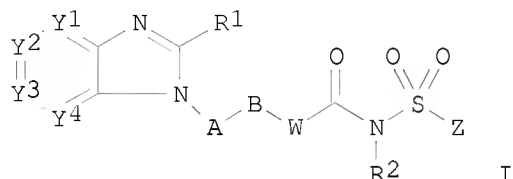
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032422	A2	20020425	WO 2001-IB1942	20011015 <--
WO 2002032422	A3	20020725		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2426487	A1	20020425	CA 2001-2426487	20011015 <--
AU 2001094122	A	20020429	AU 2001-94122	20011015 <--
US 20020077329	A1	20020620	US 2001-977761	20011015 <--
US 20020107273	A1	20020808	US 2001-977621	20011015 <--
US 6710054	B2	20040323		
BR 2001014758	A	20030701	BR 2001-14758	20011015 <--
EP 1326606	A2	20030716	EP 2001-974609	20011015 <--
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EE 200300188	A	20031015	EE 2003-188	20011015 <--
JP 2004511518	T	20040415	JP 2002-535660	20011015
HU 2003003766	A2	20040428	HU 2003-3766	20011015
HU 2003003766	A3	20050728		
AT 320428	T	20060415	AT 2001-978702	20011015
EP 1666480	A1	20060607	EP 2006-110920	20011015
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
ES 2258554	T3	20060901	ES 2001-978702	20011015
ZA 2003002722	A	20040408	ZA 2003-2722	20030408
NO 2003001658	A	20030610	NO 2003-1658	20030410 <--
MX 2003003448	A	20030714	MX 2003-3448	20030416 <--
BG 107732	A	20040130	BG 2003-107732	20030416
ZA 2003002991	A	20040416	ZA 2003-2991	20030416
US 20040181059	A1	20040916	US 2004-771696	20040204 <--
US 7141580	B2	20061128		
US 20070155732	A1	20070705	US 2006-556523	20061103 <--
US 7479564	B2	20090120		
JP 2007277255	A	20071025	JP 2007-154590	20070611

10573054

PRIORITY APPLN. INFO.:

US 2000-241825P	P 20001019
EP 2001-978702	A3 20011015
JP 2002-536282	A3 20011015
US 2001-977621	A3 20011015
WO 2001-IB1942	W 20011015
US 2004-771696	A3 20040204

OTHER SOURCE(S): MARPAT 136:340676
GI



AB Benzimidazole derivs. I wherein Y1-Y4 are independently N, CH, alkyl, alkoxy, haloalkyl, halo, substituted alkyl, R1 is H, alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, haloalkoxy, heterocycle; R2 is H, alkyl, alkoxy, OH; A is substituted heterocycle arom ring; B is haloalkylene, cycloalkylene, alkenylene, alkynylene, oxyalkylene; W is NH, aminoalkyl, O, S, oxime, covalent bond; Z is monocyclic and bicyclic aromatic heterocycle, were prepared as prostaglandin EP4 receptor inhibitors to treat rheumatoid arthritis of rats and human. Also featured is a method of identifying agents that selectively inhibit EP4 activity in vivo. Thus, 3-(4-{2[({[(3,4-dichlorophenyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridine, hydrochloride was prepared and tested in vivo as an agent selectively inhibiting EP4 activity or selectively binding EP4; and measuring joint inflammation, joint swelling, joint ankylosis, interleukin (IL)-6, SAA protein, and/or joint mobility.

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:275970 HCAPLUS

DOCUMENT NUMBER: 136:294831

TITLE: Preparation of benzimidazoles and indoles as CRF receptor modulators

INVENTOR(S): De Lombaert, Stephane; Ge, Ping; Horvath, Raymond F.; Yoon, Taeyoung

PATENT ASSIGNEE(S): Neurogen Corporation, USA

SOURCE: PCT Int. Appl., 115 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

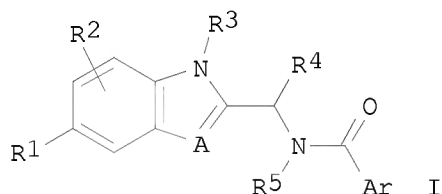
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002028839	A1	20020411	WO 2001-US31738	20011005 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
 UZ, VN, YU, ZA, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 CA 2425185 A1 20020411 CA 2001-2425185 20011005 <--
 AU 2001096799 A 20020415 AU 2001-96799 20011005 <--
 US 20030055037 A1 20030320 US 2001-972786 20011005 <--
 EP 1322620 A1 20030702 EP 2001-977701 20011005 <--
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 JP 2004510765 T 20040408 JP 2002-532425 20011005
 MX 2003003039 A 20031015 MX 2003-3039 20030404 <--
 PRIORITY APPLN. INFO.: US 2000-238713P P 20001006
 WO 2001-US31738 W 20011005
 OTHER SOURCE(S): MARPAT 136:294831
 GI



AB The title compds. [I; A = N, (un)substituted CH; R1 = H, alkyl, haloalkoxy, etc.; R2 = halo, OH, CN, etc.; R3 = (un)substituted alkyl, (un)substituted (un)saturated 5-7 membered ring having 0-2 ring atoms chosen from O and N; R4 = H, (un)substituted alkyl; R5 = (un)substituted alkyl; or R4 and R5 are joined to form (un)saturated 5-8 membered ring comprising 0-1 addnl. N atom, 0-1 O atom; Ar = Ph, 5-7 membered heteroaryl having 0-2 ring atoms chosen from O, N, and S; Ar is substituted ortho by R6 and is optionally substituted by 1 or more of R7; R6 = halo, OH, CN, etc.; R7 = OH, CN, NH2, etc.] that act as selective modulators of CRF 1 receptors, and are useful in the treatment of a number of CNS and peripheral disorders, particularly stress, anxiety, depression, cardiovascular disorders, and eating disorders, were prepared E.g., a 4-step synthesis of I [A = N; R1-R2 = H; R3 = CHPr2; R4 = H; R5 = iso-Pr; Ar = 2,4,6-Me3C6H2], starting with 4-heptanone and 1,2-phenylenediamine, was given. The exemplified compds. I showed IC50 values of $\leq 4 \mu\text{M}$ against CRF1 receptor binding. Compds. I also useful as probes for the localization of CRF receptors and as stds. in assays for CRF receptor binding.

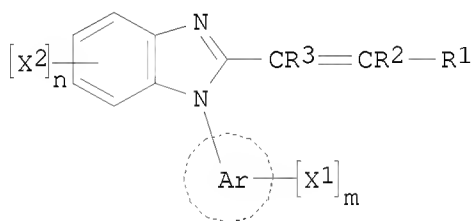
OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
 REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 10 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2001:792334 HCAPLUS
 DOCUMENT NUMBER: 135:344480

10573054

TITLE: Preparation of benzimidazole cyclooxygenase-2 inhibitors
INVENTOR(S): Okumura, Yoshiyuki; Murata, Yoshinori; Mano, Takashi
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 29 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6310079	B1	20011030	US 1999-244875	19990205 <--
US 20030013886	A1	20030116	US 2001-924351	20010808 <--
US 6713482	B2	20040330		
US 20040181062	A1	20040916	US 2004-773937	20040205 <--
PRIORITY APPLN. INFO.:			WO 1998-IB164	W 19980211
			US 1999-244875	A3 19990205
			US 2001-924351	A3 20010808
OTHER SOURCE(S):	MARPAT 135:344480			
GI				



AB The title compds. [I; Ar = 6-membered monocyclic heteroaryl having one N atom; X1, X2 = halo, alkyl, OH, etc.; R1 = (un)substituted Ph, 5-membered monocyclic heteroaryl; R2, R3 = H, halo, alkyl, etc.; or R1 and R2 can form, together with the carbon atom to which they are attached, a cycloalkyl ring; m = 0-5; n = 0-4] and their pharmaceutically acceptable salts, useful as analgesics and anti-inflammatory agents, were prepared Thus, refluxing N-(2-pyridyl)-o-phenylenediamine with (E)-cinnamoyl chloride in PhMe afforded 41% (E)-I [Ar = 2-pyridyl; X1, X2 = H; R1 = Ph; R1, R2 = H]. Some compds. I showed low IC50 values of 0.01-1.0 μ M against COX-2.

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l18 ibib abs hit stra 1-10
'STRA' IS NOT A VALID FORMAT FOR FILE 'HCAPLUS'

The following are valid formats:

ABS ----- GI and AB
 ALL ----- BIB, AB, IND, RE
 APPS ----- AI, PRAI
 BIB ----- AN, plus Bibliographic Data and PI table (default)
 CAN ----- List of CA abstract numbers without answer numbers
 CBIB ----- AN, plus Compressed Bibliographic Data
 CLASS ----- IPC, NCL, ECLA, FTERM
 DALL ----- ALL, delimited (end of each field identified)
 DMAX ----- MAX, delimited for post-processing
 FAM ----- AN, PI and PRAI in table, plus Patent Family data
 FBIB ----- AN, BIB, plus Patent FAM
 IND ----- Indexing data
 IPC ----- International Patent Classifications
 MAX ----- ALL, plus Patent FAM, RE
 PATS ----- PI, SO
 SAM ----- CC, SX, TI, ST, IT
 SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
 SCAN must be entered on the same line as the DISPLAY,
 e.g., D SCAN or DISPLAY SCAN)
 STD ----- BIB, CLASS

 IABS ----- ABS, indented with text labels
 IALL ----- ALL, indented with text labels
 IBIB ----- BIB, indented with text labels
 IMAX ----- MAX, indented with text labels
 ISTD ----- STD, indented with text labels

 OBIB ----- AN, plus Bibliographic Data (original)
 OIBIB ----- OBIB, indented with text labels

 SBIB ----- BIB, no citations
 SIBIB ----- IBIB, no citations

 HIT ----- Fields containing hit terms
 HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
 containing hit terms
 HITRN ----- HIT RN and its text modification
 HITSTR ----- HIT RN, its text modification, its CA index name, and
 its structure diagram
 HITSEQ ----- HIT RN, its text modification, its CA index name, its
 structure diagram, plus NTE and SEQ fields
 FHITSTR ----- First HIT RN, its text modification, its CA index name, and
 its structure diagram
 FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
 structure diagram, plus NTE and SEQ fields
 KWIC ----- Hit term plus 20 words on either side
 OCC ----- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST; TI,IND; TI,SO. You may specify the format fields in any order and the information will be displayed in the same order as the format specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR,

10573054

FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC
to view a specified Accession Number.
ENTER DISPLAY FORMAT (BIB):end

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(FILE 'HOME' ENTERED AT 11:54:06 ON 12 NOV 2009)

FILE 'REGISTRY' ENTERED AT 11:54:30 ON 12 NOV 2009

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L3 1878 S L1 SSS FULL
L4 STRUCTURE UPLOADED
L5 3 S L4
L6 90 S L4 SSS FULL
L7 STRUCTURE UPLOADED
L8 STRUCTURE UPLOADED
L9 0 S L8
L10 8 S L8 SSS FULL

FILE 'HCAPLUS' ENTERED AT 12:02:41 ON 12 NOV 2009

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L12 9 S L6
L13 2 S L10
L14 37 S L11 AND PY<=2003
L15 0 S L12 AND PY<=2003
L16 0 S L13 AND PY<=2003
L17 34 S L14 AND P/DT
L18 20 S L17 AND US/PC
L19 3 S L18 AND DISEASE
L20 0 S L18 AND PHARMACEUTICAL COMPOSITIONS
L21 0 S L18 AND COMPOSITIONS
L22 0 S L18 AND THERAPEUTIC USES
L23 0 S L18 AND METHOD OF USES
L24 10 S L18 AND THU

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L18 ANSWER 1 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:994933 HCAPLUS

DOCUMENT NUMBER: 145:377335

TITLE: Preparation of substituted
1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids
as remedies for hepatitis C

INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida,
Atsuhito

PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan

SOURCE: U.S., 358pp., Cont.-in-part of Ser. No. 939,374.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 7112600	B1	20060926	US 2002-180558	20020626 <--

WO 2001047883 A1 20010705 WO 2000-JP9181 20001222 <--
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CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV,
MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE,
SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
CN 1167680 C 20040922 CN 2000-804635 20001222
JP 2001247550 A 20010911 JP 2000-391904 20001225 <--
US 20030050320 A1 20030313 US 2001-939374 20010824 <--
US 6770666 B2 20040803
ZA 2003001393 A 20040715 ZA 2003-1393 20020626
US 20070032497 A1 20070208 US 2005-93208 20050328 <--
PRIORITY APPLN. INFO.: JP 1999-369008 A 19991227
WO 2000-JP9181 A2 20001222
JP 2000-391904 A 20001225
JP 2001-193786 A 20010626
US 2001-939374 A2 20010824
JP 2001-351537 A 20011116
US 2002-180558 A3 20020626
OTHER SOURCE(S): MARPAT 145:377335
GI

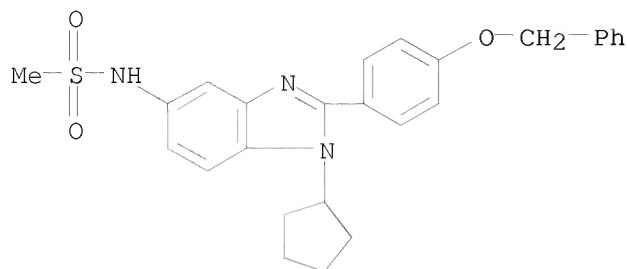
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, S, CR7, etc.; R1-R4 = H, NO2, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = Ph, cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, CN, etc.; R7 = H, alkyl] are prepared and formulated. Compds. I showed HCV polymerase inhibitory activity (data given). E.g., a multi-step synthesis of II.HCl, starting from 2-bromo-5-nitrotoluene and Me 2-(2-fluoro-4-hydroxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylate, was given.

IT 347165-60-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C)

RN 347165-60-0 HCAPLUS

CN Methanesulfonamide, N-[1-cyclopentyl-2-[4-(phenylmethoxy)phenyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)
REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:836829 HCAPLUS

DOCUMENT NUMBER: 139:323519

TITLE: Preparation of imidazoarenes as prostaglandin E2
subtype EP4 receptor antagonists for treatment of IL-6
involved diseases

INVENTOR(S): Shimojo, Masato; Taniguchi, Kana

PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.

SOURCE: PCT Int. Appl., 427 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086371	A2	20031023	WO 2003-IB1310	20030403 <--
WO 2003086371	A3	20040603		
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2481535	A1	20031023	CA 2003-2481535	20030403 <--
AU 2003214525	A1	20031027	AU 2003-214525	20030403 <--
AU 2003214525	B2	20080925		
EP 1499305	A2	20050126	EP 2003-710104	20030403
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BR 2003009200	A	20050222	BR 2003-9200	20030403
CN 1658847	A	20050824	CN 2003-813401	20030403
JP 2005533756	T	20051110	JP 2003-583392	20030403
RU 2285527	C2	20061020	RU 2004-130320	20030403

NZ 535748	A	20070629	NZ 2003-535748	20030403
CN 101023946	A	20070829	CN 2007-10084937	20030403
US 20030236260	A1	20031225	US 2003-411491	20030410 <--
US 7148234	B2	20061212		
MX 2004009243	A	20050608	MX 2004-9243	20040923
ZA 2004007795	A	20070131	ZA 2004-7795	20040928
IN 2004DN02958	A	20090403	IN 2004-DN2958	20040929
NO 2004004462	A	20050111	NO 2004-4462	20041020
US 20070066618	A1	20070322	US 2006-556414	20061103 <--
PRIORITY APPLN. INFO.:			US 2002-372364P	P 20020412
			CN 2003-813401	A3 20030403
			WO 2003-IB1310	W 20030403
			US 2003-411491	A3 20030410

OTHER SOURCE(S): MARPAT 139:323519
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

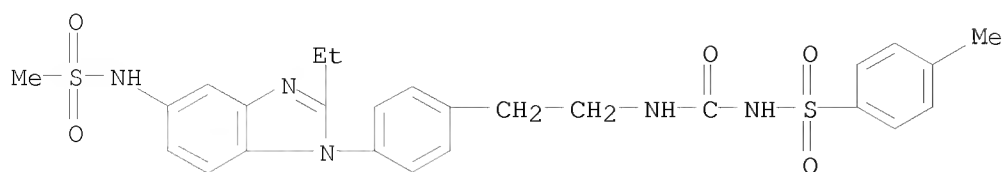
AB The present invention relates to the use of a prostaglandin E2 (PGE2) subtype EP4 receptor ligand in the manufacture of a medicament for the treatment of interleukin 6 (IL-6) involved diseases, such as alc. cirrhosis, amyloidosis, atherosclerosis, cardiac disease, sclerosis, and organ transplantation reactions (no data). The invention also relates to the assay which comprises culturing peripheral whole blood with a test compound and determining the effect of the compound on PGE2-induced whole blood cells activation. Three hundred eighty title compds. I [wherein Y1-Y4 = N, CH, CL; R1 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, pyrrolidinyl, amino, etc.; A = (un)substituted 5-6 membered (un)substituted monocyclic (hetero)aromatic ring; B = halo-substituted alkylene, cycloalkylene, alkenylene, alkynylene, alkyleneoxy, etc., optionally substituted with an oxo or alkyl group; W = amino, O, S, bond, etc.; R2 = H, OH, alkyl, alkoxy; Z = 5-12 membered (un)substituted monocyclic or bicyclic (hetero)aryl; L = halo, alkyl, haloalkyl, OH, alkoxy, haloalkoxy, alkylthio, NO2, amino, etc.] were prepared. Thus, cycloaddn. of 2-[4-[(3-amino-4,6-dimethyl-2-pyridinyl)amino]phenyl]ethanol (4-step preparation given) with propionyl chloride in toluene provided 2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl propionate, which was treated with aqueous LiOH to give the ethanol derivative (86%). Chlorination (90%) using thionyl chloride, conversion to the azide (85%), and Pd/C catalyzed hydrogenation afforded the amine (94%). Coupling of the amine with p-toluenesulfonyl isocyanate in CH2Cl2 gave II (56%). The latter significantly inhibited IL-6 secretion by PGE2 in ConA-stimulated human peripheral blood mononuclear cells (PBMC).

IT 415903-94-5P 415904-17-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazoarene prostaglandin EP4 receptor antagonists for treatment of IL-6 involved diseases)

RN 415903-94-5 HCAPLUS

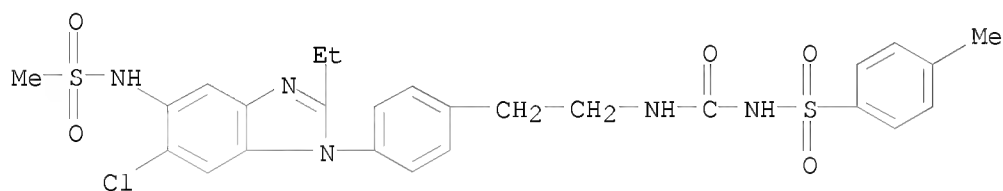
CN Benzenesulfonamide, N-[[[2-[4-[2-ethyl-5-[(methylsulfonyl)amino]-1H-benzimidazol-1-yl]phenyl]ethyl]amino]carbonyl]-4-methyl- (CA INDEX NAME)

10573054



RN 415904-17-5 HCAPLUS

CN Benzenesulfonamide, N-[[[2-[4-[6-chloro-2-ethyl-5-[(methylsulfonyl)amino]-1H-benzimidazol-1-yl]phenyl]ethyl]amino]carbonyl]-4-methyl- (CA INDEX NAME)



IT 415910-41-7P 415910-42-8P 415910-43-9P

415910-44-0P 415910-45-1P 415911-10-3P

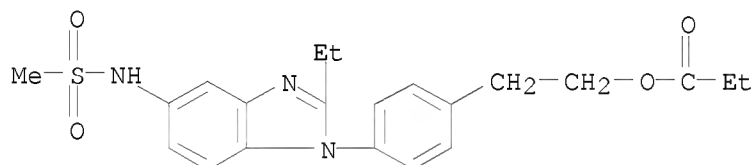
415911-11-4P 415911-12-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazoarene prostaglandin EP4 receptor antagonists for treatment of IL-6 involved diseases)

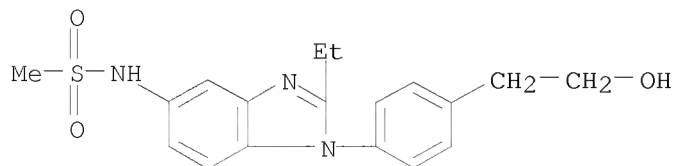
RN 415910-41-7 HCAPLUS

CN Methanesulfonamide, N-[2-ethyl-1-[4-[2-(1-oxopropoxy)ethyl]phenyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 415910-42-8 HCAPLUS

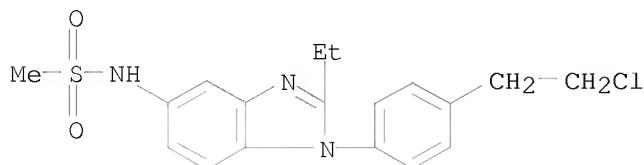
CN Methanesulfonamide, N-[2-ethyl-1-[4-(2-hydroxyethyl)phenyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 415910-43-9 HCAPLUS

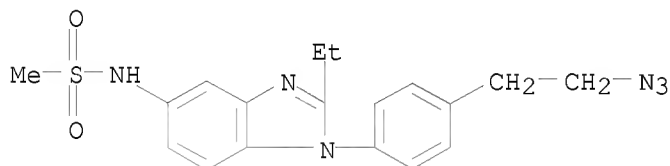
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CN Methanesulfonamide, N-[1-[4-(2-chloroethyl)phenyl]-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



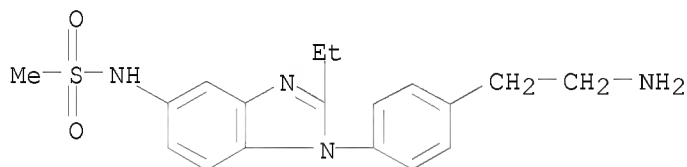
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CN Methanesulfonamide, N-[1-[4-(2-azidoethyl)phenyl]-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



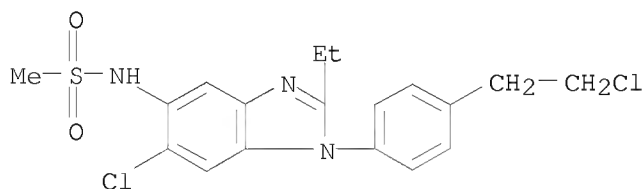
RN 415910-45-1 HCAPLUS

CN Methanesulfonamide, N-[1-[4-(2-aminoethyl)phenyl]-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 415911-10-3 HCAPLUS

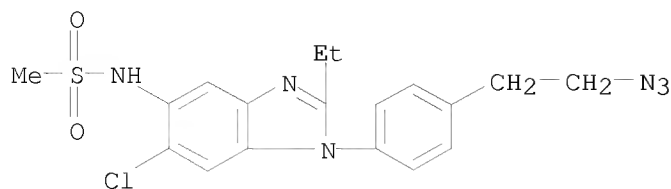
CN Methanesulfonamide, N-[6-chloro-1-[4-(2-chloroethyl)phenyl]-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 415911-11-4 HCAPLUS

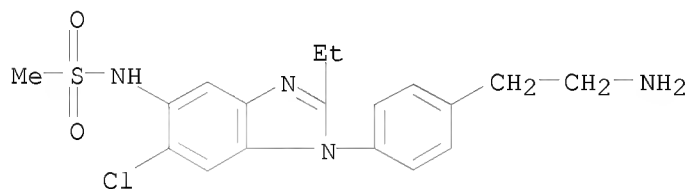
CN Methanesulfonamide, N-[1-[4-(2-azidoethyl)phenyl]-6-chloro-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

10573054



RN 415911-12-5 HCAPLUS

CN Methanesulfonamide, N-[1-[4-(2-aminoethyl)phenyl]-6-chloro-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 3 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:203407 HCAPLUS

DOCUMENT NUMBER: 138:238181

TITLE: Preparation of substituted
1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids
as remedies for hepatitis C

INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida,
Atsuhito

PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan

SOURCE: U.S. Pat. Appl. Publ., 406 pp., Cont.-in-part of Appl.
No. PCT/JP00/09181.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030050320	A1	20030313	US 2001-939374	20010824 <--
US 6770666	B2	20040803		
WO 2001047883	A1	20010705	WO 2000-JP9181	20001222 <--

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HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV,
MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE,
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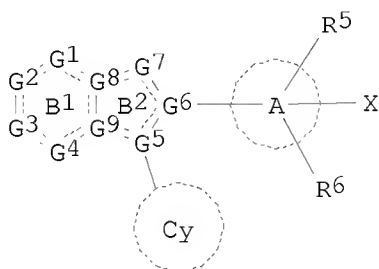
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JP 2001247550	A	20010911	JP 2000-391904	20001225	<--
ZA 2003001393	A	20040715	ZA 2003-1393	20020626	
US 7112600	B1	20060926	US 2002-180558	20020626	<--
US 20040097438	A1	20040520	US 2003-615329	20030708	<--
US 7285551	B2	20071023			
US 20070032497	A1	20070208	US 2005-93208	20050328	<--

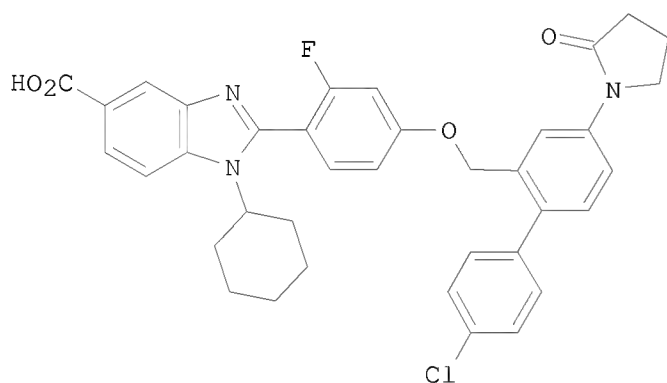
PRIORITY APPLN. INFO.:

JP 1999-369008	A	19991227
WO 2000-JP9181	A2	20001222
JP 2000-391904	A	20001225
JP 2001-193786	A	20010626
US 2001-939374	A2	20010824
JP 2001-351537	A	20011116
US 2002-180558	A3	20020626

OTHER SOURCE(S): MARPAT 138:238181
GI



I



II

AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, S, CR7, etc.; R1-R4 = H, NO2, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = Ph, cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, CN, etc.; R7 = H, alkyl] are prepared and formulated. Compds. I showed HCV polymerase inhibitory activity (data given). E.g., a multi-step synthesis of II.HCl, starting from 2-bromo-5-nitrotoluene and Me 2-(2-fluoro-4-hydroxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylate, was given.

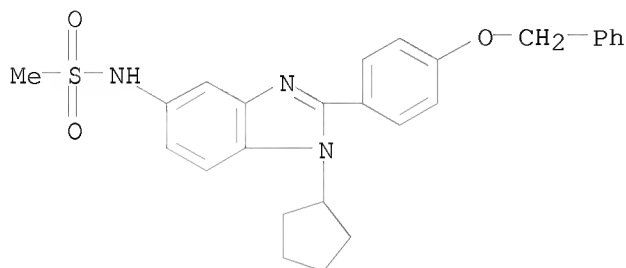
IT 347165-60-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C)

RN 347165-60-0 HCAPLUS

CN Methanesulfonamide, N-[1-cyclopentyl-2-[4-(phenylmethoxy)phenyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 4 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:5773 HCAPLUS

DOCUMENT NUMBER: 138:66657

TITLE: Fused cyclic compounds and medicinal use thereof

INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito

PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan

SOURCE: PCT Int. Appl., 603 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

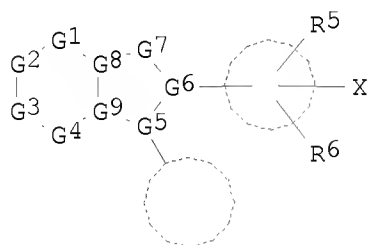
FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000254	A1	20030103	WO 2002-JP6405	20020626 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
JP 2003212846	A	20030730	JP 2002-185241	20020625 <--
AU 2002346216	A1	20030108	AU 2002-346216	20020626 <--
AU 2002346216	B2	20051208		
CA 2423800	A1	20030325	CA 2002-2423800	20020626 <--

BR 2002005684	A	20030617	BR 2002-5684	20020626 <--
EP 1400241	A1	20040324	EP 2002-743728	20020626
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001393	A	20040715	ZA 2003-1393	20020626
TR 200300544	T1	20050822	TR 2003-544	20020626
CN 1893941	A	20070110	CN 2002-802824	20020626
HU 2003001490	A2	20080128	HU 2003-1490	20020626
US 20040082635	A1	20040429	US 2003-344997	20030218 <--
NO 2003000832	A	20030422	NO 2003-832	20030221 <--
IN 2003CN00499	A	20050415	IN 2003-CN499	20030408
MX 2003004936	A	20030910	MX 2003-4936	20030602 <--
PRIORITY APPLN. INFO.:			JP 2001-193786	A 20010626
			JP 2001-351537	A 20011116
			AU 2001-24017	A 20001222
			WO 2002-JP6405	W 20020626

OTHER SOURCE(S): MARPAT 138:66657
GI

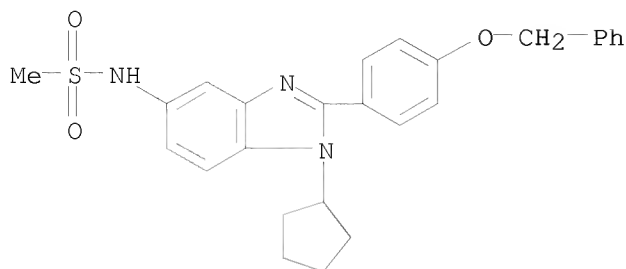


AB Fused cyclic compds. represented by the following general formula [I] or pharmaceutically acceptable salts thereof and remedies for hepatitis C containing these compds.: I wherein each symbol is as defined in the description. Because of having an effect against hepatitis C virus (HVC) based on an HCV polymerase inhibitory effect, these compds. are useful as remedies or preventives for hepatitis C.

IT 347165-60-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(fused cyclic compds. as hepatitis C virus polymerase inhibitors and antiviral agents)

RN 347165-60-0 HCAPLUS

CN Methanesulfonamide, N-[1-cyclopentyl-2-[4-(phenylmethoxy)phenyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS
RECORD (20 CITINGS)
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 5 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:904287 HCAPLUS

DOCUMENT NUMBER: 137:380015

TITLE: Use of benzimidazole compounds for the treatment and prevention of arterial thrombotic diseases

INVENTOR(S): Haeuel, Norbert; Stassen, Jean Marie; Wienen, Wolfgang

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma Kg, Germany

SOURCE: Ger. Offen., 4 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10125478	A1	20021128	DE 2001-10125478	20010525 <--
US 20020193404	A1	20021219	US 2002-137895	20020502 <--
WO 2002096425	A1	20021205	WO 2002-EP5522	20020518 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002313473	A1	20021209	AU 2002-313473	20020518 <--
PRIORITY APPLN. INFO.:				
			DE 2001-10125478	A 20010525
			US 2001-301899P	P 20010628
			WO 2002-EP5522	W 20020518

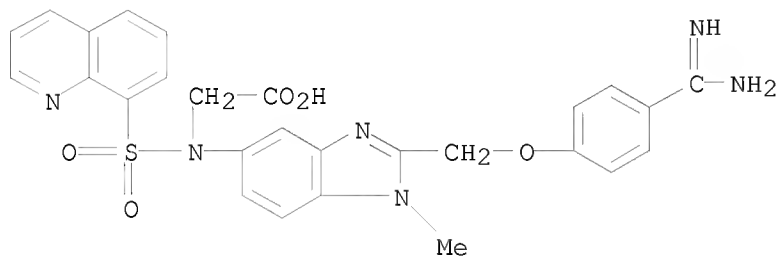
AB The invention provides a method for the treatment and prevention of arterial thrombotic illnesses, comprising the administration of an effective quantity of one of 1-methyl-2-[(4-amidinophenyl)-oxymethyl]-5-[N-(hydroxycarbonylmethyl)-quinolin-8-sulfonylamino]benzimidazole and 1-methyl-2-[N-(4-amidinophenyl)-aminomethyl]-5-[N-(hydroxycarbonylmethyl)-quinolin-8-sulfonylamino]benzimidazole, their physiol. acceptable salts or their mixts. Also provided is the use of these compds. for the

production of appropriate drugs.

IT 256491-29-9 256491-44-8
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (benzimidazole compds. for treatment and prevention of arterial
 thrombotic diseases)

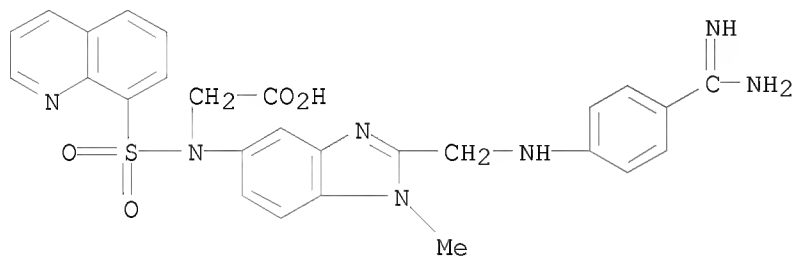
RN 256491-29-9 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-
 benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)



RN 256491-44-8 HCAPLUS

CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-
 benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)



L18 ANSWER 6 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:832768 HCAPLUS

DOCUMENT NUMBER: 137:337892

TITLE: Novel alkoxyarylbenzimidazoles as CB2 receptor agonists

INVENTOR(S): Cheng, Yun-Xing; Tomaszewski, Mirosław; Walpole, Christopher; Yang, Hua

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 112 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

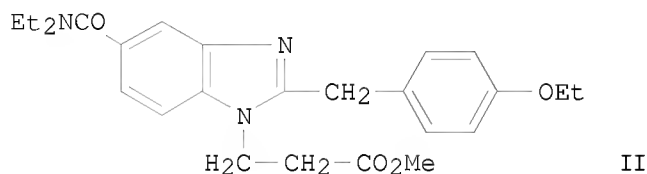
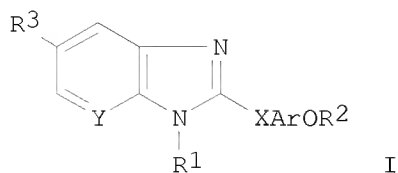
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085866	A1	20021031	WO 2002-SE769	20020418 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2444381 A1 20021031 CA 2002-2444381 20020418 <--
AU 2002307586 A1 20021105 AU 2002-307586 20020418 <--
EE 200300524 A 20040216 EE 2003-524 20020418
EP 1390350 A1 20040225 EP 2002-764120 20020418
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
HU 2003003825 A2 20040301 HU 2003-3825 20020418
HU 2003003825 A3 20050628
BR 2002008907 A 20040420 BR 2002-8907 20020418
CN 1503787 A 20040609 CN 2002-808577 20020418
CN 1250531 C 20060412
JP 2004528334 T 20040916 JP 2002-583393 20020418
NZ 528403 A 20050527 NZ 2002-528403 20020418
NZ 538692 A 20060929 NZ 2002-538692 20020418
RU 2312864 C2 20071220 RU 2003-129638 20020418
ZA 2003007752 A 20050103 ZA 2003-7752 20031003
US 20040116465 A1 20040617 US 2003-474549 20031009 <--
US 7030139 B2 20060418
IN 2003DN01633 A 20090320 IN 2003-DN1633 20031009
BG 108271 A 20041230 BG 2003-108271 20031014
NO 2003004665 A 20031210 NO 2003-4665 20031017 <--
MX 2003009558 A 20040212 MX 2003-9558 20031017
US 20060135554 A1 20060622 US 2006-325124 20060104 <--
PRIORITY APPLN. INFO.: SE 2001-1387 A 20010420
NZ 2002-528403 A1 20020418
WO 2002-SE769 W 20020418
US 2003-474549 A3 20031009

OTHER SOURCE(S): MARPAT 137:337892
GI

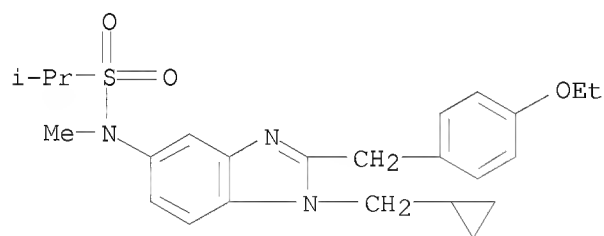


AB Title compds. I [R1 = (un)substituted alkyl, alkenyl; R2 = alkyl, fluoroalkyl, cycloalkyl; R3 = (un)substituted H2NCONH, HCONH, HO2CNH, H2NCSNH, HSO2NH, H2NSO2, H2NCH2, H2NCS, H2NCO, NH2, acyl; X = (un)substituted CH2, NH, CO, CH2CH2, CH:CH, O, S, S(O), SO2; Y = CH, N; Ar = (un)substituted aryl] were prepared as CB2 receptor agonists in the management of pain. Thus, 4,3-F(O2N)C6H3CONH2 was treated with H2NCH2CH2CO2Et followed by reduction of the nitro group and cyclization with 4-EtOC6H4CH2COCl to give the benzimidazole II, formed by transesterification during chromatog. II had Ki for human CB2 receptor binding of 142 nM.

IT 474018-46-7P 474018-50-3P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of novel alkoxyarylbenzimidazoles as CB2 receptor agonists)

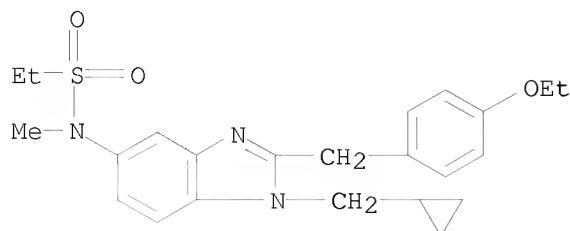
RN 474018-46-7 HCAPLUS

CN 2-Propanesulfonamide, N-[1-(cyclopropylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)



RN 474018-50-3 HCAPLUS

CN Ethanesulfonamide, N-[1-(cyclopropylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS RECORD (29 CITINGS)
 REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 7 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:314939 HCAPLUS

DOCUMENT NUMBER: 136:340677

TITLE: Preparation of imidazoarenes as antiinflammatory and analgesic agents.

INVENTOR(S): Nakao, Kazunari; Okumura, Yoshiyuki; Matsumizu, Miyako; Uneo, Naomi; Hashizume, Yoshinobu; Kato, Tomoki; Kawai, Akiyoshi; Miyake, Yoriko; Nukui, Seiji; Shinjyo, Katsuhiko; Taniguchi, Kana

PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.

SOURCE: PCT Int. Appl., 461 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

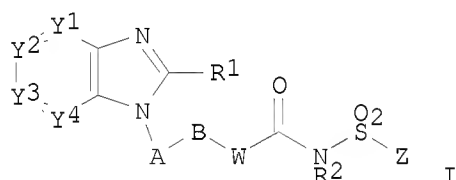
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032900	A2	20020425	WO 2001-IB1940	20011015 <--
WO 2002032900	A3	20020808		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2426457	A1	20020425	CA 2001-2426457	20011015 <--
AU 2002010796	A	20020429	AU 2002-10796	20011015 <--
US 20020077329	A1	20020620	US 2001-977761	20011015 <--
US 20020107273	A1	20020808	US 2001-977621	20011015 <--
US 6710054	B2	20040323		
EP 1326864	A2	20030716	EP 2001-978702	20011015 <--
EP 1326864	B1	20060315		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
EE 200300190	A	20031015	EE 2003-190	20011015 <--
BR 2001014704	A	20040225	BR 2001-14704	20011015

JP 2004517054	T	20040610	JP 2002-536282	20011015
JP 4060182	B2	20080312		
NZ 525163	A	20050930	NZ 2001-525163	20011015
AT 320428	T	20060415	AT 2001-978702	20011015
EP 1666480	A1	20060607	EP 2006-110920	20011015
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AU 2002210796	B2	20060810	AU 2002-210796	20011015
ES 2258554	T3	20060901	ES 2001-978702	20011015
HU 2006000593	A2	20061128	HU 2006-593	20011015
BG 107699	A	20031231	BG 2003-107699	20030403 <--
IN 2003MN00386	A	20050211	IN 2003-MN386	20030407
NO 2003001582	A	20030617	NO 2003-1582	20030408 <--
ZA 2003002722	A	20040408	ZA 2003-2722	20030408
MX 2003003463	A	20030714	MX 2003-3463	20030416 <--
ZA 2003002991	A	20040416	ZA 2003-2991	20030416
US 20040181059	A1	20040916	US 2004-771696	20040204 <--
US 7141580	B2	20061128		
IN 2006MN00518	A	20070608	IN 2006-MN518	20060508
US 20070155732	A1	20070705	US 2006-556523	20061103 <--
US 7479564	B2	20090120		
JP 2007277255	A	20071025	JP 2007-154590	20070611
PRIORITY APPLN. INFO.:				
			US 2000-241825P	P 20001019
			EP 2001-978702	A3 20011015
			JP 2002-536282	A3 20011015
			US 2001-977621	A3 20011015
			WO 2001-IB1940	W 20011015
			US 2004-771696	A3 20040204

OTHER SOURCE(S): MARPAT 136:340677
GI



AB Title compds. [I; Y1-Y4 = N, CH, CL; R1 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, pyrrolidinyl, amino, etc.; A = (substituted) 5-6 membered monocyclic aromatic ring optionally containing up to 3 heteroatoms selected from O, N, S, etc.; B = halo-substituted alkylene, cycloalkylene, alkenylene, alkynylene, alkyleneoxy, etc., optionally substituted with an oxo group; W = amino, O, S, bond, etc.; R2 = H, OH, alkyl, alkoxy; Z = 5-12 membered (substituted) monocyclic or bicyclic aryl optionally containing up to 3 heteroatoms selected from O, N and S, etc.; L = halo, alkyl, haloalkyl, OH, alkoxy, haloalkoxy, alkylthio, NO₂, amino, etc.], were prepared as prostaglandin E₂ receptor antagonists, preferably as EP₄ receptor antagonists. Thus, to 2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethylamine (preparation given) in CH₂Cl₂ was added p-toluenesulfonyl isocyanate followed by stirring for 3 h to give 56% 2-ethyl-5,7-dimethyl-3-[4-[2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethylamino]phenyl]ethylamine.

methylphenyl)sulfonyl]amino]carbonyl]amino]ethyl]phenyl]-3H-imidazo[4,5-b]pyridine. Preferred I inhibited PGE2-induced thermal hyperalgesia in rats with ED50<60 mg/kg.

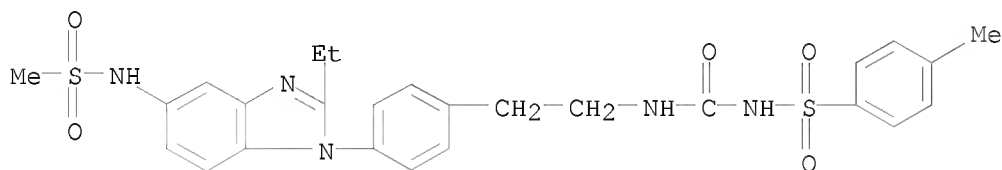
IT 415903-94-5P 415904-17-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazoarene prostaglandin EP4 receptor antagonists as antiinflammatory and analgesic agents)

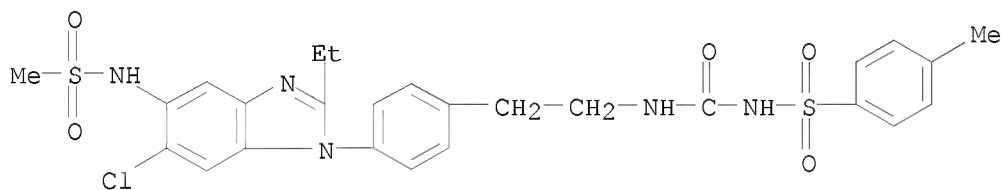
RN 415903-94-5 HCAPLUS

CN Benzenesulfonamide, N-[[[2-[4-[2-ethyl-5-[(methylsulfonyl)amino]-1H-benzimidazol-1-yl]phenyl]ethyl]amino]carbonyl]-4-methyl- (CA INDEX NAME)



RN 415904-17-5 HCAPLUS

CN Benzenesulfonamide, N-[[[2-[4-[6-chloro-2-ethyl-5-[(methylsulfonyl)amino]-1H-benzimidazol-1-yl]phenyl]ethyl]amino]carbonyl]-4-methyl- (CA INDEX NAME)



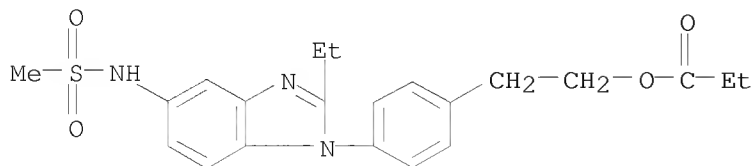
IT 415910-41-7P 415910-42-8P 415910-43-9P
415910-44-0P 415910-45-1P 415911-10-3P
415911-11-4P 415911-12-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazoarene prostaglandin EP4 receptor antagonists as antiinflammatory and analgesic agents)

RN 415910-41-7 HCAPLUS

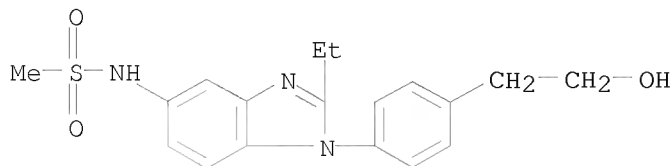
CN Methanesulfonamide, N-[2-ethyl-1-[4-[2-(1-oxopropoxy)ethyl]phenyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)



10573054

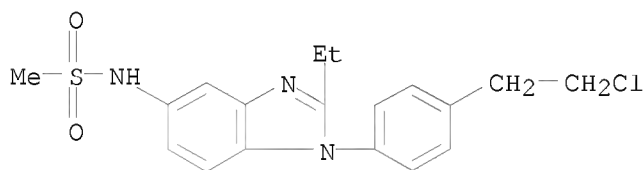
RN 415910-42-8 HCAPLUS

CN Methanesulfonamide, N-[2-ethyl-1-[4-(2-hydroxyethyl)phenyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)



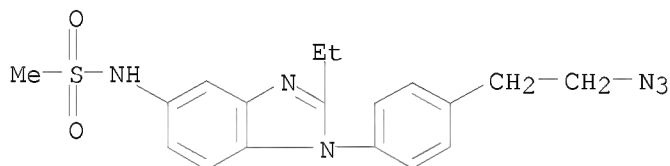
RN 415910-43-9 HCAPLUS

CN Methanesulfonamide, N-[1-[4-(2-chloroethyl)phenyl]-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



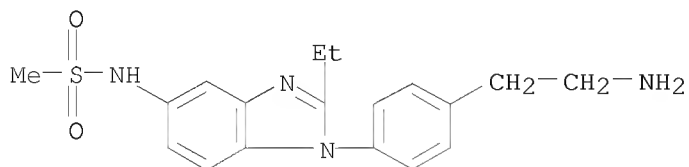
RN 415910-44-0 HCAPLUS

CN Methanesulfonamide, N-[1-[4-(2-azidoethyl)phenyl]-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 415910-45-1 HCAPLUS

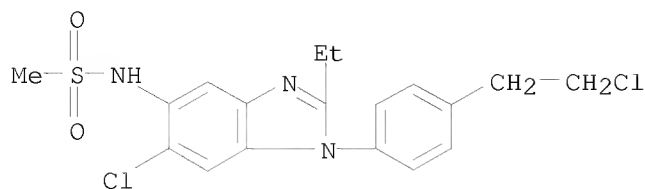
CN Methanesulfonamide, N-[1-[4-(2-aminoethyl)phenyl]-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 415911-10-3 HCAPLUS

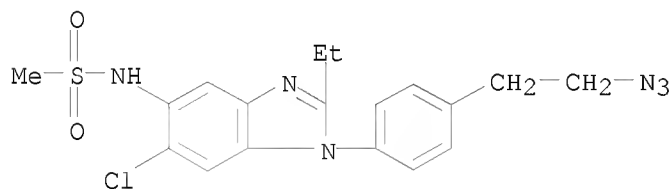
CN Methanesulfonamide, N-[6-chloro-1-[4-(2-chloroethyl)phenyl]-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

10573054



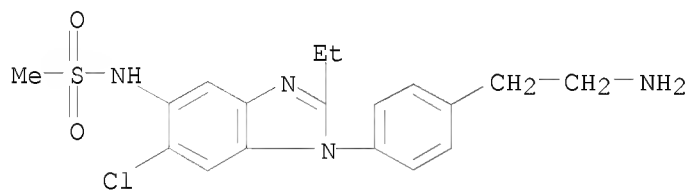
RN 415911-11-4 HCAPLUS

CN Methanesulfonamide, N-[1-[4-(2-chloroethyl)phenyl]-6-chloro-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 415911-12-5 HCAPLUS

CN Methanesulfonamide, N-[1-[4-(2-aminoethyl)phenyl]-6-chloro-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 8 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:314767 HCAPLUS

DOCUMENT NUMBER: 136:340676

TITLE: Preparation of benzimidazole derivatives as prostaglandin EP4 receptor inhibitors to treat rheumatoid arthritis

INVENTOR(S): Audoly, Laurent; Okumura, Takako; Shimojo, Masato

PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.

SOURCE: PCT Int. Appl., 468 pp.

CODEN: PIXXD2

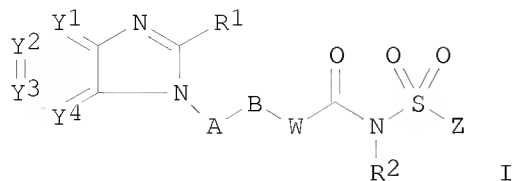
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032422	A2	20020425	WO 2001-IB1942	20011015 <--
WO 2002032422	A3	20020725		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2426487	A1	20020425	CA 2001-2426487	20011015 <--
AU 2001094122	A	20020429	AU 2001-94122	20011015 <--
US 20020077329	A1	20020620	US 2001-977761	20011015 <--
US 20020107273	A1	20020808	US 2001-977621	20011015 <--
US 6710054	B2	20040323		
BR 2001014758	A	20030701	BR 2001-14758	20011015 <--
EP 1326606	A2	20030716	EP 2001-974609	20011015 <--
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JP 2004511518	T	20040415	JP 2002-535660	20011015
HU 2003003766	A2	20040428	HU 2003-3766	20011015
HU 2003003766	A3	20050728		
AT 320428	T	20060415	AT 2001-978702	20011015
EP 1666480	A1	20060607	EP 2006-110920	20011015
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ZA 2003002722	A	20040408	ZA 2003-2722	20030408
NO 2003001658	A	20030610	NO 2003-1658	20030410 <--
MX 2003003448	A	20030714	MX 2003-3448	20030416 <--
BG 107732	A	20040130	BG 2003-107732	20030416
ZA 2003002991	A	20040416	ZA 2003-2991	20030416
US 20040181059	A1	20040916	US 2004-771696	20040204 <--
US 7141580	B2	20061128		
US 20070155732	A1	20070705	US 2006-556523	20061103 <--
US 7479564	B2	20090120		
JP 2007277255	A	20071025	JP 2007-154590	20070611
PRIORITY APPLN. INFO.:				
			US 2000-241825P	P 20001019
			EP 2001-978702	A3 20011015
			JP 2002-536282	A3 20011015
			US 2001-977621	A3 20011015
			WO 2001-IB1942	W 20011015
			US 2004-771696	A3 20040204
OTHER SOURCE(S):				
GI	MARPAT 136:340676			



AB Benzimidazole derivs. I wherein Y1-Y4 are independently N, CH, alkyl, alkoxy, haloalkyl, halo, substituted alkyl, R1 is H, alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, haloalkoxy, heterocycle; R2 is H, alkyl, alkoxy, OH; A is substituted heterocycle arom ring; B is haloalkylene, cycloalkylene, alkenylene, alkynylene, oxyalkylene; W is NH, aminoalkyl, O, S, oxime, covalent bond; Z is monocyclic and bicyclic aromatic heterocycle, were prepared as prostaglandin EP4 receptor inhibitors to treat rheumatoid arthritis of rats and human. Also featured is a method of identifying agents that selectively inhibit EP4 activity in vivo. Thus, 3-(4-{2[({[(3,4-dichlorophenyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridine, hydrochloride was prepared and tested in vivo as an agent selectively inhibiting EP4 activity or selectively binding EP4; and measuring joint inflammation, joint swelling, joint ankylosis, interleukin (IL)-6, SAA protein, and/or joint mobility.

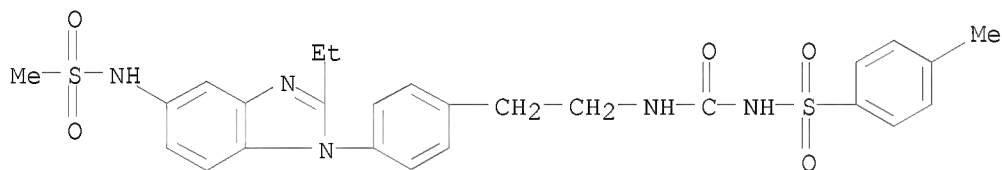
IT 415903-94-5P 415904-17-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole derivs. as prostaglandin ep receptor inhibitors to treat rheumatoid arthritis)

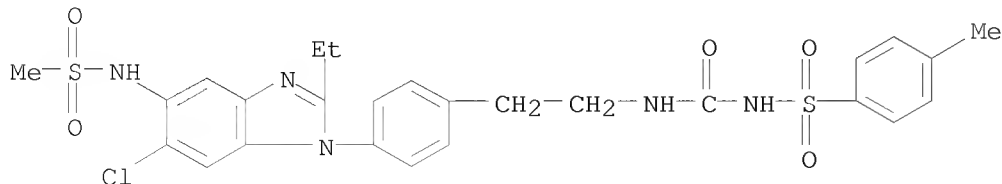
RN 415903-94-5 HCAPLUS

CN Benzenesulfonamide, N-[[[2-[4-[2-ethyl-5-[(methylsulfonyl)amino]-1H-benzimidazol-1-yl]phenyl]ethyl]amino]carbonyl]-4-methyl- (CA INDEX NAME)

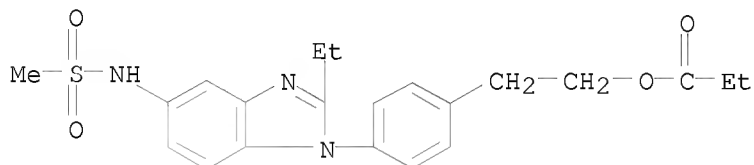


RN 415904-17-5 HCAPLUS

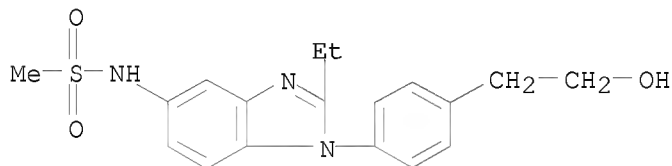
CN Benzenesulfonamide, N-[[[2-[4-[6-chloro-2-ethyl-5-[(methylsulfonyl)amino]-1H-benzimidazol-1-yl]phenyl]ethyl]amino]carbonyl]-4-methyl- (CA INDEX NAME)



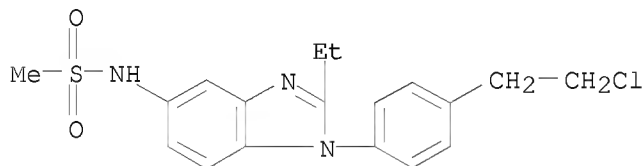
IT 415910-41-7P 415910-42-8P 415910-43-9P
 415910-44-0P 415910-45-1P 415911-10-3P
 415911-11-4P 415911-12-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of benzimidazole derivs. as prostaglandin ep receptor
 inhibitors to treat rheumatoid arthritis)
 RN 415910-41-7 HCAPLUS
 CN Methanesulfonamide, N-[2-ethyl-1-[4-[2-(1-oxopropoxy)ethyl]phenyl]-1H-
 benzimidazol-5-yl]- (CA INDEX NAME)



RN 415910-42-8 HCAPLUS
 CN Methanesulfonamide, N-[2-ethyl-1-[4-(2-hydroxyethyl)phenyl]-1H-
 benzimidazol-5-yl]- (CA INDEX NAME)

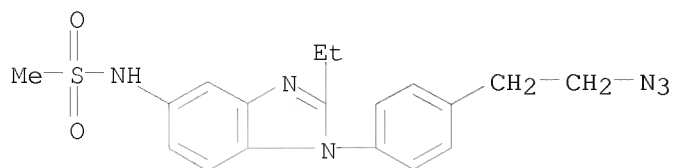


RN 415910-43-9 HCAPLUS
 CN Methanesulfonamide, N-[1-[4-(2-chloroethyl)phenyl]-2-ethyl-1H-benzimidazol-
 5-yl]- (CA INDEX NAME)



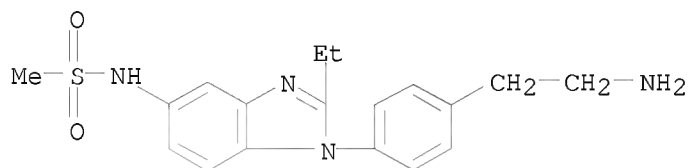
RN 415910-44-0 HCAPLUS
 CN Methanesulfonamide, N-[1-[4-(2-azidoethyl)phenyl]-2-ethyl-1H-benzimidazol-
 5-yl]- (CA INDEX NAME)

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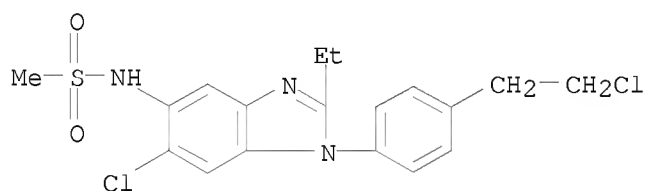
RN 415910-45-1 HCAPLUS

CN Methanesulfonamide, N-[1-[4-(2-aminoethyl)phenyl]-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



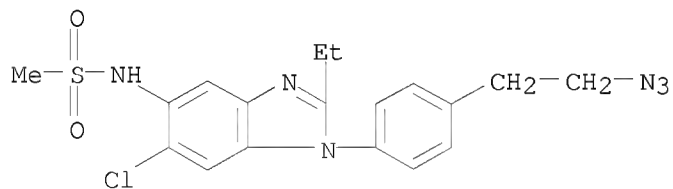
RN 415911-10-3 HCAPLUS

CN Methanesulfonamide, N-[6-chloro-1-[4-(2-chloroethyl)phenyl]-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



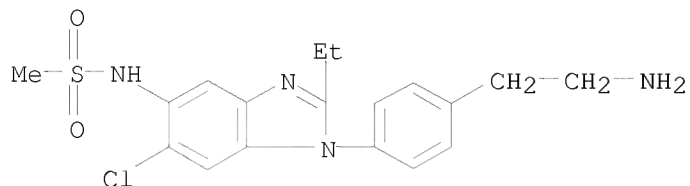
RN 415911-11-4 HCAPLUS

CN Methanesulfonamide, N-[1-[4-(2-azidoethyl)phenyl]-6-chloro-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 415911-12-5 HCAPLUS

CN Methanesulfonamide, N-[1-[4-(2-aminoethyl)phenyl]-6-chloro-2-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD
(5 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:275970 HCAPLUS

DOCUMENT NUMBER: 136:294831

TITLE: Preparation of benzimidazoles and indoles as CRF
receptor modulators

INVENTOR(S): De Lombaert, Stephane; Ge, Ping; Horvath, Raymond F.;
Yoon, Taeyoung

PATENT ASSIGNEE(S): Neurogen Corporation, USA

SOURCE: PCT Int. Appl., 115 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

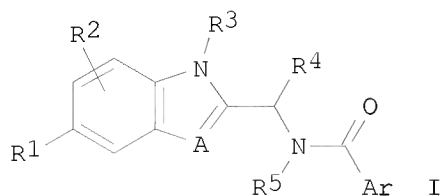
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002028839	A1	20020411	WO 2001-US31738	20011005 <--
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2425185	A1	20020411	CA 2001-2425185	20011005 <--
AU 2001096799	A	20020415	AU 2001-96799	20011005 <--
US 20030055037	A1	20030320	US 2001-972786	20011005 <--
EP 1322620	A1	20030702	EP 2001-977701	20011005 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004510765	T	20040408	JP 2002-532425	20011005
MX 2003003039	A	20031015	MX 2003-3039	20030404 <--
PRIORITY APPLN. INFO.:			US 2000-238713P	P 20001006
			WO 2001-US31738	W 20011005

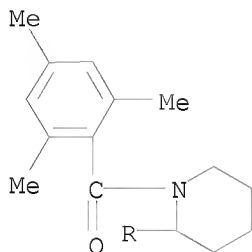
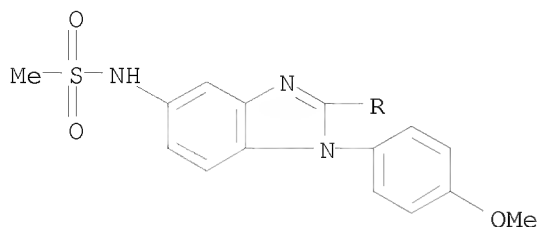
OTHER SOURCE(S): MARPAT 136:294831

GI

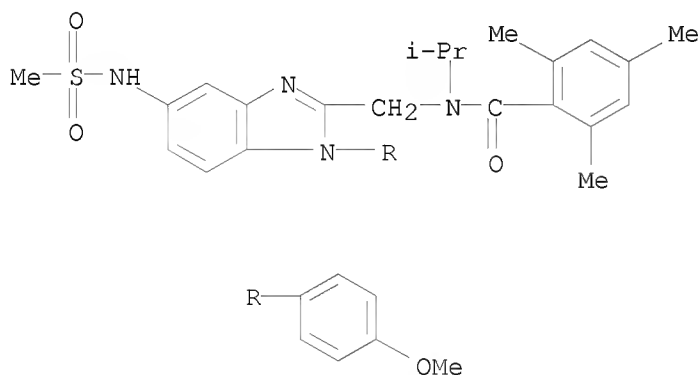


- AB The title compds. [I; A = N, (un)substituted CH; R1 = H, alkyl, haloalkoxy, etc.; R2 = halo, OH, CN, etc.; R3 = (un)substituted alkyl, (un)substituted (un)saturated 5-7 membered ring having 0-2 ring atoms chosen from O and N; R4 = H, (un)substituted alkyl; R5 = (un)substituted alkyl; or R4 and R5 are joined to form (un)saturated 5-8 membered ring comprising 0-1 addnl. N atom, 0-1 O atom; Ar = Ph, 5-7 membered heteroaryl having 0-2 ring atoms chosen from O, N, and S; Ar is substituted ortho by R6 and is optionally substituted by 1 or more of R7; R6 = halo, OH, CN, etc.; R7 = OH, CN, NH2, etc.] that act as selective modulators of CRF 1 receptors, and are useful in the treatment of a number of CNS and peripheral disorders, particularly stress, anxiety, depression, cardiovascular disorders, and eating disorders, were prepared E.g., a 4-step synthesis of I [A = N; R1-R2 = H; R3 = CHPr2; R4 = H; R5 = iso-Pr; Ar = 2,4,6-Me3C6H2], starting with 4-heptanone and 1,2-phenylenediamine, was given. The exemplified compds. I showed IC50 values of $\leq 4 \mu\text{M}$ against CRF1 receptor binding. Compds. I also useful as probes for the localization of CRF receptors and as stds. in assays for CRF receptor binding.
- IT 409131-77-7P 409131-96-0P
 RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of benzimidazoles and indoles as CRF receptor modulators)
- RN 409131-77-7 HCAPLUS
- CN Methanesulfonamide, N-[1-(4-methoxyphenyl)-2-[1-(2,4,6-trimethylbenzoyl)-2-piperidinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

10573054



RN 409131-96-0 HCAPLUS
CN Benzamide, N-[[1-(4-methoxyphenyl)-5-[(methanesulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-2,4,6-trimethyl-N-(1-methylethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

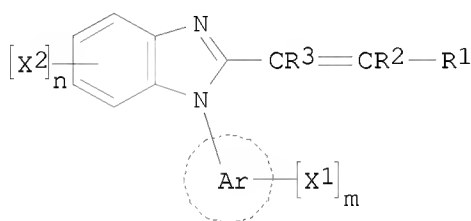
L18 ANSWER 10 OF 20 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:792334 HCAPLUS
DOCUMENT NUMBER: 135:344480
TITLE: Preparation of benzimidazole cyclooxygenase-2 inhibitors
INVENTOR(S): Okumura, Yoshiyuki; Murata, Yoshinori; Mano, Takashi
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 29 pp.
CODEN: USXXAM

10573054

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6310079	B1	20011030	US 1999-244875	19990205 <--
US 20030013886	A1	20030116	US 2001-924351	20010808 <--
US 6713482	B2	20040330		
US 20040181062	A1	20040916	US 2004-773937	20040205 <--
PRIORITY APPLN. INFO.:			WO 1998-IB164	W 19980211
			US 1999-244875	A3 19990205
			US 2001-924351	A3 20010808

OTHER SOURCE(S): MARPAT 135:344480
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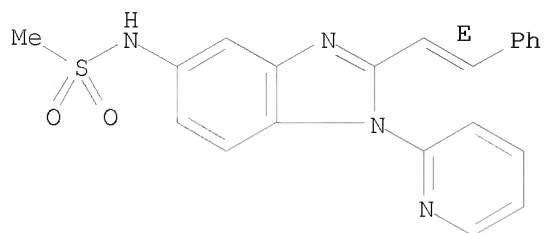


AB The title compds. [I; Ar = 6-membered monocyclic heteroaryl having one N atom; X1, X2 = halo, alkyl, OH, etc.; R1 = (un)substituted Ph, 5-membered monocyclic heteroaryl; R2, R3 = H, halo, alkyl, etc.; or R1 and R2 can form, together with the carbon atom to which they are attached, a cycloalkyl ring; m = 0-5; n = 0-4] and their pharmaceutically acceptable salts, useful as analgesics and anti-inflammatory agents, were prepared Thus, refluxing N-(2-pyridyl)-o-phenylenediamine with (E)-cinnamoyl chloride in PhMe afforded 41% (E)-I [Ar = 2-pyridyl; X1, X2 = H; R1 = Ph; R1, R2 = H]. Some compds. I showed low IC50 values of 0.01-1.0 μ M against COX-2.

IT 371110-58-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of benzimidazole cyclooxygenase-2 inhibitors)
 RN 371110-58-6 HCAPLUS
 CN Methanesulfonamide, N-[2-[(1E)-2-phenylethenyl]-1-(2-pyridinyl)-1H-benzimidazol-5-yl]- (CA INDEX NAME)

Double bond geometry as shown.

10573054



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

341.04

904.18

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-41.82

-41.82

STN INTERNATIONAL LOGOFF AT 12:19:25 ON 12 NOV 2009